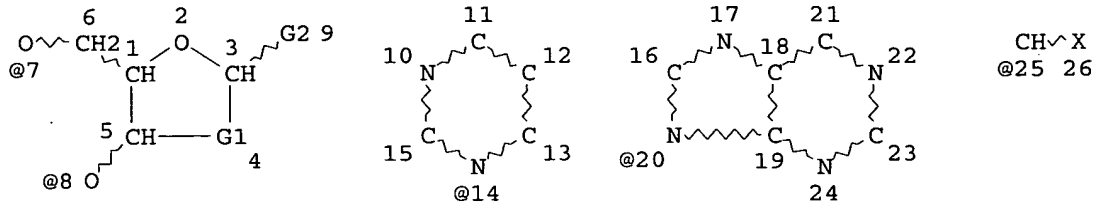
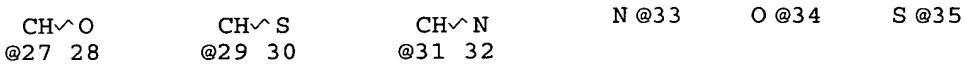
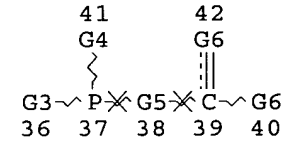
=> d que l23
L20 STR







VAR G1=CH2/25/27/29/31
VAR G2=14/20
VAR G3=7/8
VAR G4=33/34/35
REP G5=(1-10) A
VAR G6=33/34/35
NODE ATTRIBUTES:
NSPEC IS RC AT 28
NSPEC IS RC AT 30
NSPEC IS RC AT 32
NSPEC IS RC AT 33
NSPEC IS RC AT 34
NSPEC IS RC AT 35
CONNECT IS X3 RC AT 37
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 10 16
NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
L22 94 SEA FILE=REGISTRY SSS FUL L20
L23 50 SEA FILE=HCAPLUS ABB=ON PLU=ON L22

=> d l23 ibib ab hitstr 1-50

L23 ANSWER 1 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:353184 HCAPLUS
DOCUMENT NUMBER: 140:321654
TITLE: Preparation of oligodeoxyribonucleotides using phosphate and thiophosphate protecting groups
INVENTOR(S): Guzaev, Andrei P.; Manoharan, Muthiah
PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. 6,610,837.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004082774	A1	20040429	US 2003-610664	20030630
US 6121437	A	20000919	US 1999-268797	19990316
US 6610837	B1	20030826	US 2000-526386	20000316
PRIORITY APPLN. INFO.:			US 1999-268797 A2	19990316
			US 2000-526386 A2	20000316

OTHER SOURCE(S): MARPAT 140:321654

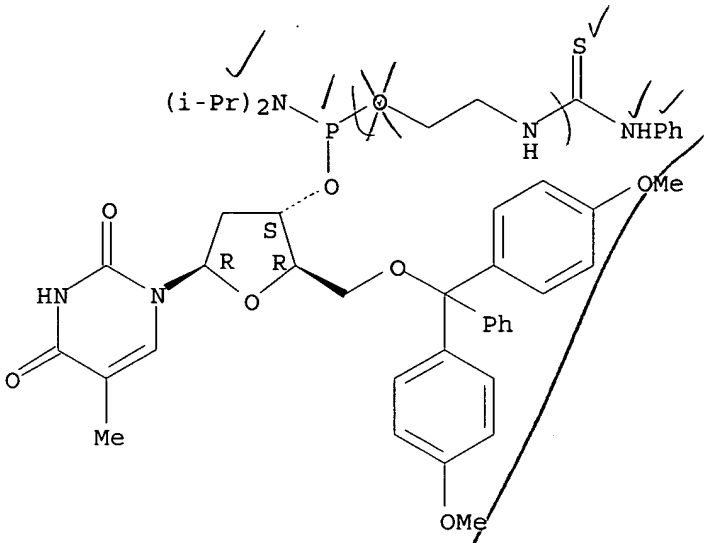
AB Novel phosphorus protecting groups, intermediates thereof, and synthetic processes for making the same are disclosed. Oligomeric compds.containing a moiety I wherein W and X are selected independently from O and S; Y is selected independently from O and substituted amine; Z is selected independently from a single bond, O, and substituted amine; Q is (R1)m; R1, at each occurrence, is selected independently from alkyl, alkenyl, alkynyl, cycloalkyl, CN, NO, , Cl, Br, F, I, CF3, alkoxy, substituted amine, and phenyl; alternatively, two R1 groups, when on adjacent carbons of the Ph ring, join to form a naphthyl ring that includes said Ph ring; R at each occurrence, is selected independently from H, alkyl, alkenyl ; n, m are independently 0-3,are prepared through the protection of one or more internucleosidic phosphorus functionalities, preferably followed by oxidation and cleavage of the protecting groups to provide oligonucleotides. Thus, N-[(N-phenyl)thiocarbamoyl]aminoethyl[5'-O-(4,4'-dimethoxytrityl)thymidin-3'-yl]-N,N-diisopropylphosphoramidite was prepared and incorporated into oligodeoxyribonucleotides.

IT **291299-97-3P 291299-98-4P 291300-40-8P**
291300-43-1P 291300-46-4P 291300-48-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligodeoxyribonucleotides using phosphate and thiophosphate protecting groups)

RN 291299-97-3 HCAPLUS

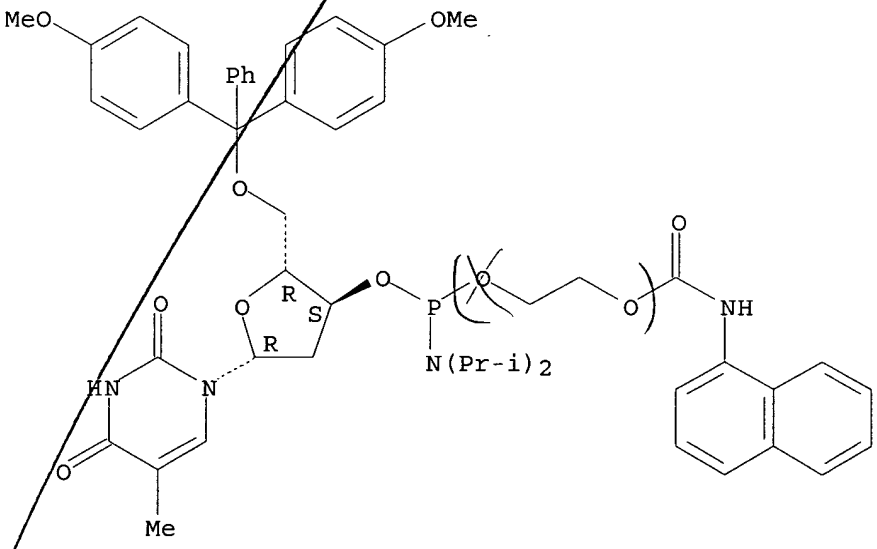
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



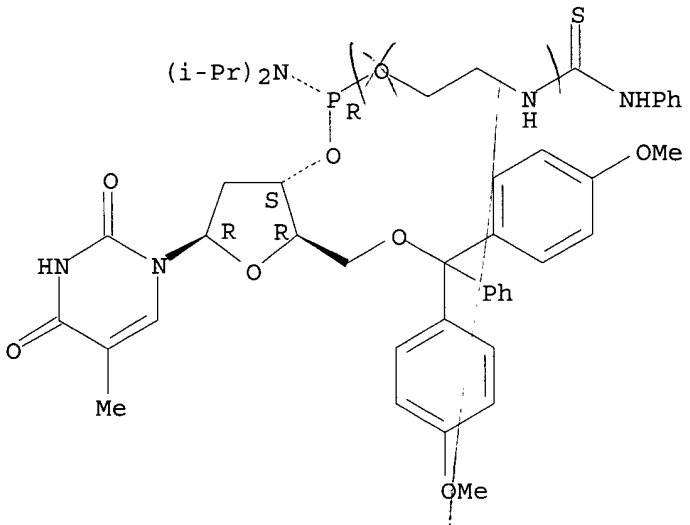
RN 291299-98-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



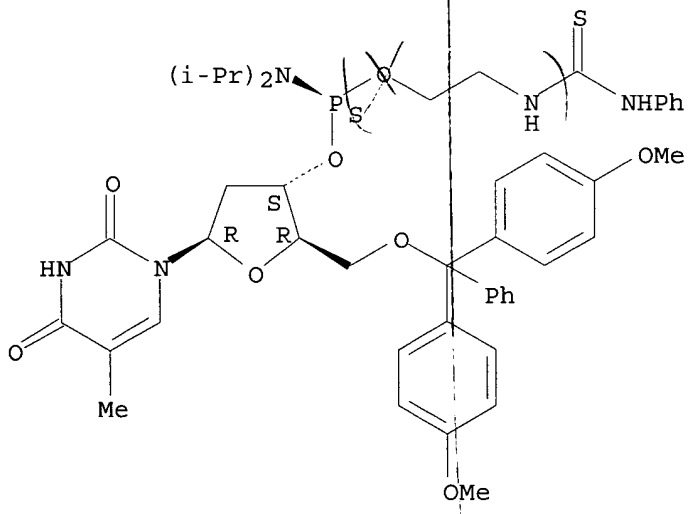
RN 291300-40-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



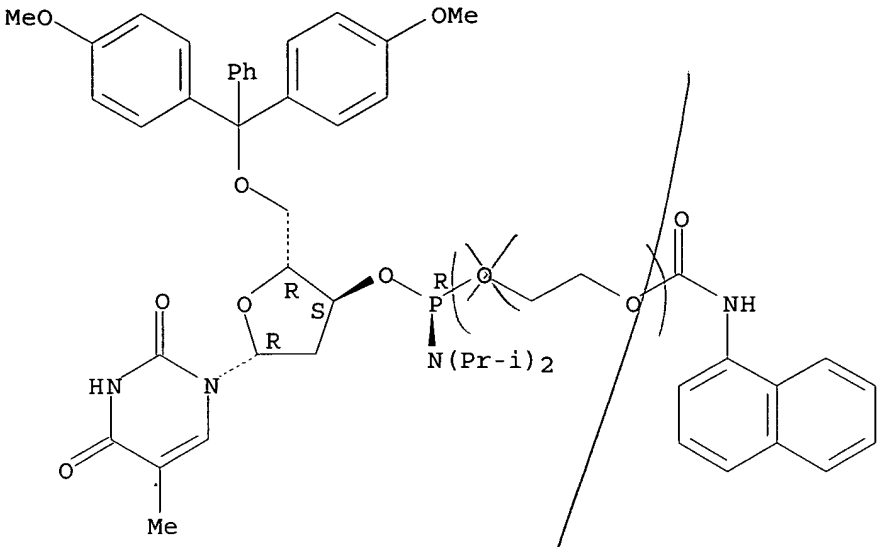
RN 291300-43-1 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



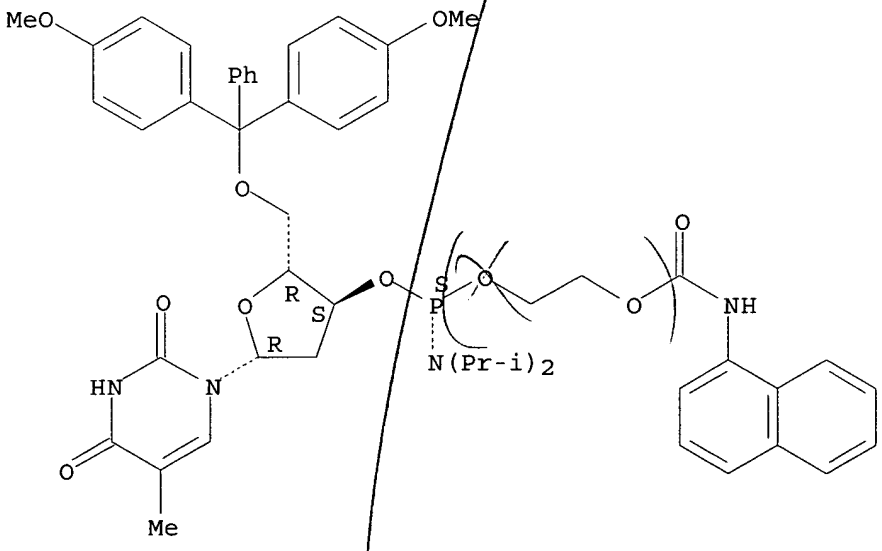
RN 291300-46-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 291300-48-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 2 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:667403 HCAPLUS
DOCUMENT NUMBER: 139:180305
TITLE: Preparation of oligodeoxyribonucleotides using phosphate and thiophosphate protecting groups
INVENTOR(S): Guzaev, Andrei P.; Manoharan, Muthiah
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. 6,121,437.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6610837	B1	20030826	US 2000-526386	20000316
US 6121437	A	20000919	US 1999-268797	19990316
US 2004082774	A1	20040429	US 2003-610664	20030630

PRIORITY APPLN. INFO.: US 1999-268797 A2 19990316
US 2000-526386 A2 20000316

OTHER SOURCE(S): MARPAT 139:180305

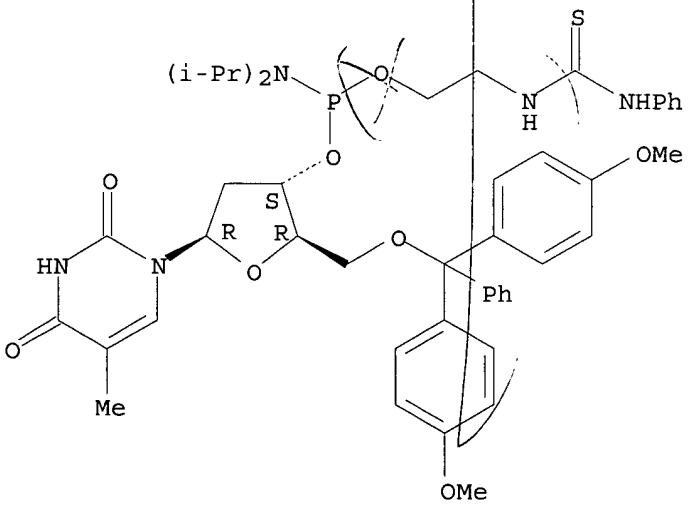
AB Novel phosphorus protecting groups, intermediates thereof, and synthetic processes for making the same are disclosed. Oligomeric compds.containing a moiety I wherein W and X are selected independently from O and S; Y is selected independently from O and substituted amine; Z is selected independently from a single bond, O, and substituted amine; Q is (R1)m; R1, at each occurrence, is selected independently from alkyl, alkenyl, alkynyl, cycloalkyl, CN, NO,, Cl, Br, F, I, CF3, alkoxy, substituted amine, and phenyl; alternatively, two R1 groups, when on adjacent carbons of the Ph ring, join to form a naphthyl ring that includes said Ph ring; R at each occurrence, is selected independently from H, alkyl, alkenyl ; n, m are independently 0-3,are prepared through the protection of one or more internucleosidic phosphorus functionalities, preferably followed by oxidation and cleavage of the protecting groups to provide oligonucleotides. Thus,N-[(N-phenyl)thiocarbamoyl]aminoethyl[5'-O-(4,4'-dimethoxytrityl)thymidin-3'-yl]-N,N-diisopropylphosphoramidite was prepared and incorporated into oligodeoxyribonucleotides.

IT **291299-97-3P 291299-98-4P 291300-40-8P**
291300-43-1P 291300-46-4P 291300-48-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligodeoxyribonucleotides using phosphate and thiophosphate protecting groups)

RN 291299-97-3 HCAPLUS

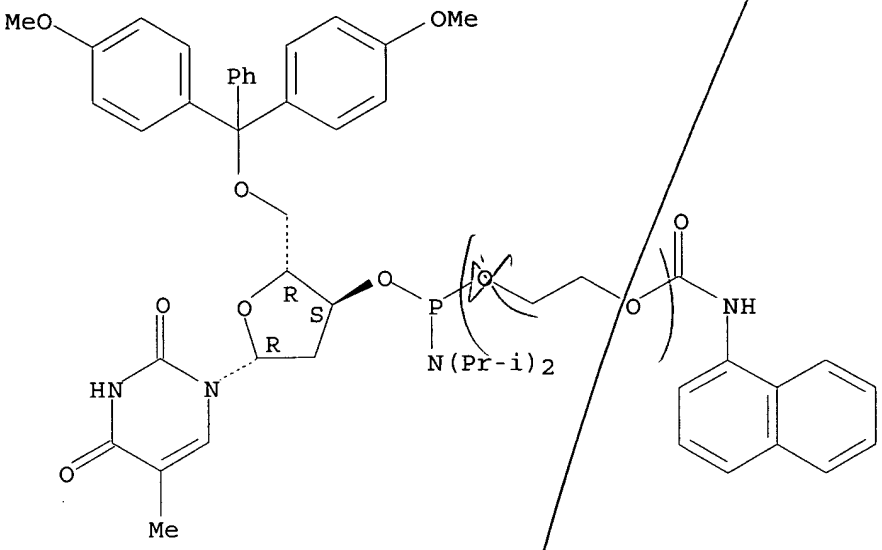
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



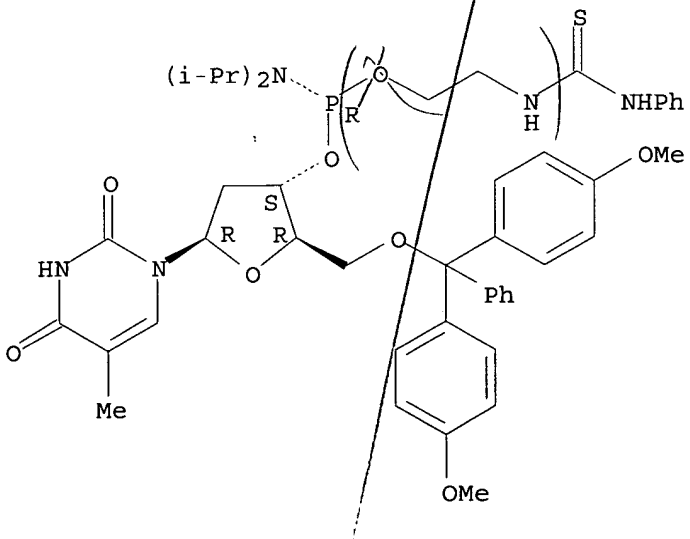
RN 291299-98-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



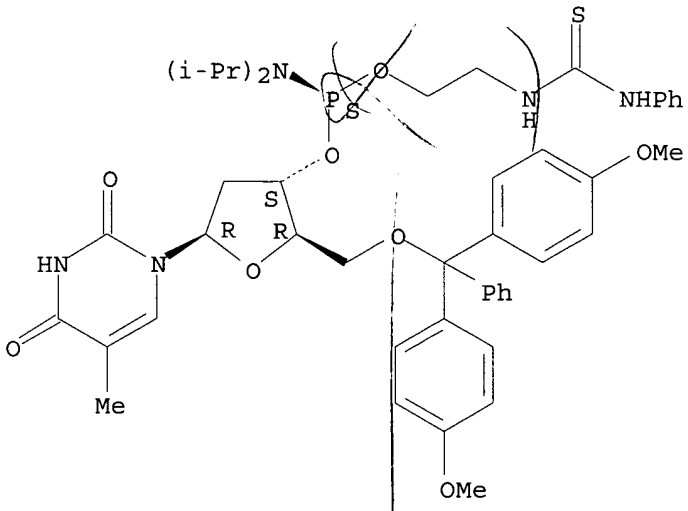
RN 291300-40-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



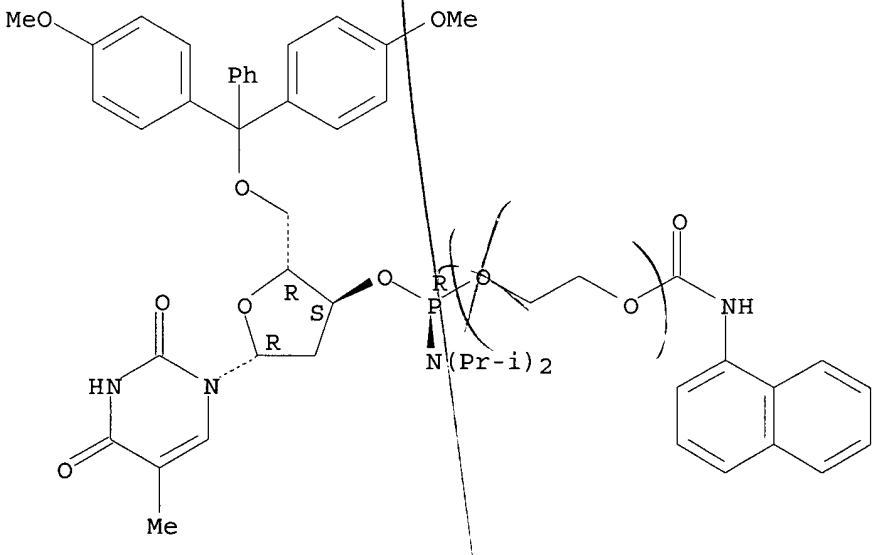
RN 291300-43-1 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



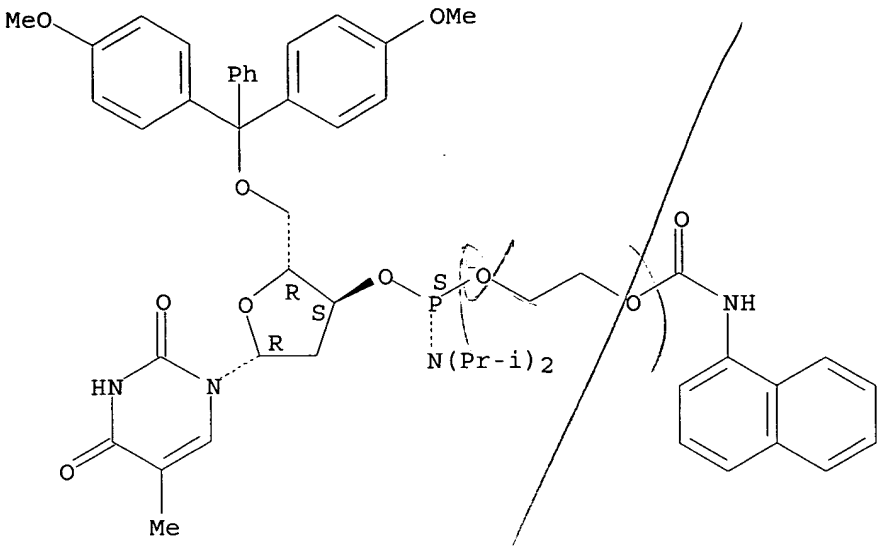
RN 291300-46-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 291300-48-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:454342 HCAPLUS
DOCUMENT NUMBER: 139:7126
TITLE: Thermolabile hydroxyl protecting groups in solid phase synthesis of nucleosides
INVENTOR(S): Beaucage, Serge L.; Grajkowski, Andrzej; Wilk, Andrzej
PATENT ASSIGNEE(S): Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048179	A2	20030612	WO 2002-US38400	20021203
WO 2003048179	A3	20031106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-336745P P 20011203
OTHER SOURCE(S): MARPAT 139:7126

AB Provided are a hydroxyl-protected alc. of the formula R-O-Pg, wherein Pg is a protecting group of the formulas I-II, wherein Y is R4, OR4, or NR4R5; Z is O, NR6 or CR6R7; W is CO or SO; R-R7 include H, a saturated or unsatd. alkyl, an aryl, and a saturated or unsatd. alkyl comprising an aryl;

a-f include H, a halogen, a saturated or unsatd. alkyl, a hydroxyl, an alkoxy, an aryloxy, an arylalkoxy, a cyano, a nitro, a sulfhydryl, an alkyl or aryl sulfoxy, are alkyl or aryl sulfonyl, a keto, a thio-keto, an ester, an amide, an amino, an alkylamino or a dialkylamino; and R represents the organic residue of the hydroxyl-protected alc.; a hydroxyl-protected alc. which includes a thermally cleavable 2-amidoethoxycarbonyl hydroxyl-protecting group; and a deprotection method, which includes heating the hydroxyl-protected alc. at a temperature effective to cleave thermally the hydroxyl-protecting group therefrom. Thus, nucleoside III was prepared from 3'-O-(4,4'-dimethoxytrityl)thymidine using pyrenylamidobenzyl alc. as protecting group.

IT **535959-52-5P**

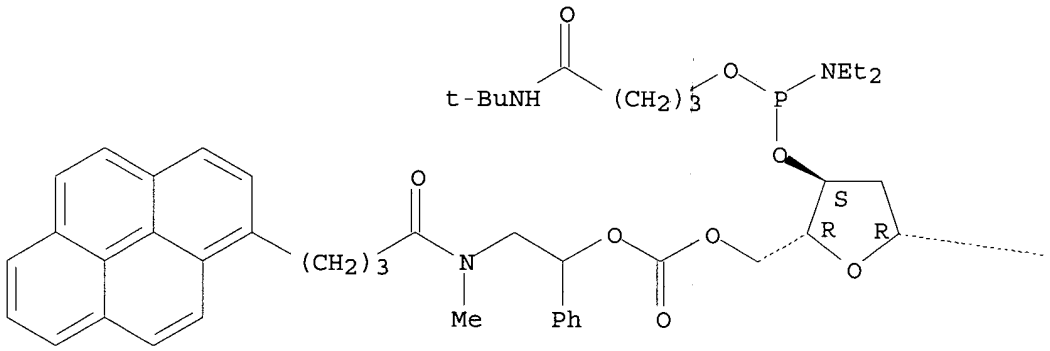
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(thermolabile hydroxyl protecting groups in solid phase synthesis of nucleosides)

RN 535959-52-5 HCAPLUS

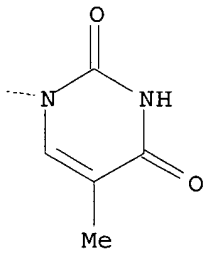
CN Thymidine, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl diethylphosphoramidite] 5'-[2-[methyl[1-oxo-4-(1-pyrenyl)butyl]amino]-1-phenylethyl carbonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L23 ANSWER 4 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:33811 HCAPLUS
DOCUMENT NUMBER: 138:106944
TITLE: Preparation of nucleotides by using substituted imidazoles or benzimidazoles
INVENTOR(S): Hayakawa, Yoshihiro
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003012690	A2	20030115	JP 2001-201591	20010703
PRIORITY APPLN. INFO.:			JP 2001-201591	20010703

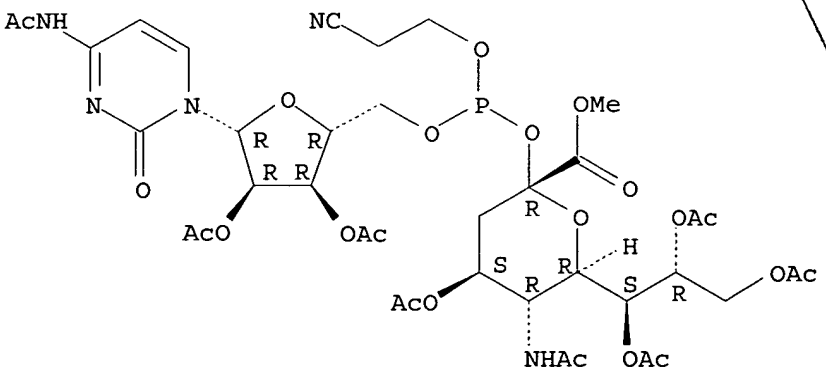
OTHER SOURCE(S): MARPAT 138:106944

AB Nucleotides are prepared by condensation of nucleosides with phosphoamidites in the presence of substituted imidazoles, benzimidazoles, or their salts as activating agents. Phosphoamidites comprise structure I (B = nucleic acid base; R1 = H, halo, protected OH, C1-4 alkoxy; R2 = H, C1-4 alkyl; R3 = OH-protecting group; X, Y = halo, dialkylamino, azole group, protected OH, C1-4 alkoxy; if R1 = alkoxy, and R2 = alkyl, then R1R2 may form ring). Compound I [B = N6-(allyloxycarbonyl)adenyn-9-yl, R3 = 4,4'-dimethoxytrityl, R1 = R2 = H, X = allyloxy, Y = N(Pr-iso)2] was reacted with 3'-O-(tert-butyldimethylsilyl)thymidine in the presence of N-phenylimidazole trifluoromethanesulfonate in acetonitrile at room temperature for 1 h to give 99% allyl [N6-(allyloxycarbonyl)-5'-O-(4,4'-dimethoxytrityl)-2'-deoxyadenylyl](3'-5')[3'-O-(tert-butyldimethylsilyl)thymidine].

IT **361448-00-2P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of nucleotides by using substituted imidazoles or benzimidazoles)

RN 361448-00-2 HCAPLUS
CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 1-(2-cyanoethyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



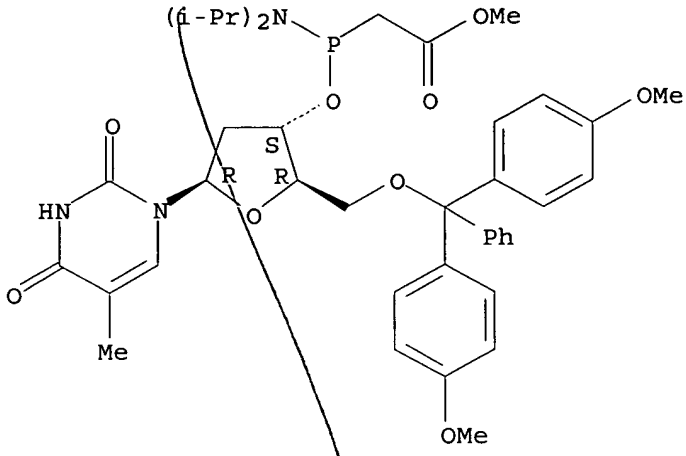
L23 ANSWER 5 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:8309 HCAPLUS
DOCUMENT NUMBER: 138:205290
TITLE: Solid-phase chemical synthesis of phosphonoacetate and thiophosphonoacetate oligodeoxynucleotides
AUTHOR(S): Dellinger, Douglas J.; Sheehan, David M.; Christensen, Nanna K.; Lindberg, James G.; Caruthers, Marvin H.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309-0215, USA
SOURCE: Journal of the American Chemical Society (2003), 125(4), 940-950
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:205290

AB Phosphonoacetate and thiophosphonoacetate oligodeoxynucleotides were prepared via a solid-phase synthesis strategy. Under Reformatskii reaction conditions, novel esterified acetic acid phosphinodiamidites were synthesized and condensed with appropriately protected 5'-O-(4,4'-dimethoxytrityl)-2'-deoxynucleosides to yield 3'-O-phosphinoamidite reactive monomers. These synthons when activated with tetrazole were used with an automated DNA synthesizer to prepare phosphonoacetic acid modified internucleotide linkages on controlled pore glass. The phosphinoacetate coupling products were quant. oxidized at each step with (1S)-(+)-(10-camphorsulfonyl)oxaziridine or 3H-1,2-benzodithiol-3-one-1,1-dioxide to produce mixed sequence phosphonoacetate and thiophosphonoacetate oligodeoxynucleotides with an average per cycle coupling efficiency of greater than 97%. Completely deprotected, modified oligodeoxynucleotides were purified by reverse-phase HPLC and characterized by ion exchange HPLC, 31P NMR, and MALDI/TOF mass spectroscopy. Both analogs were stable toward hydrolysis with snake venom phosphodiesterase and stimulated RNase H1 activity.

IT **411234-17-8P 411234-18-9P 411234-22-5P**
411234-24-7P 411234-26-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid phase synthesis and enzymic hydrolysis of of phosphonoacetate and thiophosphonoacetate oligodeoxyribonucleotide duplexes)

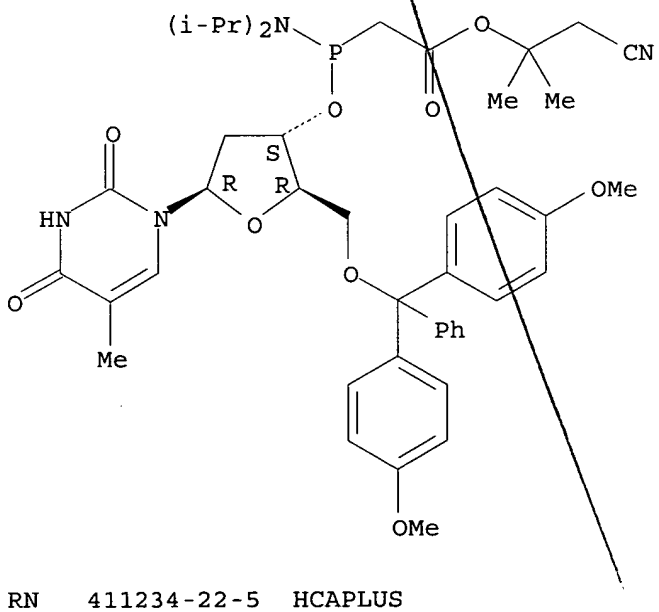
RN 411234-17-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[P-(2-methoxy-2-oxoethyl)-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



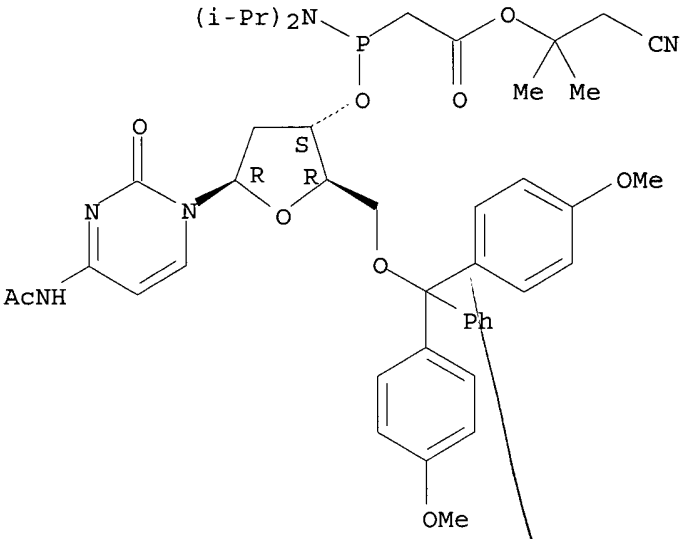
RN 411234-18-9 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



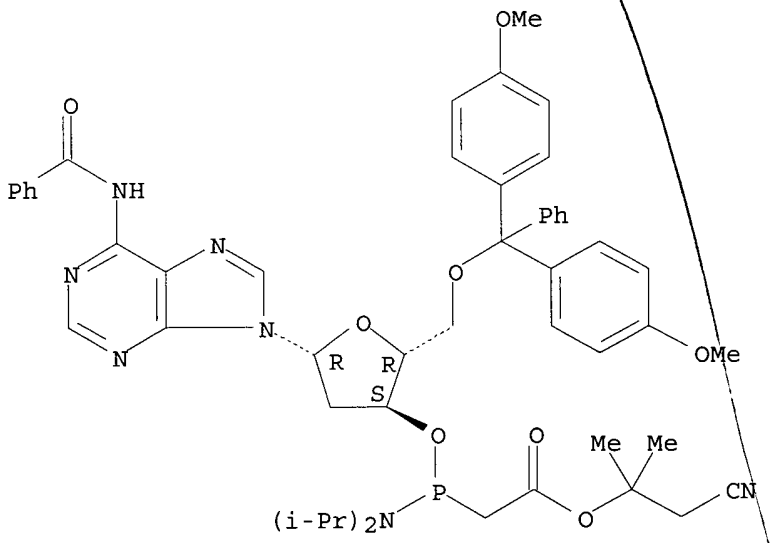
RN 411234-22-5 HCAPLUS
CN Cytidine, N-acetyl-5'-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



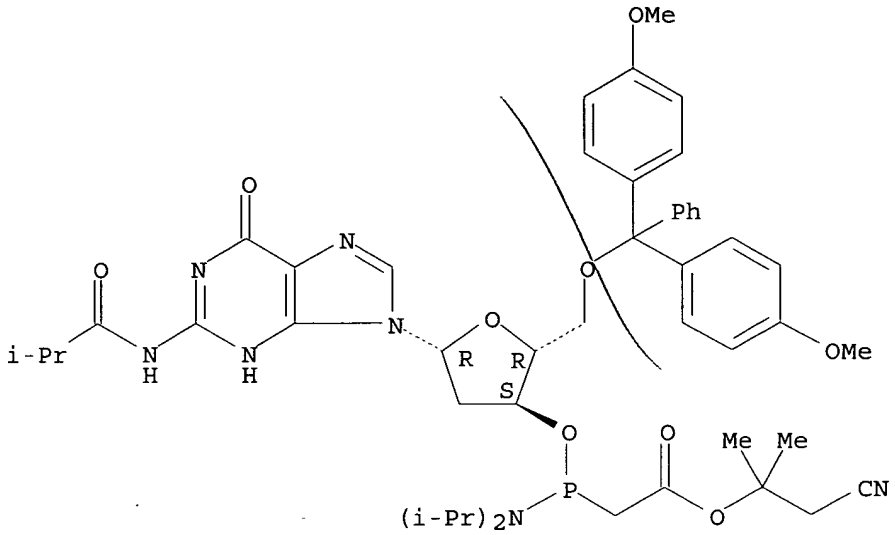
RN 411234-24-7 HCAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 411234-26-9 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:608517 HCAPLUS
DOCUMENT NUMBER: 137:311147
TITLE: The 3-(N-tert-Butylcarboxamido)-1-propyl Group as an Attractive Phosphate/Thiophosphate Protecting Group for Solid-Phase Oligodeoxyribonucleotide Synthesis
AUTHOR(S): Wilk, Andrzej; Chmielewski, Marcin K.; Grajkowski, Andrzej; Phillips, Lawrence R.; Beaucage, Serge L.
CORPORATE SOURCE: Center for Biologics Evaluation and Research, Division of Therapeutic Proteins, Food and Drug Administration, Bethesda, MD, 20892, USA
SOURCE: Journal of Organic Chemistry (2002), 67(18), 6430-6438
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

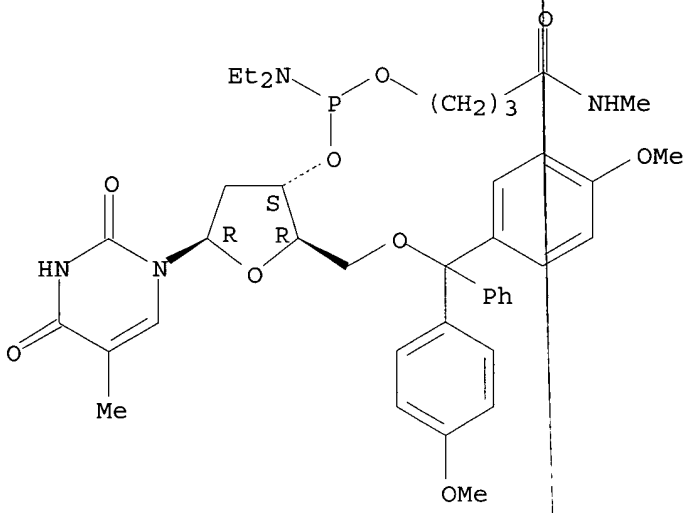
AB Among the various phosphate/thiophosphate protecting groups suitable for solid-phase oligonucleotide synthesis, the 3-(N-tert-butylcarboxamido)-1-Pr group is one of the most convenient, as it can be readily removed, as needed, under thermolytic conditions at neutral pH. The deprotection reaction proceeds rapidly (t1/2 .apprx.100 s) through an intramol. cyclo-deesterification reaction involving the amide function and the release of the phosphate/thiophosphate group as a 2-(tert-butylimino)tetrahydrofuran salt. Incorporation of the 3-(N-tert-butylcarboxamido)-1-Pr group into the deoxyribonucleoside phosphoramidites, e.g. 5'-O-(4,4'-dimethoxytrityl)-3'-O-(N,N-diisopropylamino)[3-(N-tert-butylcarboxamido)-1-propyloxy]phosphinyl-2'-deoxythymidine (I), is achieved using inexpensive raw materials. The coupling efficiency of I in the solid-phase synthesis of d(ATCCGTAGCTAAGGTCATGC) and its phosphorothioate analog is comparable to that of com. 2-cyanoethyl deoxyribonucleoside phosphoramidites. These oligonucleotides were phosphate/thiophosphate-deprotected within 30 min upon heating at 90 °C in Phosphate-Buffered Saline (PBS buffer, pH 7.2). Since no detectable nucleobase modification or significant phosphorothioate desulfurization occurs, the 3-(N-tert-butylcarboxamido)-1-

Pr group represents an attractive alternative to the 2-cyanoethyl group toward the large-scale preparation of therapeutic oligonucleotides.

IT **340026-90-6P 340026-91-7P 471878-66-7P 471878-67-8P 471878-68-9P 471878-69-0P 471878-77-0P 471878-78-1P 471878-79-2P 471878-80-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(3-(N-butyl-carboxamido)-1-Pr group as an attractive phosphate/thiophosphate protecting group for solid-phase oligodeoxyribonucleotide synthesis)

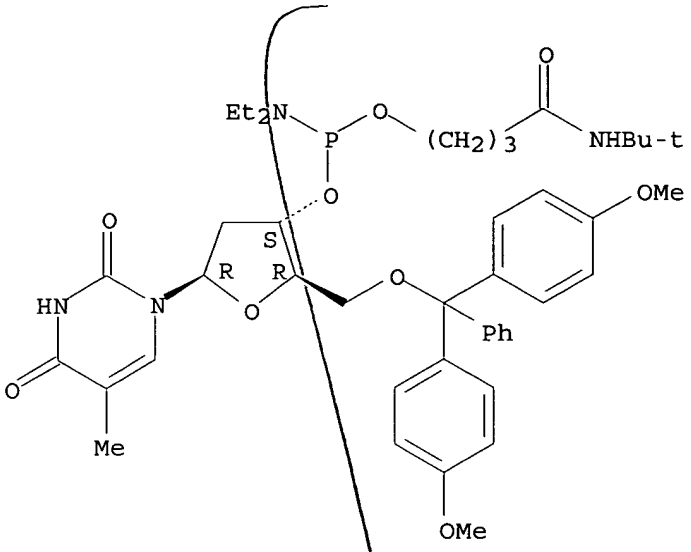
RN 340026-90-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[4-(methylamino)-4-oxobutyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



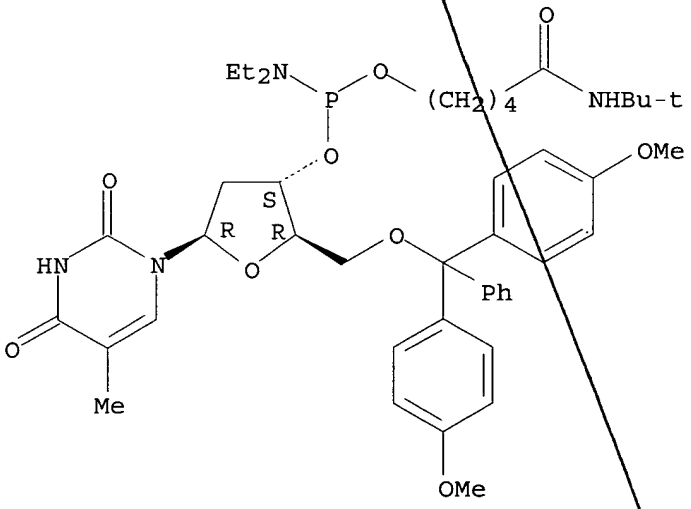
RN 340026-91-7 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



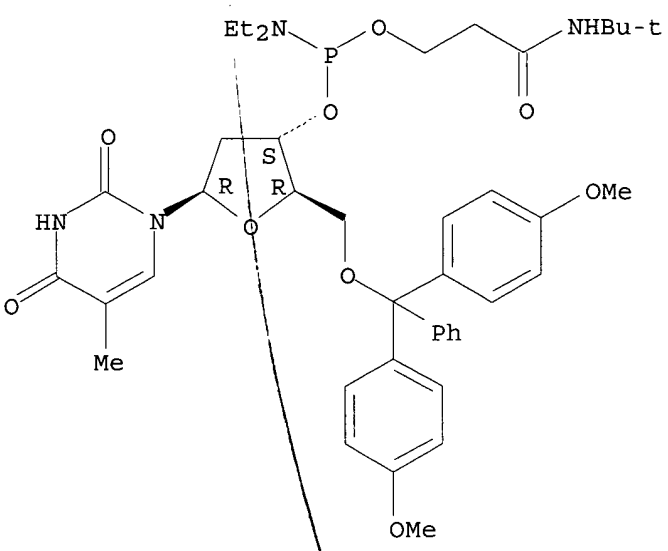
RN 471878-66-7 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[5-[(1,1-dimethylethyl)amino]-5-oxopentyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



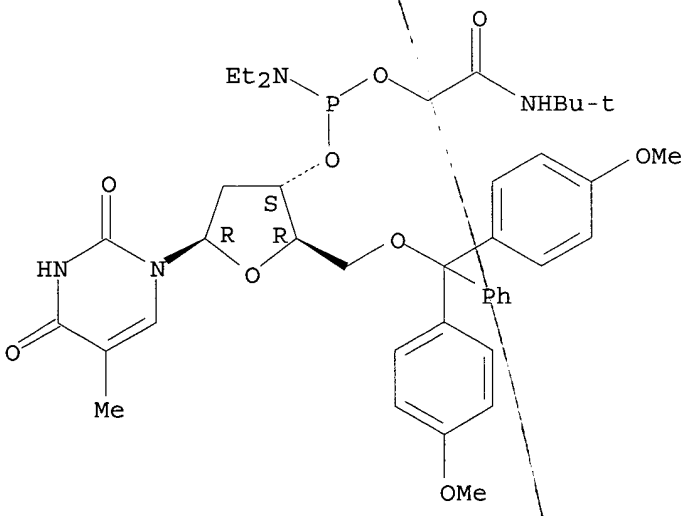
RN 471878-67-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[3-[(1,1-dimethylethyl)amino]-3-oxopropyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



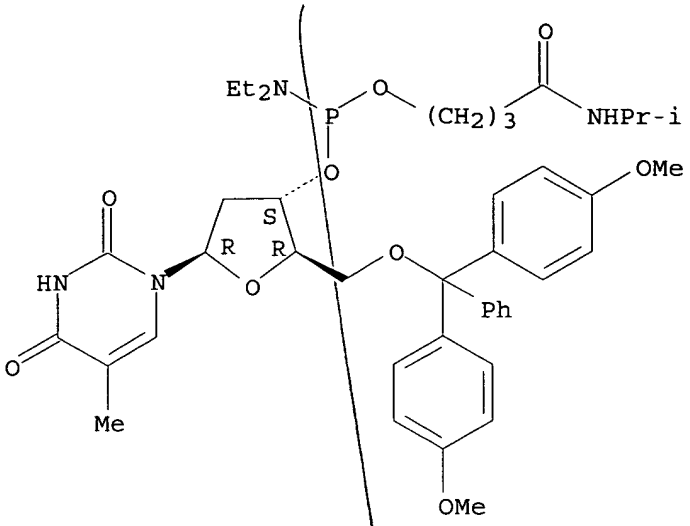
RN 471878-68-9 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[(1,1-dimethylethyl)amino]-2-oxoethyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



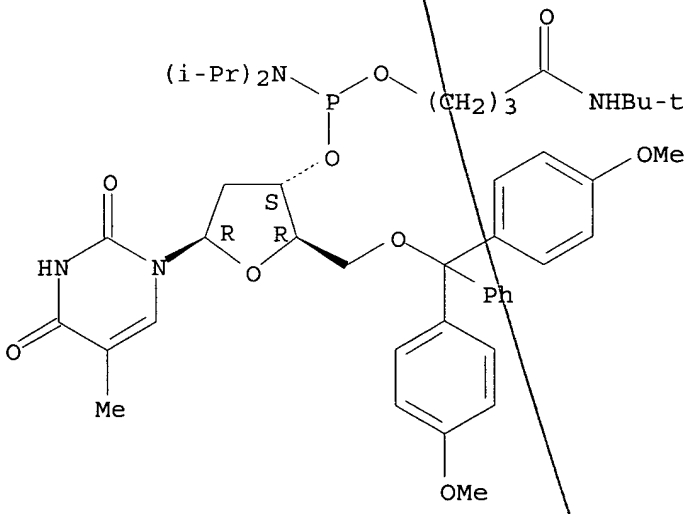
RN 471878-69-0 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[4-[(1-methylethyl)amino]-4-oxobutyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



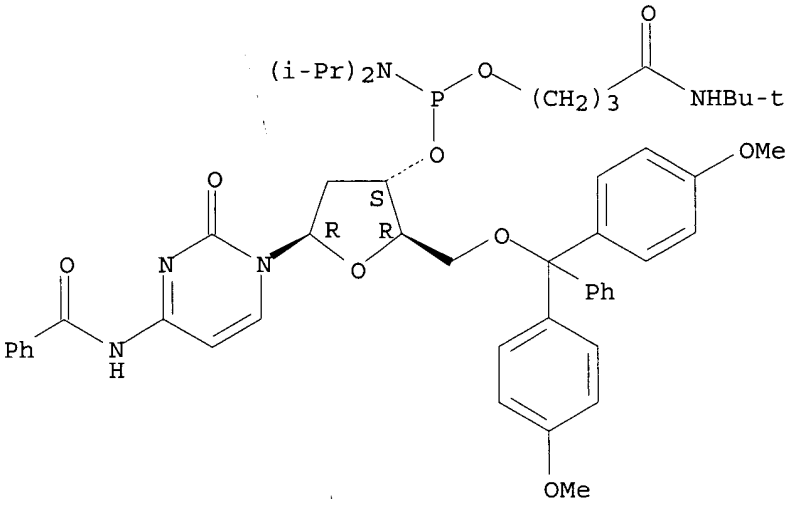
RN 471878-77-0 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



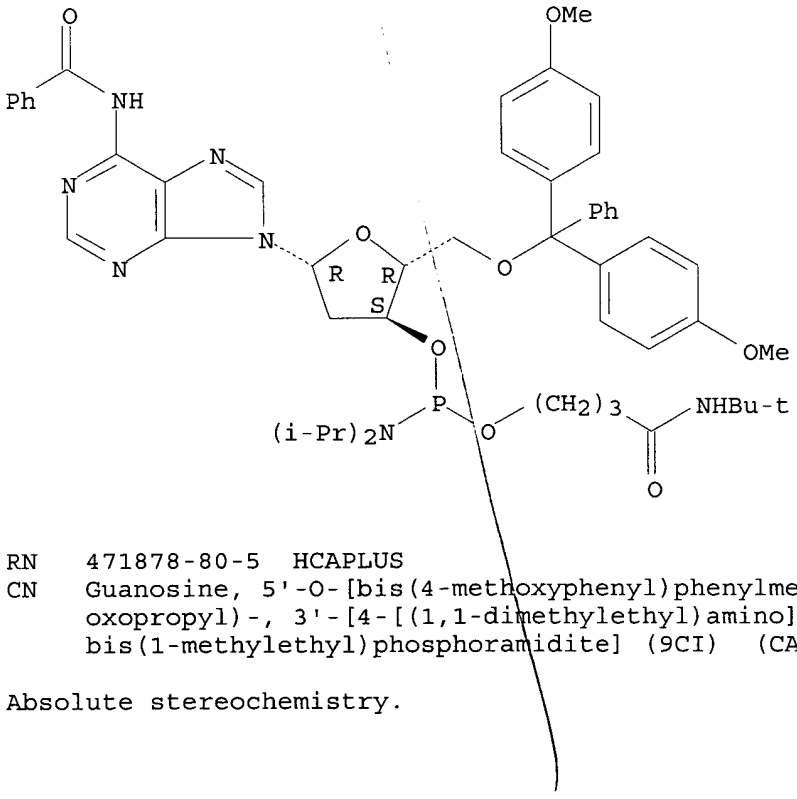
RN 471878-78-1 HCAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



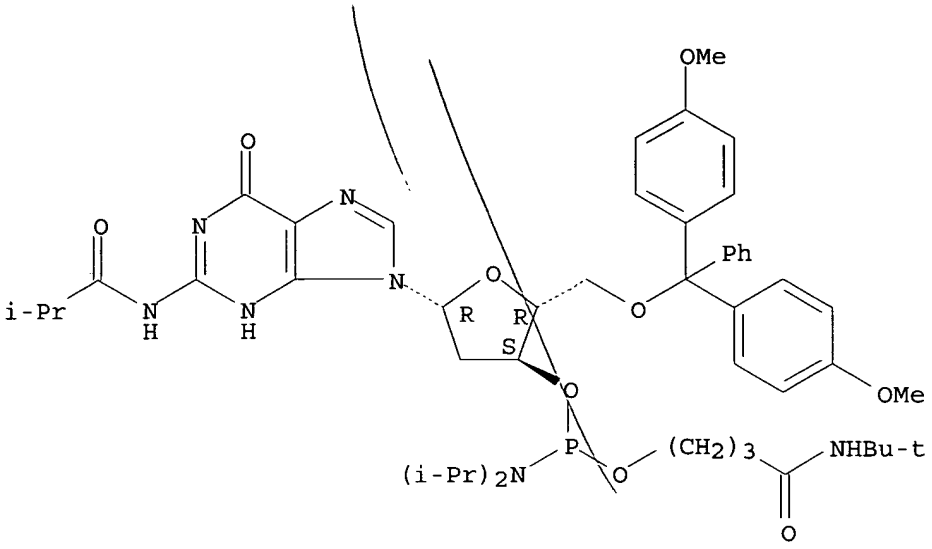
RN 471878-79-2 HCAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 471878-80-5 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:373441 HCAPLUS
DOCUMENT NUMBER: 137:140748
TITLE: Synthesis of Prodrug Candidates: Conjugates of Amino Acid with Nucleoside Boranophosphate
AUTHOR(S): Li, Ping; Shaw, Barbara Ramsay
CORPORATE SOURCE: Department of Chemistry, Duke University, Durham, NC, 27708-0346, USA
SOURCE: Organic Letters (2002), 4(12), 2009-2012
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140748

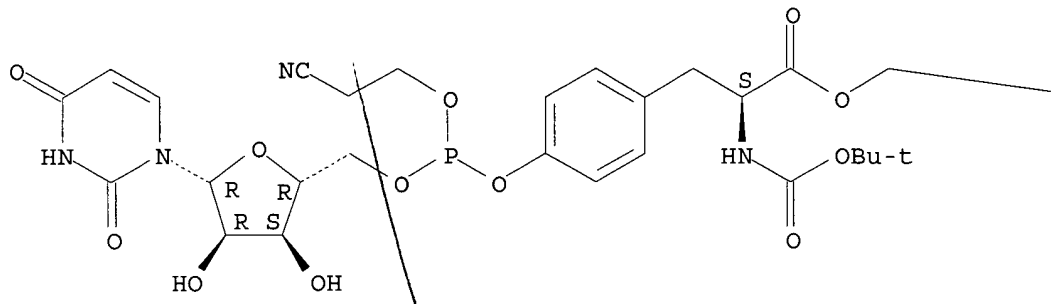
AB Preparation of antiviral and anticancer prodrug candidates, tyrosine-based nucleoside boranophosphates I (Base = uracil, R1 = R2 = OH; Base = 5-fluorouracil, R1 = OH, R2 = H; Base = thymine, R1 = N3, R2 = H), is described. One-pot synthesis via a phosphoramidite method afforded I with good yields. The diastereomers of I were separated by RP-HPLC, and their structures were confirmed by 1H and 31P NMR spectroscopy and MS anal.

IT **443307-27-5P 443307-28-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(one-pot preparation of tyrosinyl nucleoside boranophosphates as candidates for anti-AIDS and anticancer prodrugs)

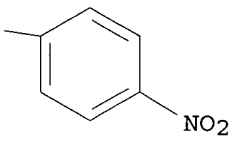
RN 443307-27-5 HCAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, (4-nitrophenyl)methyl ester, 2-cyanoethyl hydrogen phosphite (ester), 5'-ester with uridine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



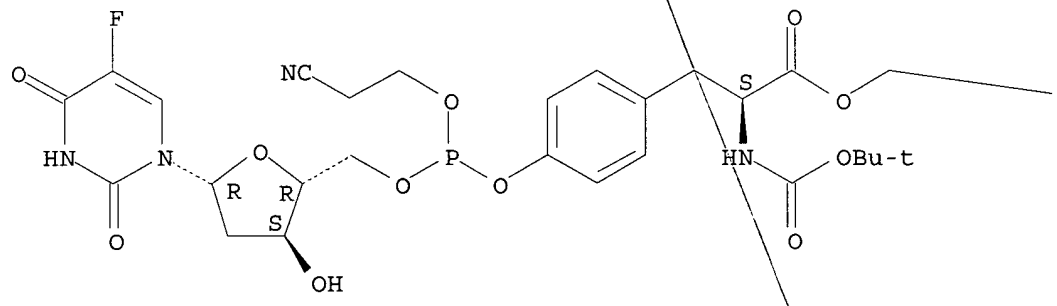
PAGE 1-B



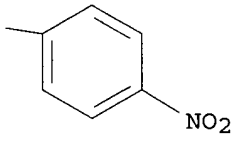
RN 443307-28-6 HCAPLUS
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-, (4-nitrophenyl)methyl ester, 2-cyanoethyl hydrogen phosphite (ester), 5'-ester with 2'-deoxy-5-fluorouridine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

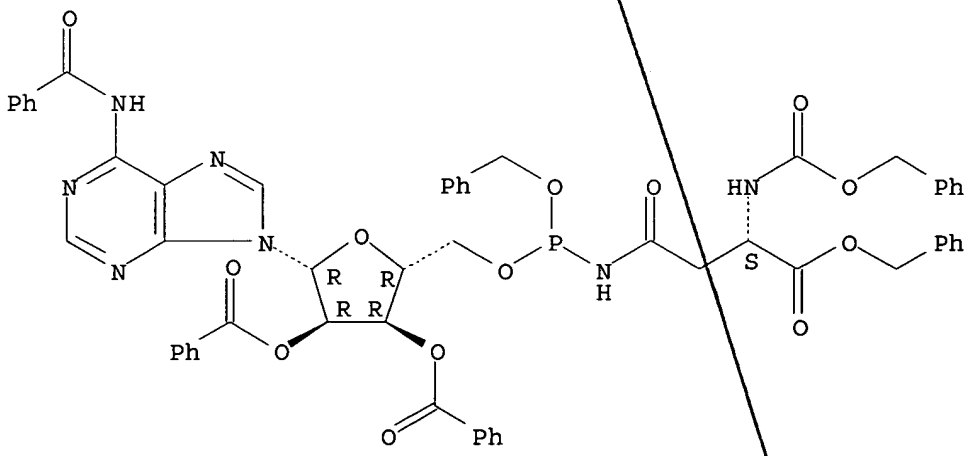
L23 ANSWER 8 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:363353 HCAPLUS
DOCUMENT NUMBER: 137:93969
TITLE: A Concise Synthesis of β-Asparaginyladenylate
AUTHOR(S): Ding, Yun; Wang, Jianqiang; Schuster, Sheldon M.; Richards, Nigel G. J.
CORPORATE SOURCE: Department of Chemistry, University of Florida, Gainesville, FL, 32611, USA
SOURCE: Journal of Organic Chemistry (2002), 67(12), 4372-4375
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:93969

AB The authors report a concise, "one-pot" synthesis of β-asparaginyladenylate trifluoroacetate I (R1 = NH2, R2 = H; R1 = H, R2 = NH2) using a novel coupling protocol that yields the target N-acylphosphoramidate in three reactions from readily available precursors. This simple synthetic procedure may represent a general approach for the preparation of functionalized N-acylphosphoramidates from amides that do not undergo coupling under the conditions of existing literature protocols.

IT **442675-41-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(concise, one-pot preparation of β-asparaginyladenylate using a novel coupling protocol and benzyl protecting groups)

RN 442675-41-4 HCAPLUS
CN L-Asparagine, N-[N-benzoyl-2',3'-di-O-benzoyl-P-deoxo-P(O)-(phenylmethyl)-5'-adenylyl]-N2-[(phenylmethoxy)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:314950 HCAPLUS
DOCUMENT NUMBER: 136:325787
TITLE: Preparation of oligodeoxyribonucleotide phosphinoamidite carboxylates and analogs having reduced internucleotide charge and enhanced nuclease resistance
INVENTOR(S): Dellinger, Douglas J.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002032912	A2	20020425	WO 2001-US32465		20011016
WO 2002032912	A3	20030313			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 6693187	B1	20040217	US 2000-691824		20001017
EP 1334111	A2	20030813	EP 2001-983160		20011016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2004116687	A1	20040617	US 2003-721301		20031124
PRIORITY APPLN. INFO.:			US 2000-691824	A	20001017
			WO 2001-US32465	W	20011016

OTHER SOURCE(S): MARPAT 136:325787

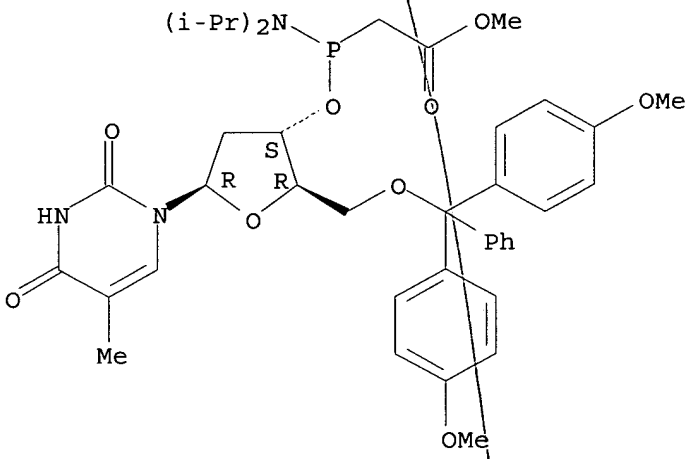
AB Phosphinoamidite carboxylates and analogs are provided that have the structure of formula R1-X-C(:Z)-(Y)n-P(R4)NR2R3 (I) were prepared wherein, R1 is hydrogen, protecting group, hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl or substituted heteroatom-containing hydrocarbyl; R2 and R3 are independently hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl and substituted heteroatom-containing hydrocarbyl, or R2 and R3 are linked to form a substituted or unsubstituted, five- or six-membered nitrogen-containing heterocycle; R4 is NR5R6, halogen, DL; wherein R5 and R6 are independently hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl and substituted heteroatom-containing hydrocarbyl, or R5 and R6 are linked to form a substituted or unsubstituted, five- or six-membered nitrogen-containing heterocycle, D is O, S or NH, and L is a heteroatom-protecting group, unsubstituted hydrocarbyl, substituted hydrocarbyl, heteroatom-containing hydrocarbyl, or substituted heteroatom-containing hydrocarbyl; X is O, S, NH; n is zero or 1; Y is alkyl, heterocycle; Z is O, S, NH. The compds. are useful as phosphitylating agents, e.g., in the phosphitylation of 3' and 5' hydroxyl groups of nucleosides and oligonucleotides. Also provided are phosphonocarboxylate and H-phosphonite carboxylate analogs of the compds. of formula I. The compds. enable synthesis of phosphinocarboxylate and phosphonocarboxylate oligonucleotides having reduced internucleotide charge and enhanced nuclease resistance.

IT **411234-17-8P 411234-18-9P 411234-19-0P**

411234-20-3P 411234-21-4P 411234-22-5P
411234-23-6P 411234-24-7P 411234-25-8P
411234-26-9P 411234-27-0P 411234-28-1P
411234-29-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oligodeoxyribonucleotide phosphinoamidite carboxylates and analogs having reduced internucleotide charge and enhanced nuclease resistance)

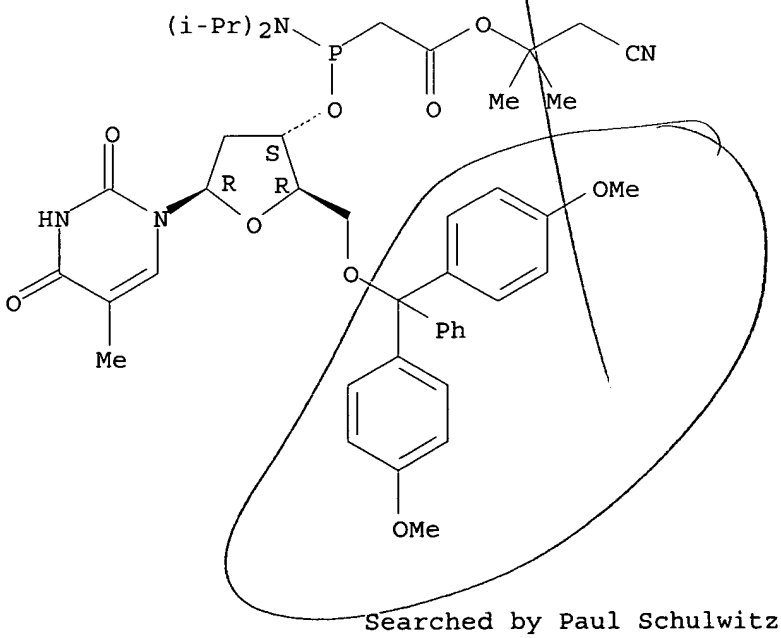
RN 411234-17-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[P-(2-methoxy-2-oxoethyl)-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



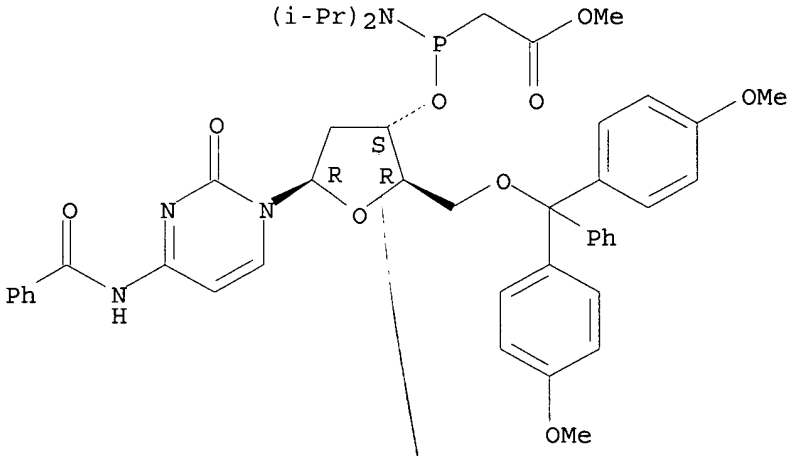
RN 411234-18-9 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



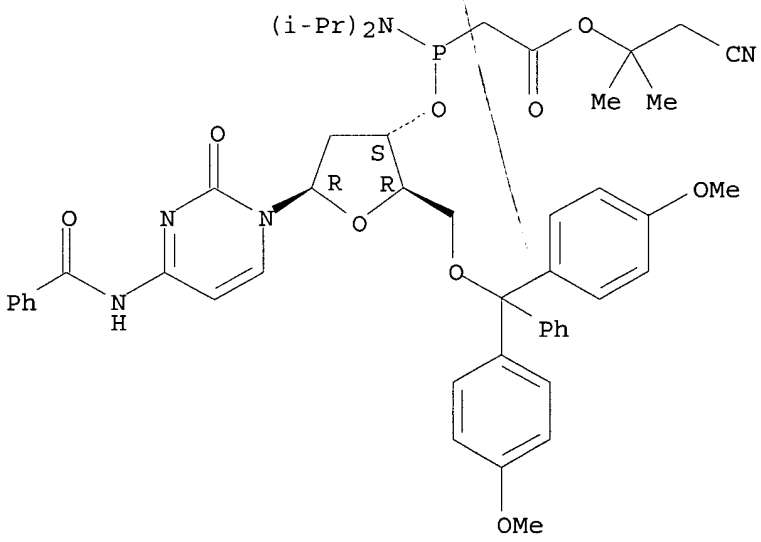
RN 411234-19-0 HCAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-(2-methoxy-2-oxoethyl)-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



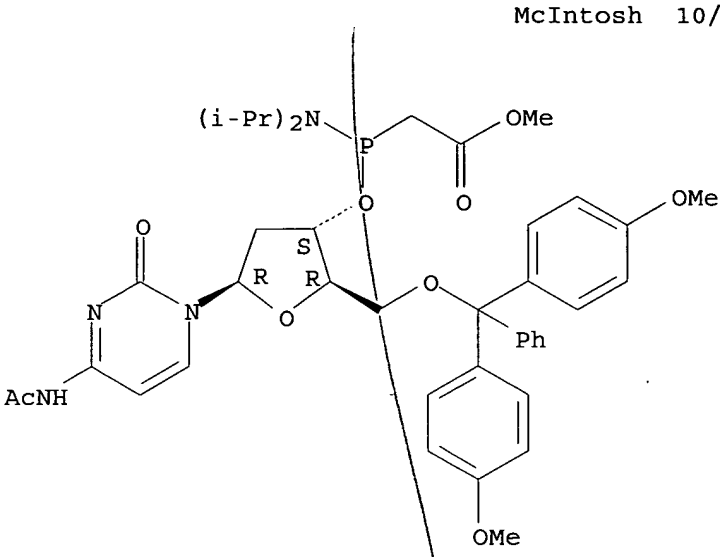
RN 411234-20-3 HCAPLUS
CN Cytidine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



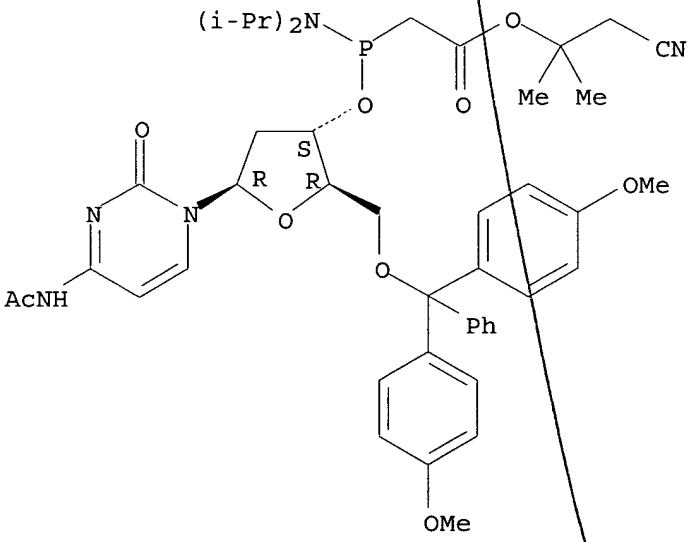
RN 411234-21-4 HCAPLUS
CN Cytidine, N-acetyl-5'-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-(2-methoxy-2-oxoethyl)-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



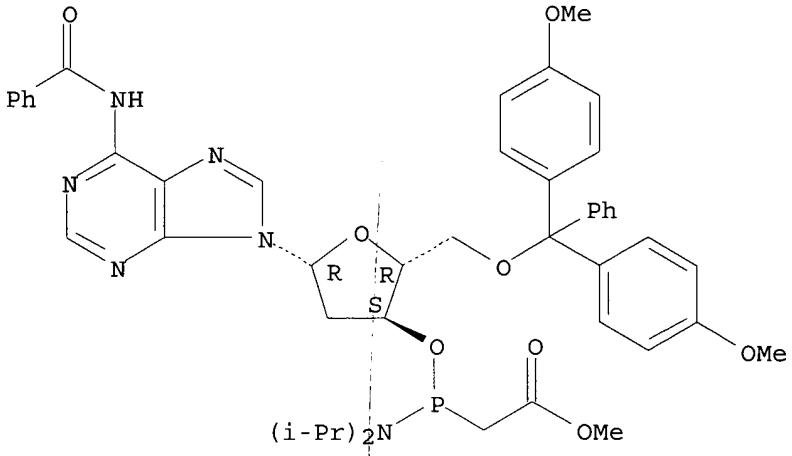
RN 411234-22-5 HCAPLUS
CN Cytidine, N-acetyl-5'-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



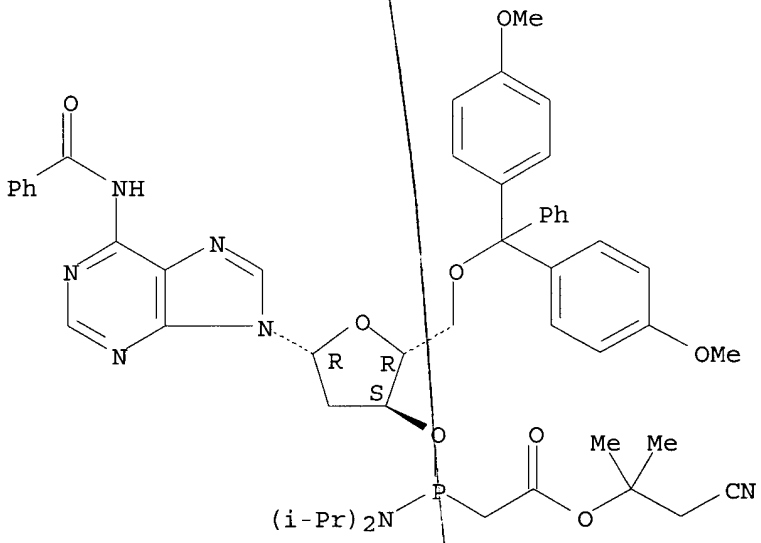
RN 411234-23-6 HCAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-(2-methoxy-2-oxoethyl)-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



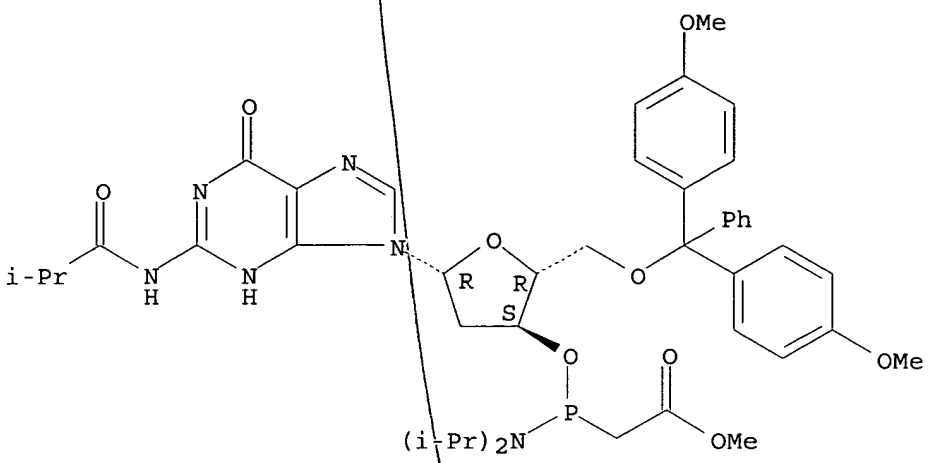
RN 411234-24-7 HCAPLUS
CN Adenosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



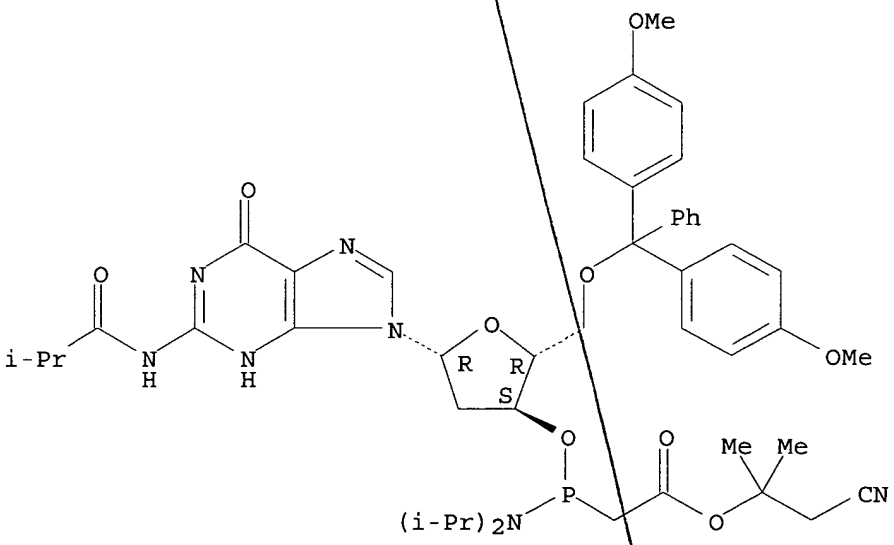
RN 411234-25-8 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-[P-(2-methoxy-2-oxoethyl)-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



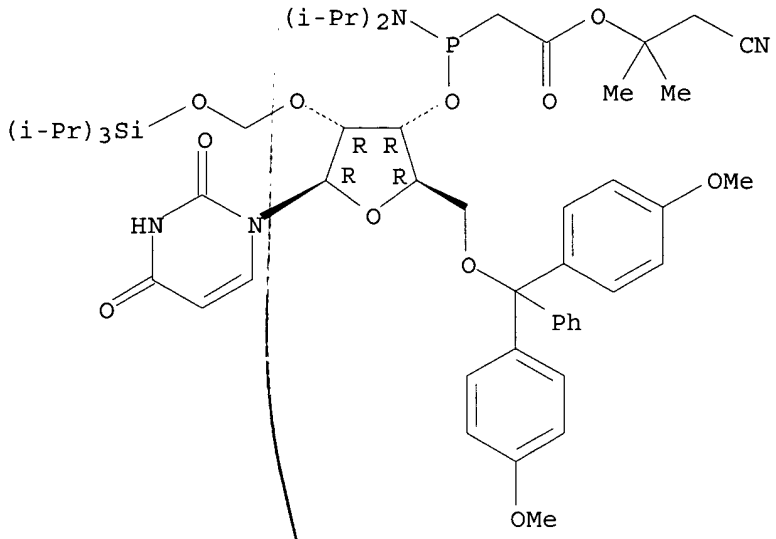
RN 411234-26-9 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



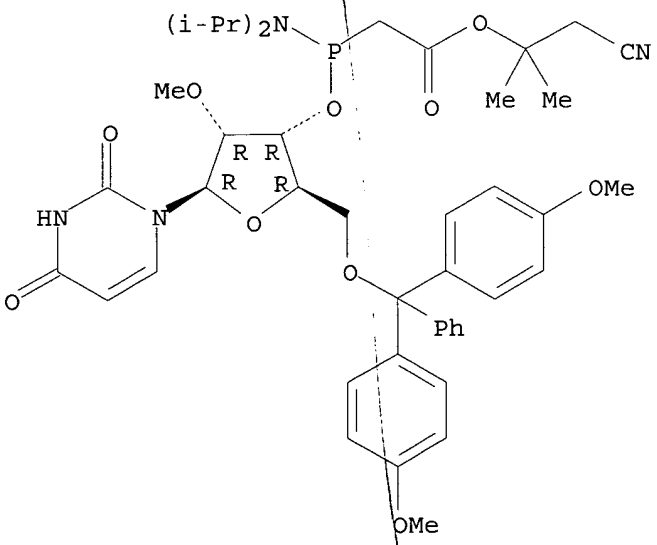
RN 411234-27-0 HCAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-[[[tris(1-methylethyl)silyl]oxy]methyl]-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



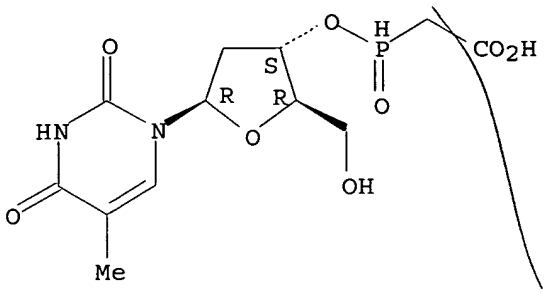
RN 411234-28-1 HCAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-O-methyl-, 3'-[P-[2-(2-cyano-1,1-dimethylethoxy)-2-oxoethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 411234-29-2 HCAPLUS
CN Thymidine, 3'-[(carboxymethyl)phosphinate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



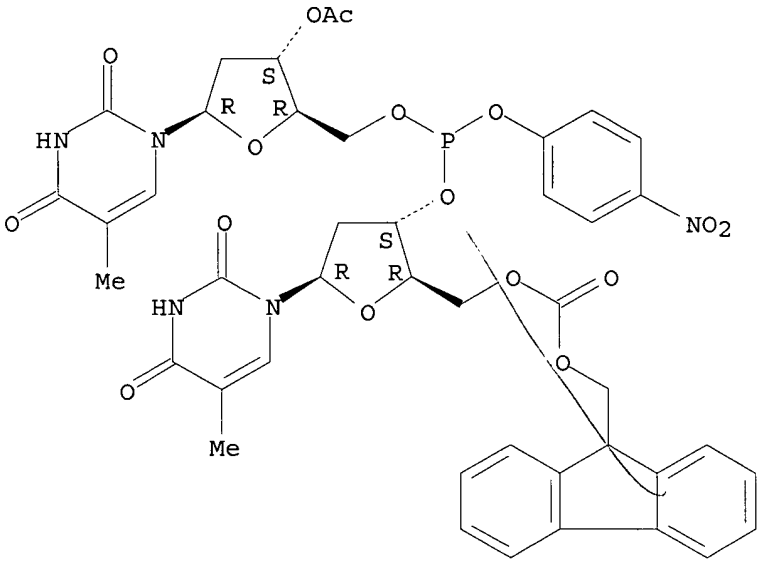
L23 ANSWER 10 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:674933 HCAPLUS
DOCUMENT NUMBER: 136:37840
TITLE: Synthesis of new classes of boron-containing nucleotides
AUTHOR(S): Lin, Jinlai; Shaw, Barbara Ramsay
CORPORATE SOURCE: Paul M. Gross Chemical Laboratory, Department of Chemistry, Duke University, Durham, NC, 27708-0346, USA
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(4-7), 587-596
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:37840

AB Four different types of boron-modified nucleotides are reported: P-boranophosphorothioates, P-cyanoboranophosphates, P-boranomethylphosphonates, and P3'-N5'-boranophosphoramidates. Synthesis of dinucleoside borano-phosphorothioates and nucleoside P-borano-P-thiomonophosphates via a lithium sulfide method is described. The Li2S method also provides an alternative way to synthesize phosphorothioates through a dinitrophenyl P(V) phosphotriester precursor. The mechanism of Li2S substitution was investigated. The P-boranophosphorothioate linkage in these dimer oligodeoxyribonucleotides is stable toward acidic or basic hydrolysis at pH 3 or pH 11. The P-boranophosphorothioate linkage is also stable toward cleavage by both snake venom phosphodiesterase and bovine spleen phosphodiesterase. We have synthesized four totally new types of boron-containing phosphodiester compds. as model nucleic acid mimics. Their similarity to natural nucleic acids and anticipated unique properties such as high lipophilicity and resistance to enzymic cleavage, in conjuction with their potential utility as carriers of 10B in boron neutron capture therapy for the treatment of cancer.

IT **245740-22-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of new classes of boron-containing nucleotides and oligodeoxyribonucleotides via Li2S nucleophilic substitution)

RN 245740-22-1 HCAPLUS
CN Thymidine, P-deoxo-5'-O-[(9H-fluoren-9-ylmethoxy)carbonyl]-P(O)-(4-nitrophenyl)thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:567823 HCAPLUS
DOCUMENT NUMBER: 135:289014
TITLE: Acid/Azole Complexes as Highly Effective Promoters in the Synthesis of DNA and RNA Oligomers via the Phosphoramidite Method
AUTHOR(S): Hayakawa, Yoshihiro; Kawai, Rie; Hirata, Akiyoshi; Sugimoto, Jun-ichiro; Kataoka, Masanori; Sakakura, Akira; Hirose, Masaaki; Noyori, Ryoji
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Graduate School of Human Informatics, Nagoya University, Chikusa, Nagoya, 464-8601, Japan
SOURCE: Journal of the American Chemical Society (2001), 123(34), 8165-8176
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:289014

AB The utility of various kinds of acid salts of azole derivs. as promoters for the condensation of a nucleoside phosphoramidite and a nucleoside is investigated. Among the salts, N-(phenyl)imidazolium triflate, N-(p-acetylphenyl)imidazolium triflate, N-(methyl)benzimidazolium triflate, benzimidazolium triflate, and N-(phenyl)imidazolium perchlorate have shown extremely high reactivity in a liquid phase. These reagents serve as powerful activators of deoxyribonucleoside 3'-(allyl N,N-diisopropylphosphoramidite)s or 3'-(2-cyanoethyl N,N-diisopropylphosphoramidite)s employed in the preparation of deoxyribonucleotides, and 3'-O-(tert-butyldimethylsilyl)ribonucleoside 2'-(N,N-diisopropylphosphoramidite)s or 2'-O-(tert-butyldimethylsilyl)ribonucleoside 3'-(N,N-diisopropylphosphoramidite)s used for the formation of 2'-5' and 3'-5' internucleotide linkages between ribonucleosides, resp. The azolium salt has allowed smooth and high-yield condensation of the nucleoside phosphoramidite and a 5'-O-free nucleoside,

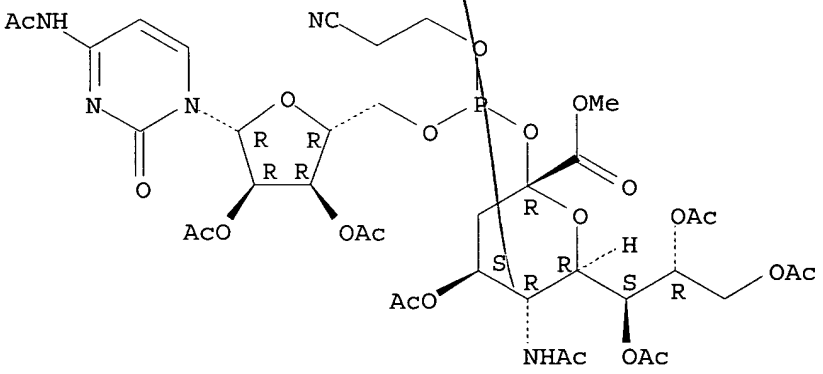
in which equimolar amts. of the reactants and the promoter are employed in the presence of powdery mol. sieves 3A in acetonitrile. It has been shown that some azolium salts serve as excellent promoters in the solid-phase synthesis of oligodeoxyribonucleotides and oligoribonucleotides. For example, benzimidazolium triflate and N-(phenyl)imidazolium triflate can be used as effective promoters in the synthesis of an oligodeoxyribonucleotide, 5'CGACACCCAATTCTGAAAAT3' (20mer), via a method using O-allyl/N-allyloxycarbonyl-protected deoxyribonucleoside 3'-phosphoramidites or O-(2-cyanoethyl)/N-phenoxyacetyl-protected deoxyribonucleotide 3'-phosphoramidite as building blocks, resp., on high-cross-linked polystyrene resins. Further, N-(phenyl)imidazolium triflate is useful for the solid-phase synthesis of oligoribonucleotides, such as 5'AGCUACGUGACUACUACUUU3' (20mer), according to an allyl/allyloxycarbonyl-protected strategy. The utility of the azolium promoter has been also demonstrated in the liquid-phase synthesis of some biol. important substances, such as cytidine-5'-monophosphono-N-acetylneuraminic acid (CMP-Neu5Ac) and adenylyl(2'-5')adenylyl(2'-5')adenosine (2-5A core).

IT **361448-00-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligonucleotides using acid/azole salts as phosphoramidite coupling agents)

RN 361448-00-2 HCAPLUS

CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 1-(2-cyanoethyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:247768 HCAPLUS
DOCUMENT NUMBER: 134:367132
TITLE: The 2-(N-Formyl-N-methyl)aminoethyl Group as a Potential Phosphate/Thiophosphate Protecting Group in Solid-Phase Oligodeoxyribonucleotide Synthesis
AUTHOR(S): Grajkowski, Andrzej; Wilk, Andrzej; Chmielewski, Marcin K.; Phillips, Lawrence R.; Beaucage, Serge L.
CORPORATE SOURCE: Division of Therapeutic Proteins Center for Biologics Evaluation and Research, Food and Drug Administration, Bethesda, MD, 20892, USA

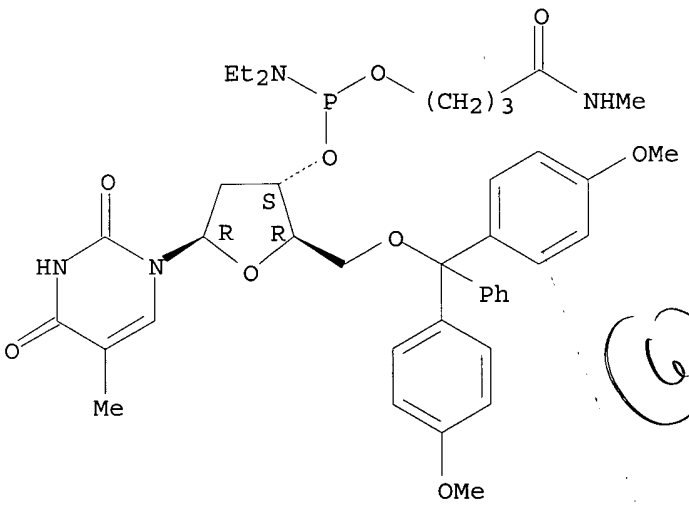
SOURCE: Organic Letters (2001), 3(9), 1287-1290
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:367132

AB The 2-(N-formyl-N-methyl)aminoethyl deoxyribonucleoside phosphoramidite I has been synthesized and used in the solid-phase synthesis of an octadecathymidylic acid as a cost-efficient monomer for potential application in the preparation of therapeutic oligonucleotides. The 2-(N-formyl-N-methyl)aminoethyl group can be cleaved from oligonucleotides according to a unique thermolytic cyclo-de-esterification process at pH 7.0. In addition to being cost-effective, the use of 1 simplifies oligonucleotide post-synthesis processing by eliminating the utilization of concentrated ammonium hydroxide in oligonucleotide deprotection.

IT **340026-90-6P 340026-91-7P 340026-92-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(formylmethylaminoethyl group as a potential phosphate/thiophosphate protecting group in solid phase oligodeoxyribonucleotide synthesis)

RN 340026-90-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[4-(methylamino)-4-oxobutyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



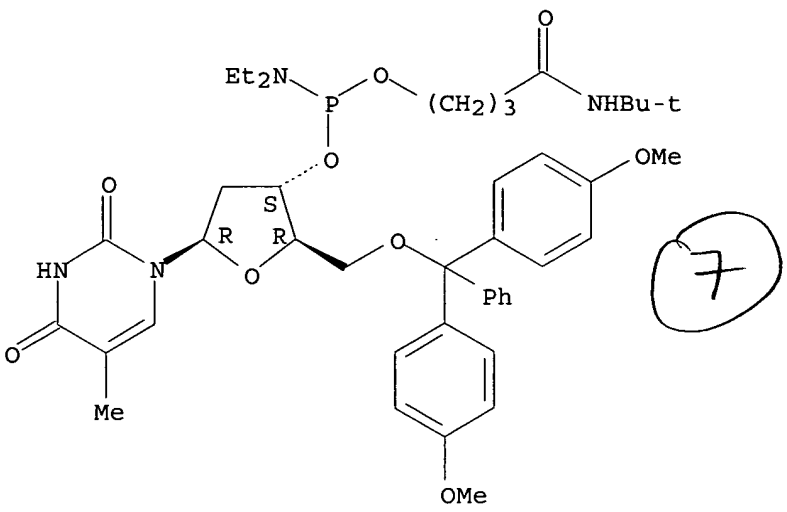
printed

(6)

CPds-6,7,8

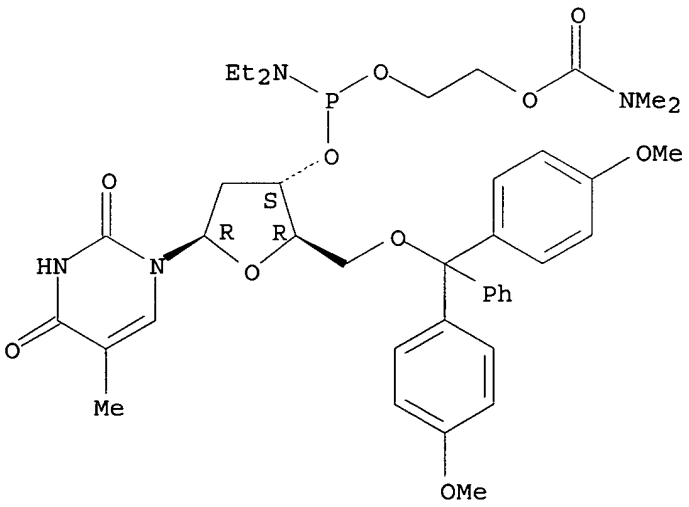
RN 340026-91-7 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[4-[(1,1-dimethylethyl)amino]-4-oxobutyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 340026-92-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(dimethylamino)carbonyl]oxy]ethyl diethylphosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 13 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:19437 HCAPLUS
DOCUMENT NUMBER: 134:208055
TITLE: 2-Benzamidoethyl Group - A Novel Type of Phosphate Protecting Group for Oligonucleotide Synthesis
AUTHOR(S): Guzaev, Andrei P.; Manoharan, Muthiah
CORPORATE SOURCE: Department of Medicinal Chemistry, Isis Pharmaceuticals Inc., Carlsbad, CA, 92009, USA
SOURCE: Journal of the American Chemical Society (2001),

123(5), 783-793
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:208055

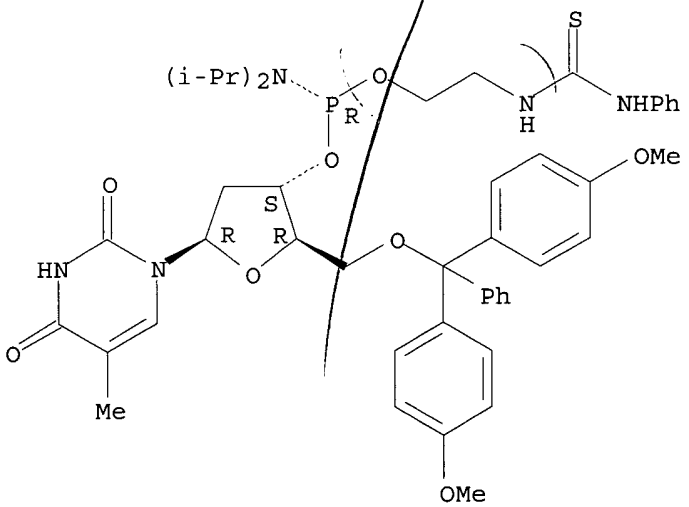
AB A number of 5'-O-(4,4'-dimethoxytrityl)thymidine N,N-diisopropylamino phosphoramidites protected at P(III) with derivs. of 2-benzamidoethanol were synthesized and incorporated into synthetic oligonucleotides. Depending on substitution patterns at the alkyl chain, amido group, and Ph ring, the time required for removal of these protecting groups using concentrated ammonium hydroxide varied from 48 h at 55 °C to 25 min at 25 °C. Of the 11 groups studied, 2-[N-isopropyl-N-(4-methoxybenzoyl)amino]ethyl- (H) and ω-(thionobenzoylamino)alkyl protections (I and K) were most easily removed. Derivs. of the 2-[N-methyl-N-benzoylamino]ethyl group (E-G) demonstrated moderate stability, but those of the 2-(N-benzoylamino)ethyl group (A-C) were the most stable. All of these novel building blocks were successfully tested in the preparation of natural, 20-mer oligonucleotides and their phosphorothioate analogs. It is important to note that none of the products formed was reactive toward the oligonucleotide backbone or nucleic bases. Thus, a general strategy for protection of internucleosidic phosphodiester groups is described, which may also find application in synthetic organic chemical of phosphorus(III) and (V).

IT **291300-40-8P 291300-43-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzamidoethyl group as novel type of phosphate protecting group for oligonucleotide synthesis)

these are both in 6,12,437

RN 291300-40-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

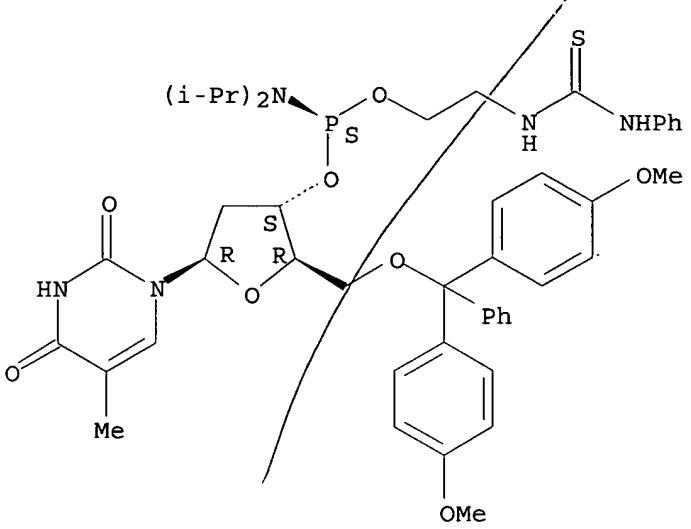
Absolute stereochemistry.



RN 291300-43-1 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (S)-bis(1-

methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:16938 HCAPLUS
DOCUMENT NUMBER: 134:193670
TITLE: Stereoselective synthesis of Pp- and Sp-dithymidine phosphorothioates via chiral indolooxazaphosphorine intermediates derived from tryptophan
AUTHOR(S): Lu, Yixin; Just, George
CORPORATE SOURCE: Department of Chemistry, McGill University, Montreal, QC, H3A 2K6, Can.
SOURCE: Angewandte Chemie, International Edition (2000), 39(24), 4521-4524
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:193670

AB Chiral indolooxazaphosphorine auxiliaries I were easily prepared from L- and D-tryptophans using a Pictet-Spengler reaction with epimerization. When applied in solution and solid-phase syntheses of dithymidine phosphorothioates, the L-tryptophan-derived chiral auxiliary led to the formation of the RP isomer, and the D-tryptophan-derived precursor to the SP isomer. RP- and SP-thymidine dimers were synthesized using both solution and solid-phase techniques to establish the versatility of the chiral auxiliaries.

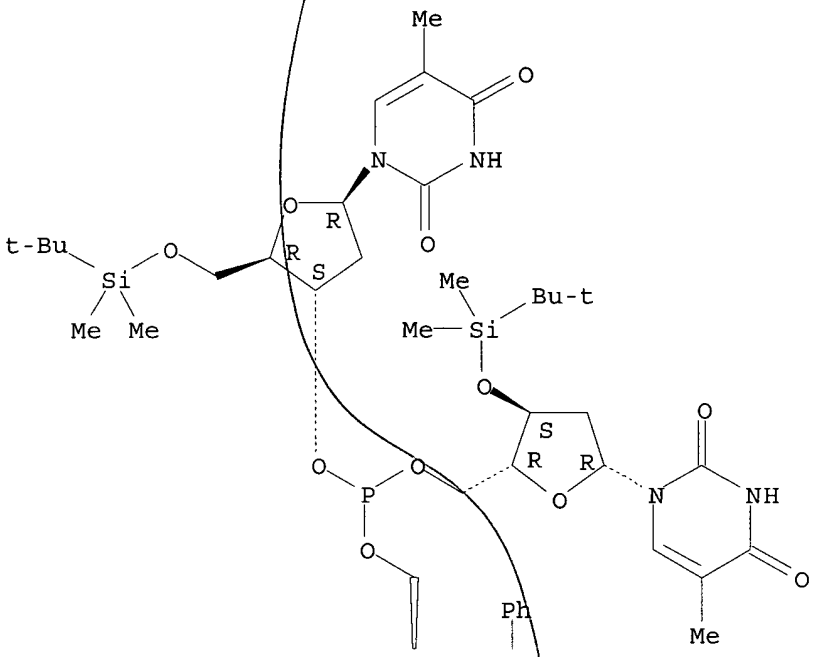
IT **327983-85-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and use of indolooxazaphosphorine chiral auxiliaries derived from tryptophan for stereoselective phosphorothioate synthesis)

RN 327983-85-7 HCAPLUS
CN Thymidine, P-deoxo-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-P(O)-[[(1S,3S)-2,3,4,9-tetrahydro-2-(phenylmethyl)-3-(1-pyrrolidinylcarbonyl)-1H-

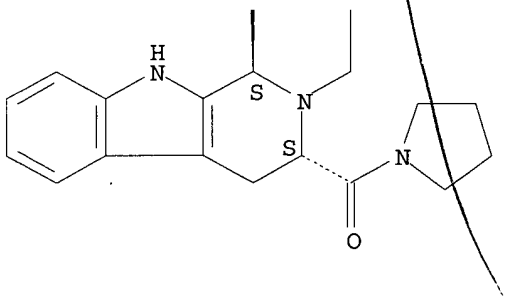
pyrido[3,4-b]indol-1-yl]methyl]thymidylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]-\(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 15 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:658523 HCAPLUS
DOCUMENT NUMBER: 133:222976
TITLE: Preparation of oligodeoxyribonucleotides using phosphate and thiophosphate protecting groups
INVENTOR(S): Guzaev, Andrei P.; Manoharan, Muthiah
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: U.S., 31 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6121437	A	20000919	US 1999-268797	19990316
WO 2000055179	A1	20000921	WO 2000-US6856	20000316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6610837	B1	20030826	US 2000-526386	20000316
US 2004082774	A1	20040429	US 2003-610664	20030630
PRIORITY APPLN. INFO.:			US 1999-268797	A2 19990316
			US 2000-526386	A2 20000316

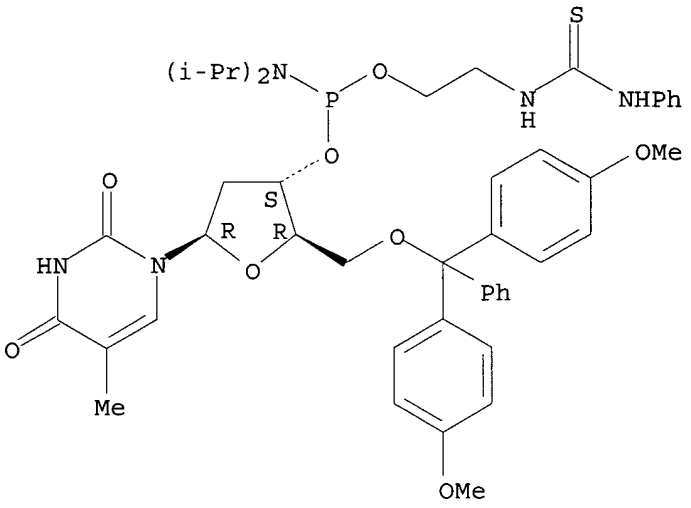
OTHER SOURCE(S): MARPAT 133:222976

AB Novel phosphorus protecting groups, intermediates thereof, and synthetic processes for making the same are disclosed. Oligomeric compds.containing a moiety I wherein W and X are selected independently from O and S; Y is selected independently from O and substituted amine; Z is selected independently from a single bond, O, and substituted amine; Q is (R1)m; R1, at each occurrence, is selected independently from alkyl, alkenyl, alkynyl, cycloalkyl, CN, NO,, Cl, Br, F, I, CF3, alkoxy, substituted amine, and phenyl; alternatively, two R1 groups, when on adjacent carbons of the Ph ring, join to form a naphthyl ring that includes said Ph ring; R at each occurrence, is selected independently from H, alkyl, alkenyl ; n, m are independently 0-3,are prepared through the protection of one or more internucleosidic phosphorus functionalities, preferably followed by oxidation and cleavage of the protecting groups to provide oligonucleotides. Thus,N-[(N-phenyl)thiocarbamoyl]aminoethyl[5'-O-(4,4'-dimethoxytrityl)thymidin-3'-yl]-N,N-diisopropylphosphoramidite was prepared and incorporated into oligodeoxyribonucleotides.

IT **291299-97-3P 291299-98-4P 291300-40-8P**
291300-43-1P 291300-46-4P 291300-48-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligodeoxyribonucleotides using phosphate and thiophosphate protecting groups)

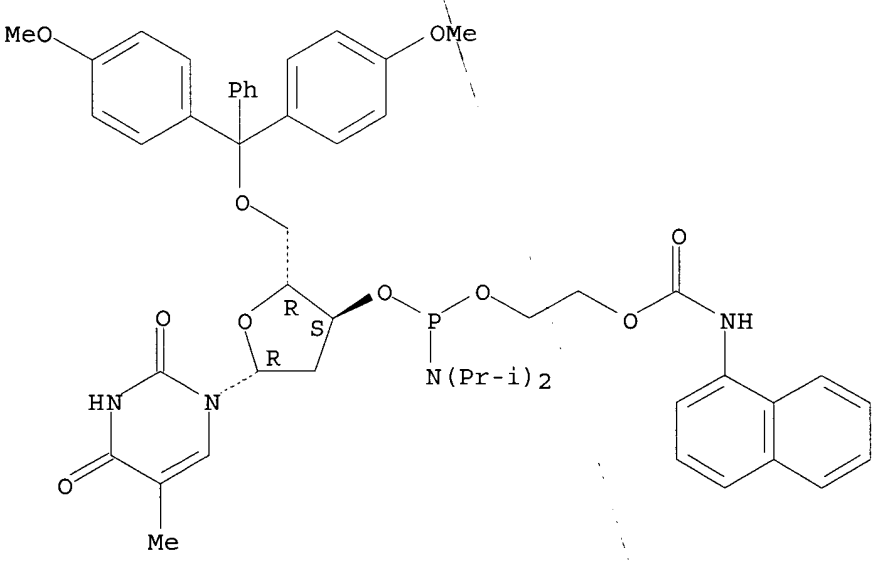
RN 291299-97-3 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



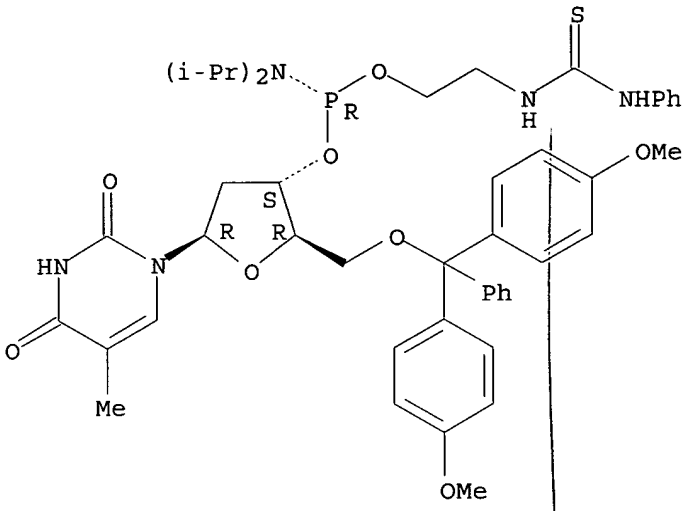
RN 291299-98-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



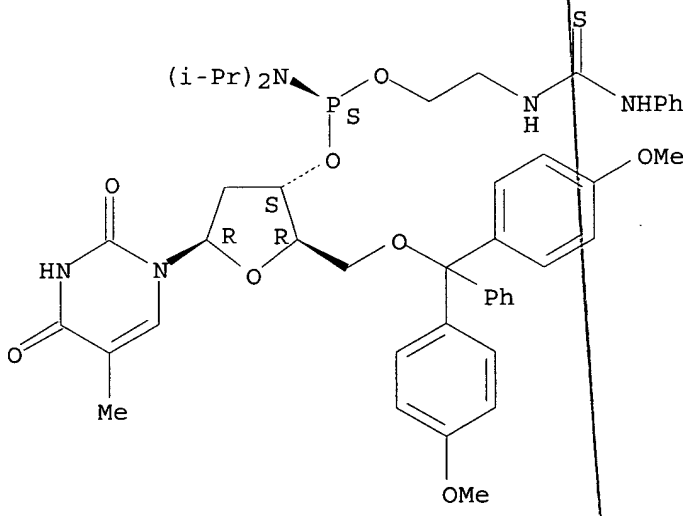
RN 291300-40-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



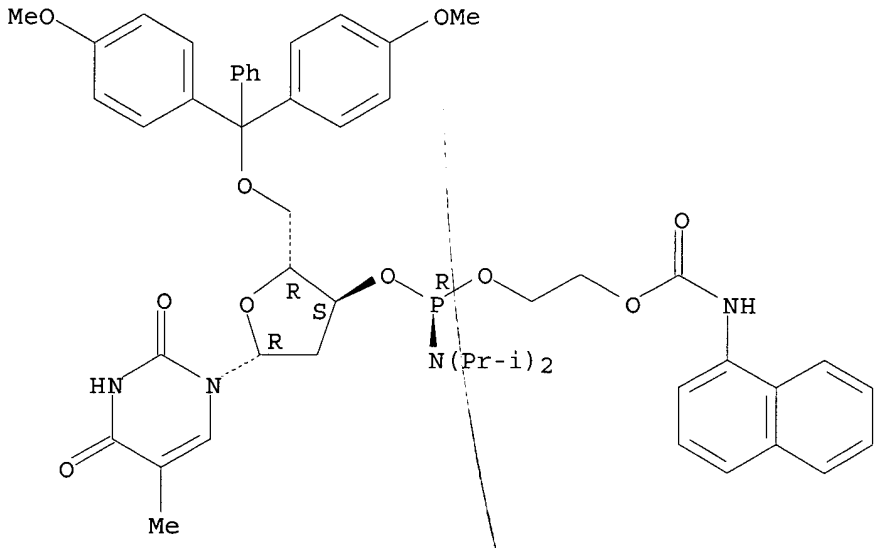
RN 291300-43-1 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)thioxomethyl]amino]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



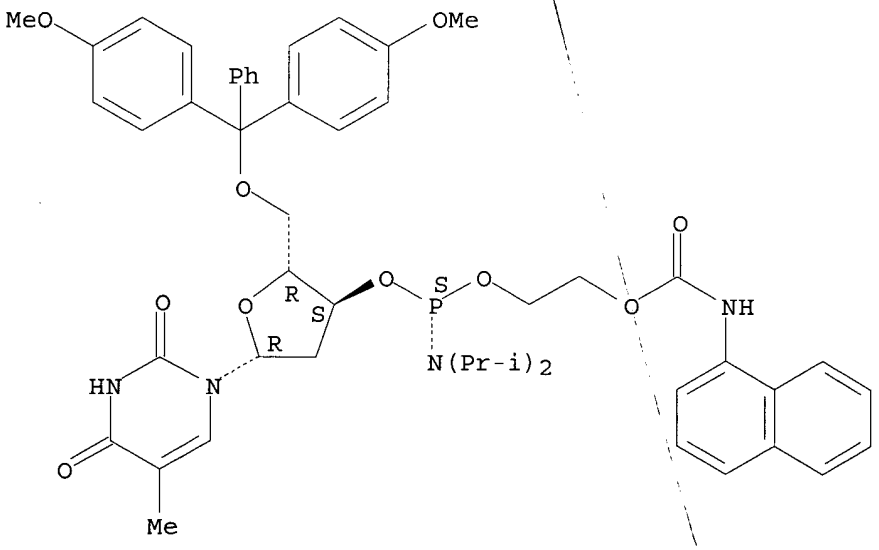
RN 291300-46-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 291300-48-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:548627 HCAPLUS
DOCUMENT NUMBER: 133:318853
TITLE: Design of fluorogenic substrates for continuous assay of sialyltransferase by resonance energy transfer
AUTHOR(S): Washiya, Kimito; Furuike, Tetsuya; Nakajima, Fumio; Lee, Yuan C.; Nishimura, Shin-Ichiro

CORPORATE SOURCE: Laboratory for Bio-Macromolecular Chemistry, Division of Biological Sciences, Graduate School of Science, Hokkaido University, Sapporo, 060-0810, Japan
SOURCE: Analytical Biochemistry (2000), 283(1), 39-48
CODEN: ANBCA2; ISSN: 0003-2697
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Glycosyltransferases are important synthetic enzymes for the construction of naturally occurring glycoconjugates as well as for the design of neoglycoconjugates. The assay methods currently available for these enzymes require tedious and time-consuming procedures for separation of products and do not permit continual assay of enzyme activities. As a set of convenient fluorogenic substrates for continuous monitoring of sialyltransferase activities, we designed and synthesized a novel CMP-Neu5Ac derivative with a naphthylmethyl group at the C-9 position and N-acetyllactosamine derivative containing a dansyl group at the terminal position of aglycon. In such substrates, the emission peak of the naphthylmethyl group (λem = 340 nm) of the glycosyl donor is successfully overlapped with the excitation peak due to the dansyl group (λex = 335 nm) of the glycosyl acceptor. A coupling reaction of these two substrates catalyzed by rat liver 2,6-sialyltransferase caused an increase of dansyl fluorescence (λem = 525 nm) and a decrease of naphthylmethyl fluorescence on the basis of resonance energy transfer between two fluorescence probes. The substrates presented here permit continuous fluorescent monitoring of enzymic sugar combining reactions. Actually, using this time course of enzymic reactions, kinetic consts. of rat liver 2,6-sialyltransferase against glycosyl donor substrates were estimated to be Km = 4.85 μM and Vmax = 0.119 μmol/min, resp. This strategy allows precise and efficient analyses of enzyme kinetics not possible with the conventional assay methods for the glycosyltransferases that usually require separation of products from the reaction mixture (c) 2000 Academic Press.

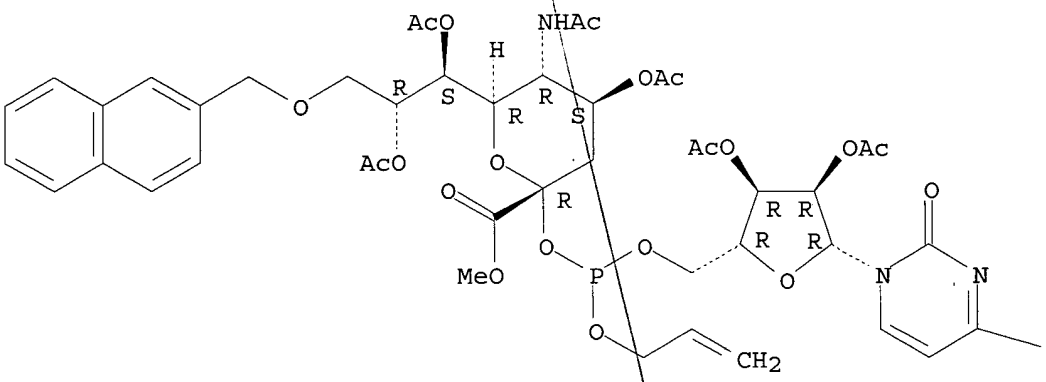
IT **297161-44-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design of fluorogenic substrates for continuous assay of 2,6-sialyltransferase by resonance energy transfer)

RN 297161-44-5 HCAPLUS

CN β-Neuraminic acid, N-acetyl-9-O-(2-naphthalenylmethyl)-, methyl ester, 4,7,8-triacetate 2-(2-propenyl hydrogen phosphite), ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

NHAc

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

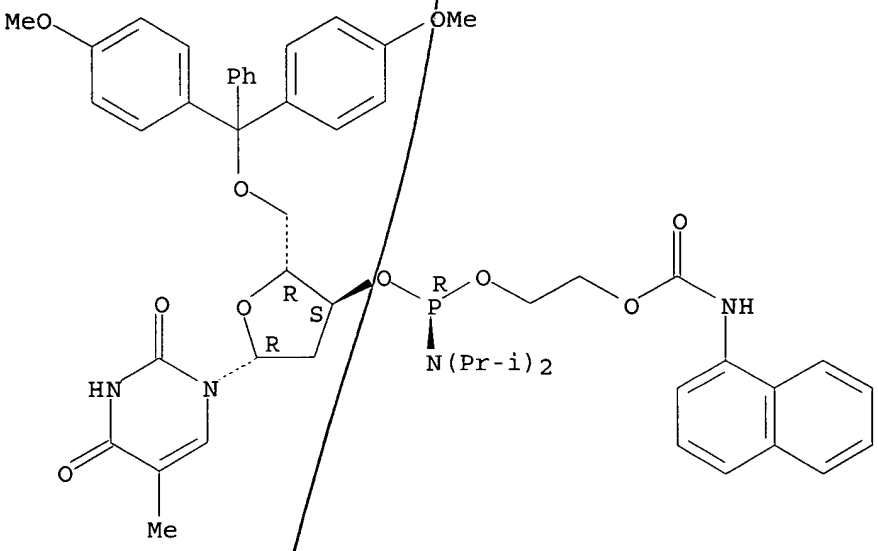
L23 ANSWER 17 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:498585 HCAPLUS
DOCUMENT NUMBER: 133:267071
TITLE: A novel phosphate protection for oligonucleotide synthesis: the 2-[(1-naphthyl)carbamoyloxy]ethyl (NCE) group
AUTHOR(S): Guzaev, A. P.; Manoharan, M.
CORPORATE SOURCE: Department of Medicinal Chemistry, Isis Pharmaceuticals, Carlsbad, CA, 92008, USA
SOURCE: Tetrahedron Letters (2000), 41(30), 5623-5626
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The utility of the 2-(arylcarbamoyloxy)ethyl group for protection of internucleosidic phosphate linkages in oligonucleotide synthesis was studied. Of the three protecting groups tested, the 2-[(1-naphthyl)carbamoyloxy]ethyl demonstrated high coupling yields, favorable deprotection kinetics and the highest hydrolytic stability of the

thymidine phosphoramidite building block. The mechanism of deprotection was confirmed by deprotecting a model phosphate triester and synthetic dodecathymidylate.

IT **291300-46-4P 291300-48-6P 295326-86-2P 295326-87-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2-[(1-naphthyl)carbamoyloxy]ethyl preparation phosphate protection for oligodeoxyribonucleotide synthesis)

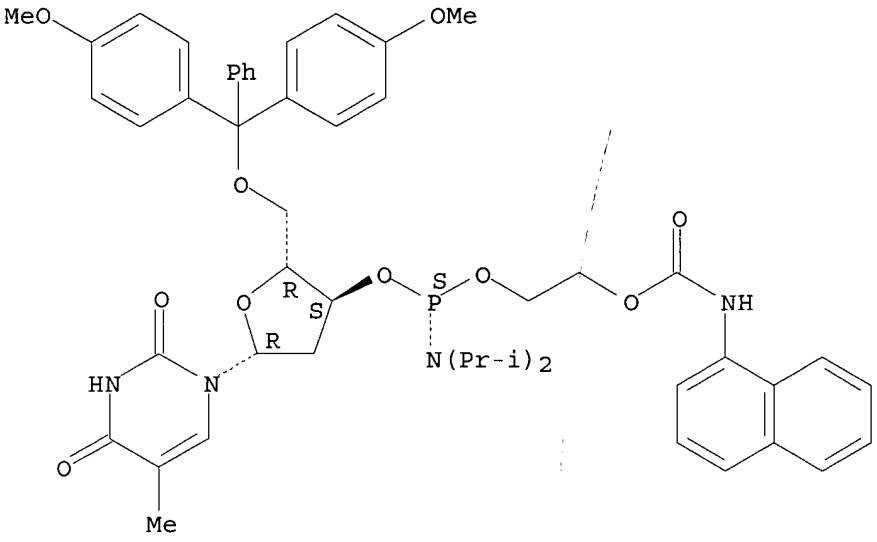
RN 291300-46-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (R)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



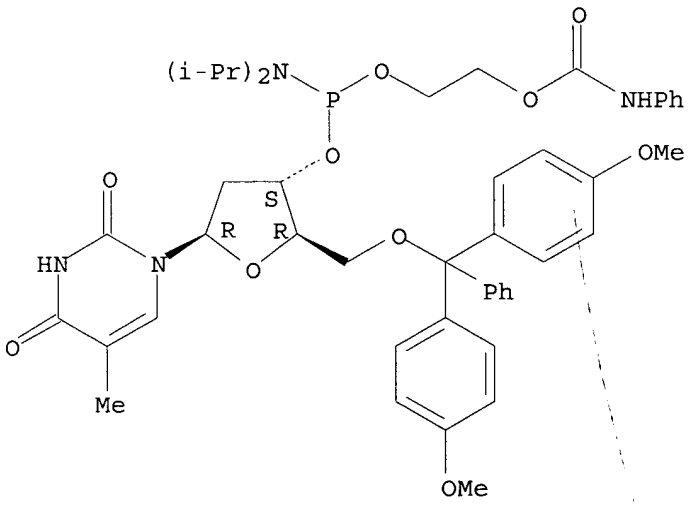
RN 291300-48-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl (S)-bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



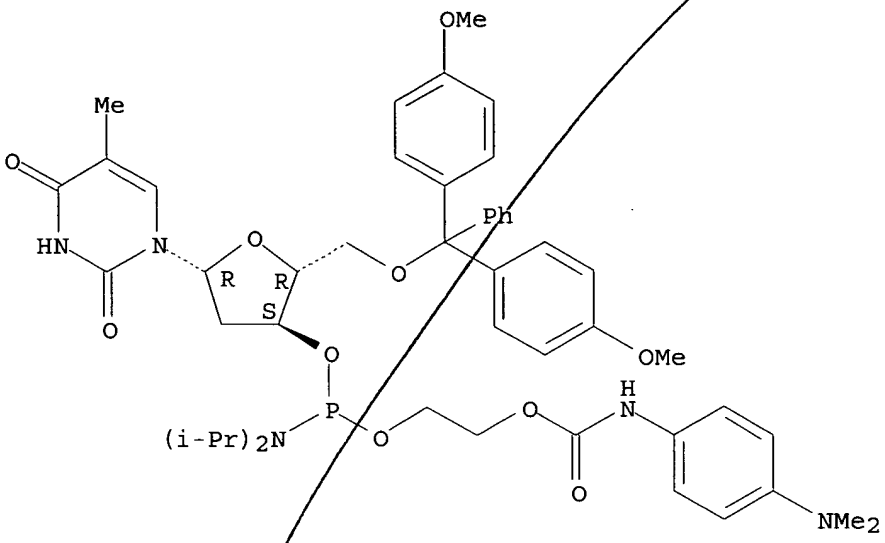
RN 295326-86-2 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(phenylamino)carbonyl]oxy]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 295326-87-3 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[[[4-(dimethylamino)phenyl]amino]carbonyl]oxy]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 18 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:458452 HCAPLUS
DOCUMENT NUMBER: 133:177251
TITLE: Stereoselective synthesis of phosphorothioate and alkylphosphinate analogs using a L-tryptophan derived chiral auxiliary
AUTHOR(S): Lu, Yixin; Just, George
CORPORATE SOURCE: Department of Chemistry, McGill University, Montreal, QC, H3A 2K6, Can.
SOURCE: Tetrahedron (2000), 56(26), 4355-4365
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:177251

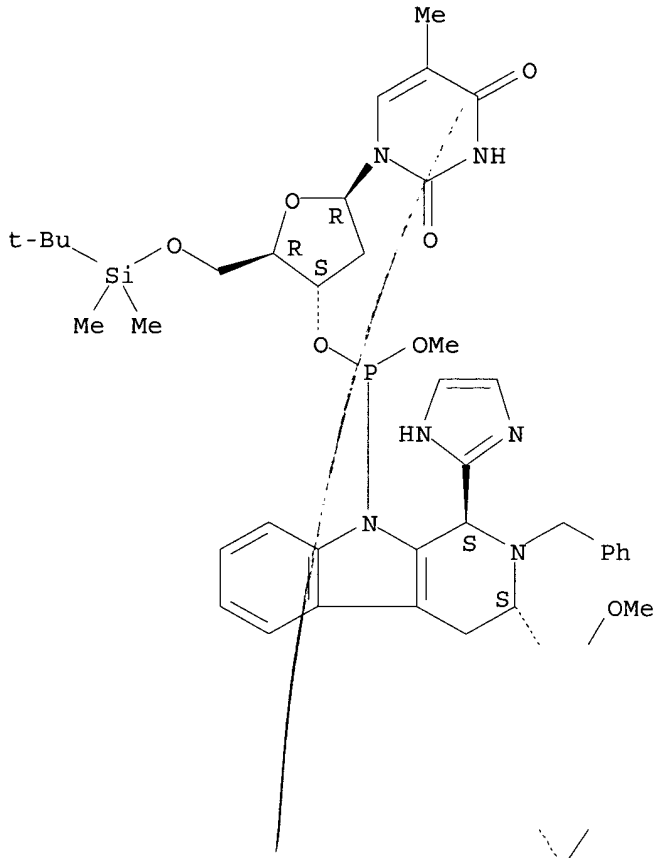
AB A novel phosphorus containing ring system incorporating both imidazole and indole moieties has been synthesized and investigated. A new L-tryptophan derived chiral auxiliary which incorporates those elements has been prepared and used for the stereoselective synthesis of novel indolophosphorothioate and alkylphosphinate analogs. Thus, reaction of N-benzyl-L-tryptophan Me ester with imidazole-2-carboxylic aldehyde in presence of p-TsOH in C6H6 gave pyridoindoleimidazole I, which on phosphonylation with MeOPCl2 followed by treatment with 5'-O-TBDMS-thymidine (T3'OH) and Beaucage reagent gave title compds., (MeO)P(:S)(OT3')2 and (MeO)2P(:S)(OT3').

IT **288573-76-2 288573-77-3 288573-78-4 288573-79-5 288573-83-1**
RL: FMU (Formation, unclassified); RCT (Reactant); FORM (Formation, nonpreparative); RACT (Reactant or reagent)
(stereoselective synthesis of phosphorothioate and alkylphosphinate analogs using a tryptophan derived chiral auxiliary)

RN 288573-76-2 HCAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[methyl [(1S,3S)-1,2,3,4-tetrahydro-1-(1H-imidazol-2-yl)-3-(methoxycarbonyl)-2-(phenylmethyl)-9H-pyrido[3,4-b]indol-9-yl]phosphonite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

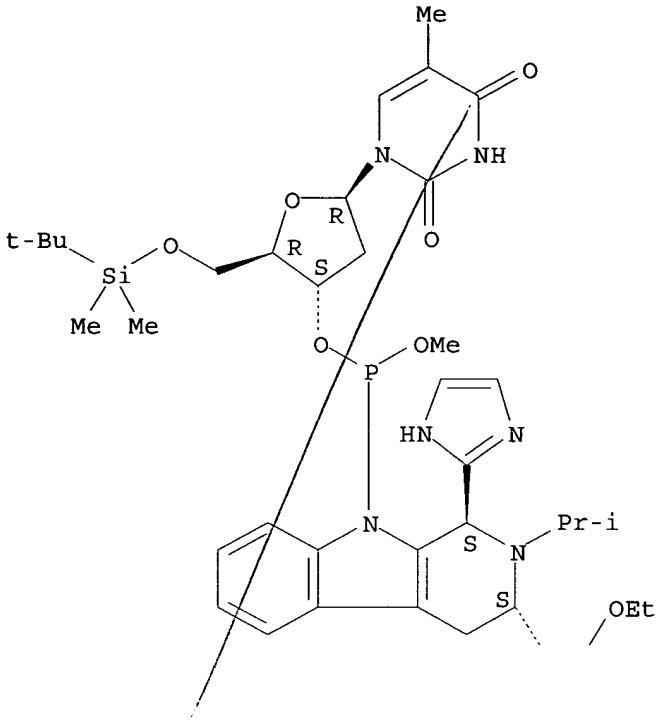


PAGE 2-A

RN 288573-77-3 HCAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[methyl [(1S,3S)-3-(ethoxycarbonyl)-1,2,3,4-tetrahydro-1-(1H-imidazol-2-yl)-2-(1-methylethyl)-9H-pyrido[3,4-b]indol-9-yl]phosphonite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

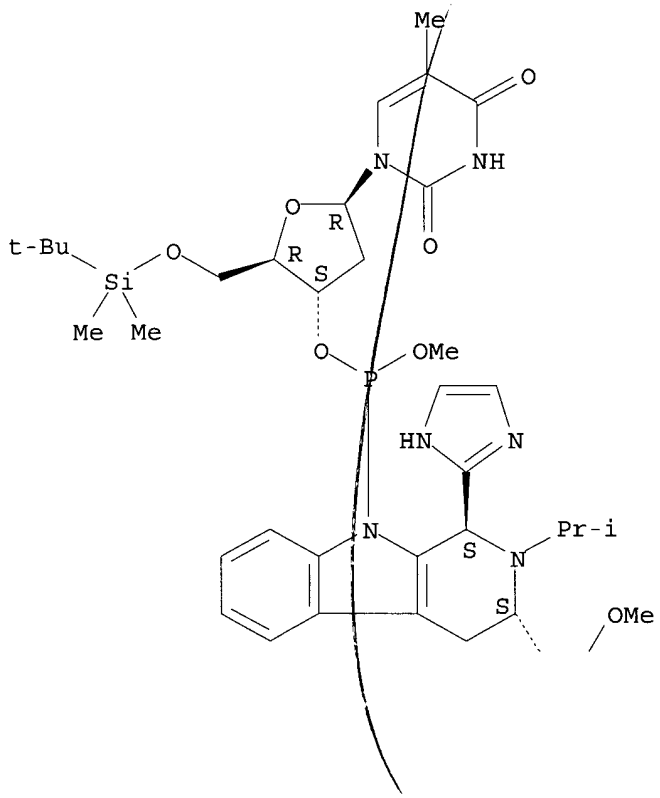


PAGE 2-A

RN 288573-78-4 HCAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[methyl [(1S,3S)-1,2,3,4-tetrahydro-1-(1H-imidazol-2-yl)-3-(methoxycarbonyl)-2-(1-methylethyl)-9H-pyrido[3,4-b]indol-9-yl]phosphonite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

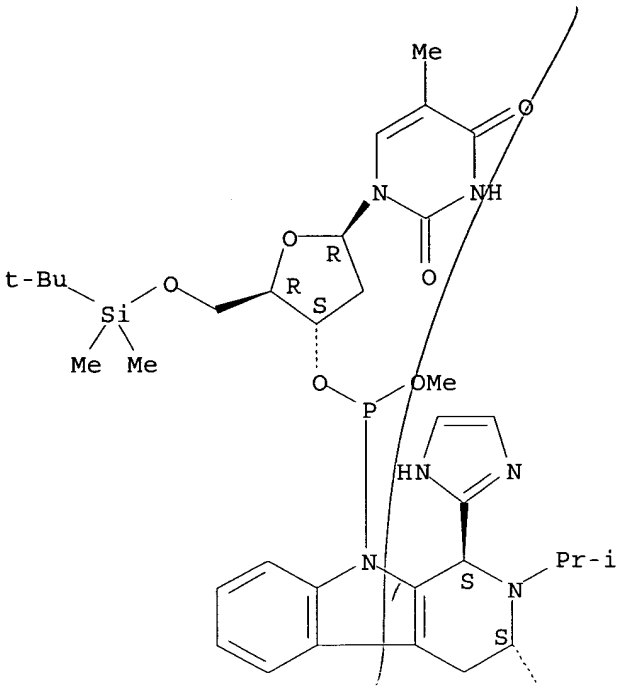


PAGE 2-A

RN 288573-79-5 HCAPLUS
CN Thymidine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3'-[methyl [(1S,3S)-3-carboxy-1,2,3,4-tetrahydro-1-(1H-imidazol-2-yl)-2-(1-methylethyl)-9H-pyrido[3,4-b]indol-9-yl]phosphonite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

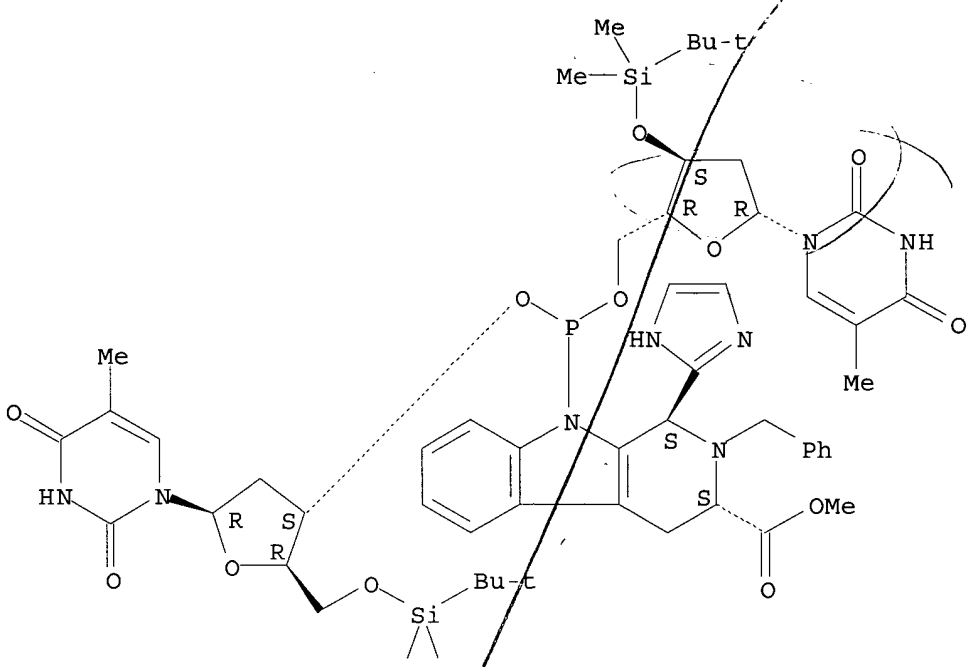


PAGE 2-A

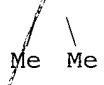
RN 288573-83-1 HCAPLUS
CN Thymidine, P-deoxo-P-deoxy-5'-O-[(1,1-dimethylethyl)dimethylsilyl]-P-[(1S,3S)-1,2,3,4-tetrahydro-1-(1H-imidazol-2-yl)-3-(methoxycarbonyl)-2-(phenylmethyl)-9H-pyrido[3,4-b]indol-9-yl]thymidylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:726417 HCAPLUS
DOCUMENT NUMBER: 132:50201
TITLE: A facile method for the oxidation of nucleoside H-phosphonates to phosphates with bis(trimethylsilyl) peroxide
AUTHOR(S): Kato, Toru; Hayakawa, Yoshihiro
CORPORATE SOURCE: Lab. Bioorganic Chemistry, Graduate School Human Informatics, Nagoya Univ., Nagoya, 464, Japan
SOURCE: Synlett (1999), (11), 1796-1798
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:50201
AB A convenient method for the oxidation of nucleoside H-phosphonic acid monoesters and diesters is reported with (Me3Si)2O2 and MeC(NSiMe3)OSiMe3 in the presence of CF3SO3SiMe3 as a catalyst.
IT **252897-91-9 252897-92-0 252897-93-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of nucleoside phosphonates to phosphates with methylsilyl

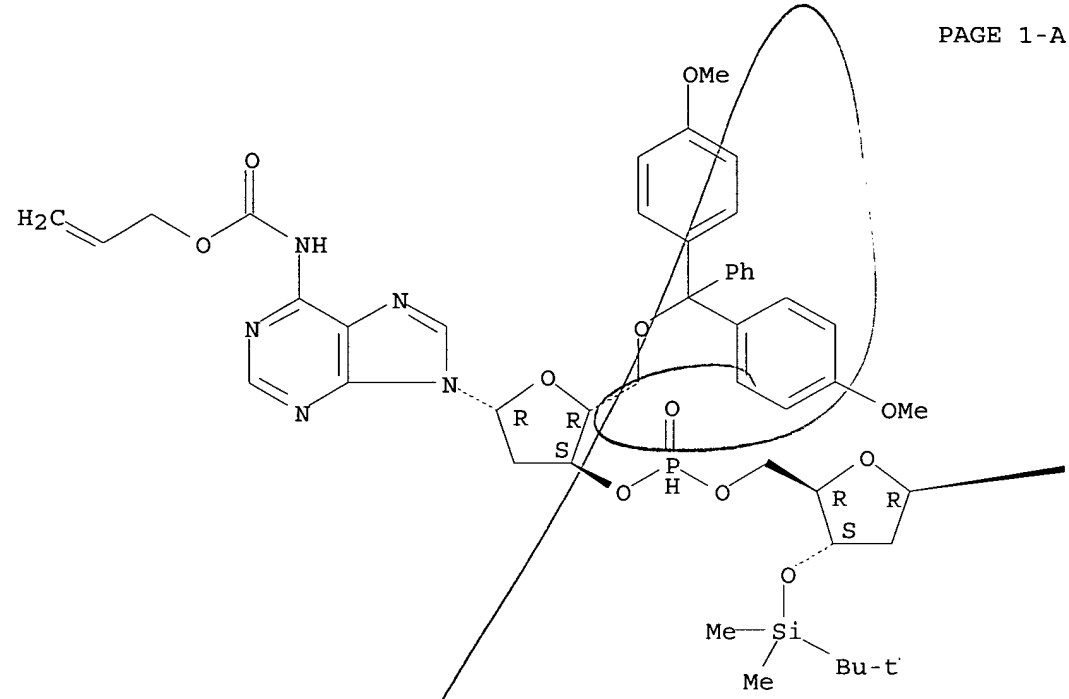
peroxide)

RN 252897-91-9 HCAPLUS

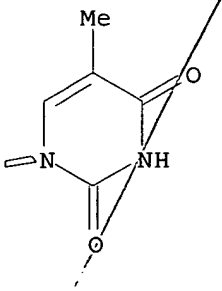
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P,2'-dideoxy-N-[(2-propenyloxy)carbonyl]adenylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

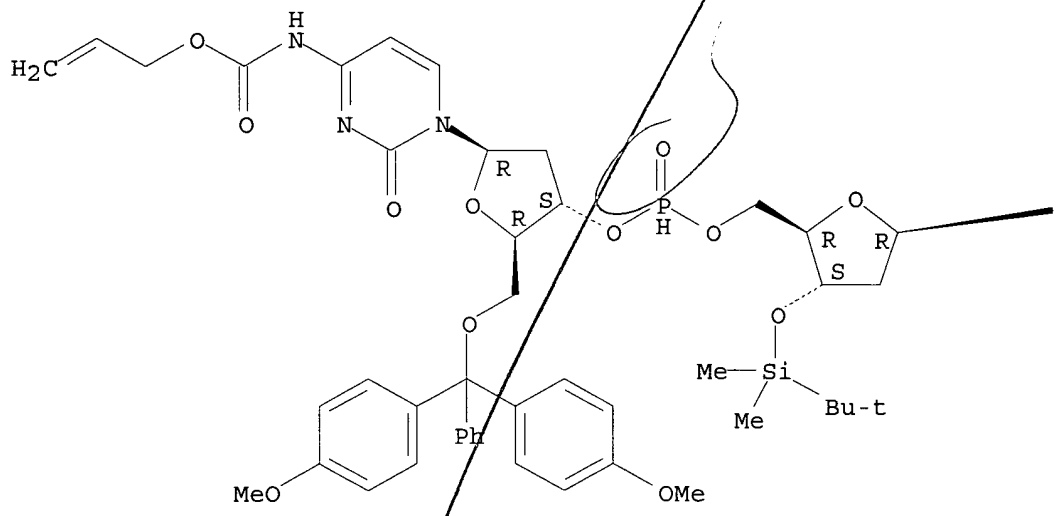


RN 252897-92-0 HCAPLUS

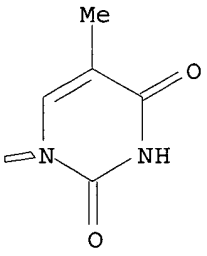
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P,2'-dideoxy-N-[(2-propenyloxy)carbonyl]cytidylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

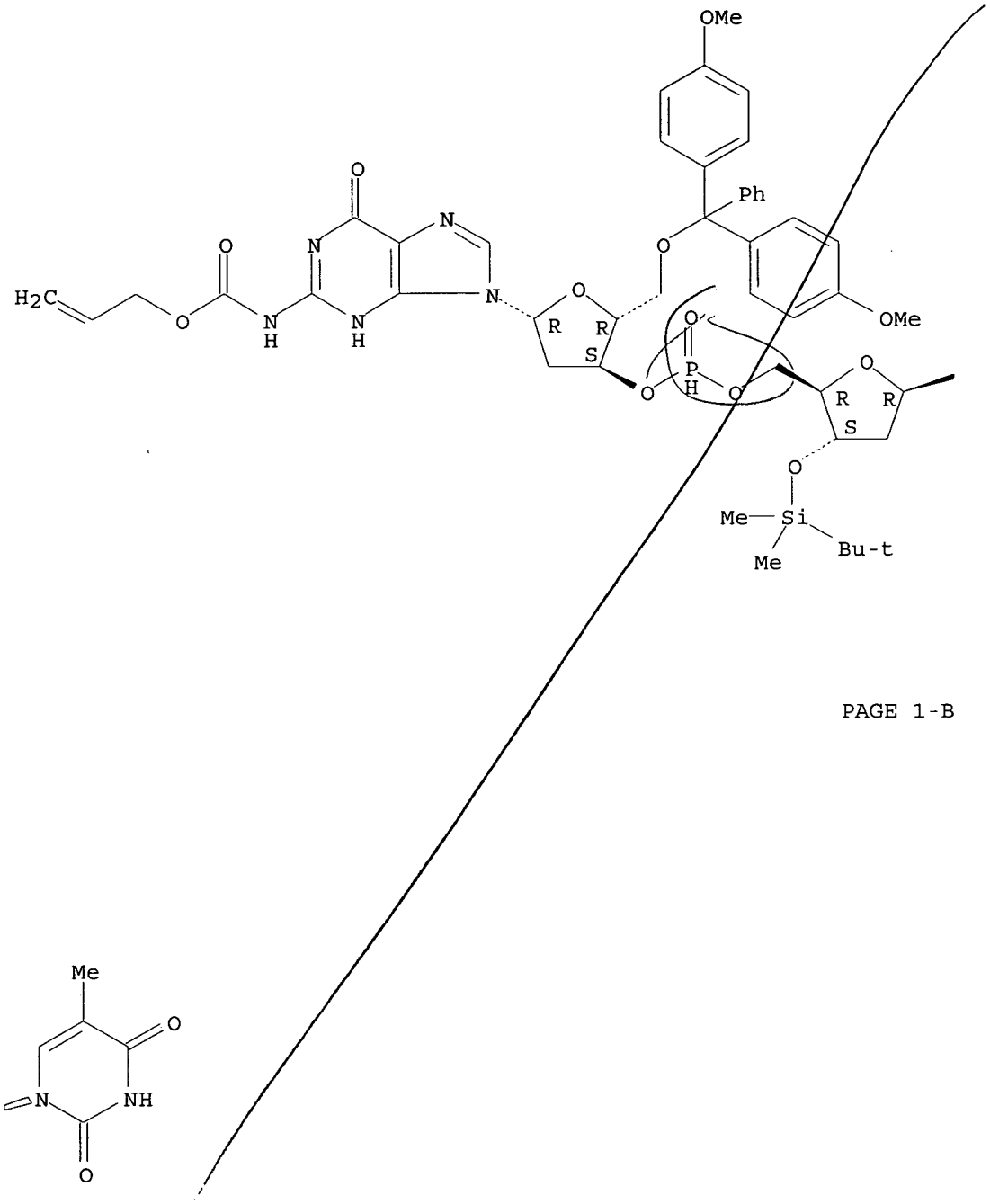


RN 252897-93-1 HCAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P,2'-dideoxy-N-[(2-propenyloxy)carbonyl]guanylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 20 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:479942 HCAPLUS
DOCUMENT NUMBER: 131:272118
TITLE: An efficient synthesis of CMP-3-fluoroneuraminic acid
AUTHOR(S): Burkart, Michael D.; Vincent, Stephane P.; Wong, Chi-Huey

CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Chemical Communications (Cambridge) (1999), (16), 1525-1526
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:272118

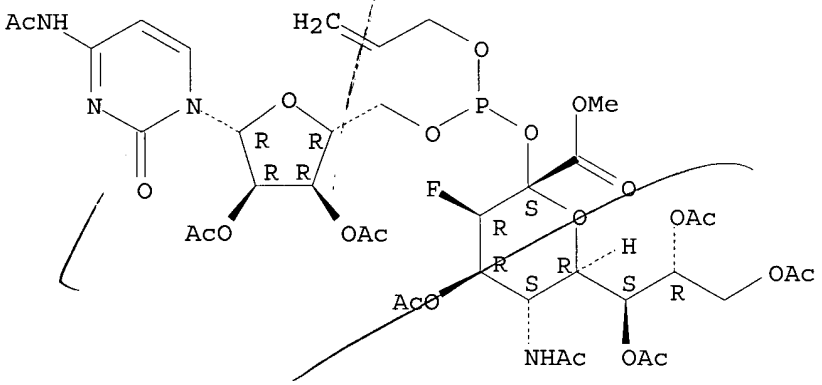
AB CMP-3-fluoroneuraminic acid, a useful mechanistic probe for sialyltransferases, has been efficiently synthesized using recent fluorination and phosphorylation techniques from a sialic acid glycal.

IT **245429-15-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(efficient synthesis of CMP-fluoroneuraminic acid)

RN 245429-15-6 HCAPLUS

CN D-erythro-α-L-manno-2-Nonulopyranosonic acid, 5-(acetylamino)-3,5-dideoxy-3-fluoro-, methyl ester, 4,7,8,9-tetraacetate 1-(2-propenyl hydrogen phosphite), ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 21 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:479938 HCAPLUS
DOCUMENT NUMBER: 131:286745
TITLE: Synthesis and properties of a novel phosphodiester analog, nucleoside boranophosphorothioate
AUTHOR(S): Lin, Jinlai; Shaw, Barbara Ramsay
CORPORATE SOURCE: Department of Chemistry, Paul M. Gross Chemical Laboratory, Duke University, Durham, NC, 27708-0346, USA
SOURCE: Chemical Communications (Cambridge) (1999), (16), 1517-1518
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

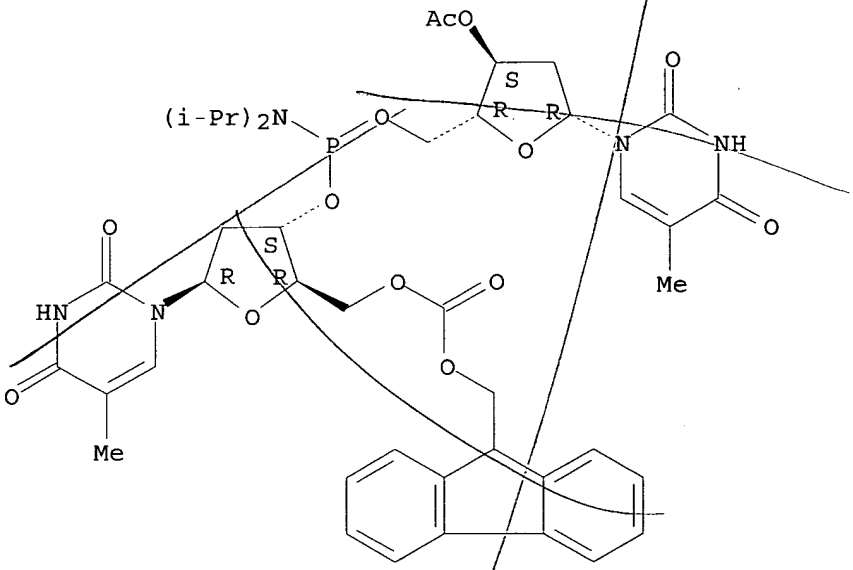
AB The first boranophosphorothioate [(RO)2P(S)(BH3)-] mimic of a

phosphodiester compound, dithymidine boranophosphorothioate, has been synthesized; while it is water soluble, this new analog is more lipophilic and nuclease resistant than natural nucleoside phosphodiesters [(RO)2P(O)(O)-] and phosphorothioates [(RO)2P(S)(O)-]. The dithymidine boranophosphorothioate prepared is stable towards cleavage by both snake venom phosphodiesterase and bovine spleen phosphodiesterase.

IT **245740-21-0P 245740-22-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and enzyme resistance of phosphodiester analog nucleoside boranophosphorothioate)

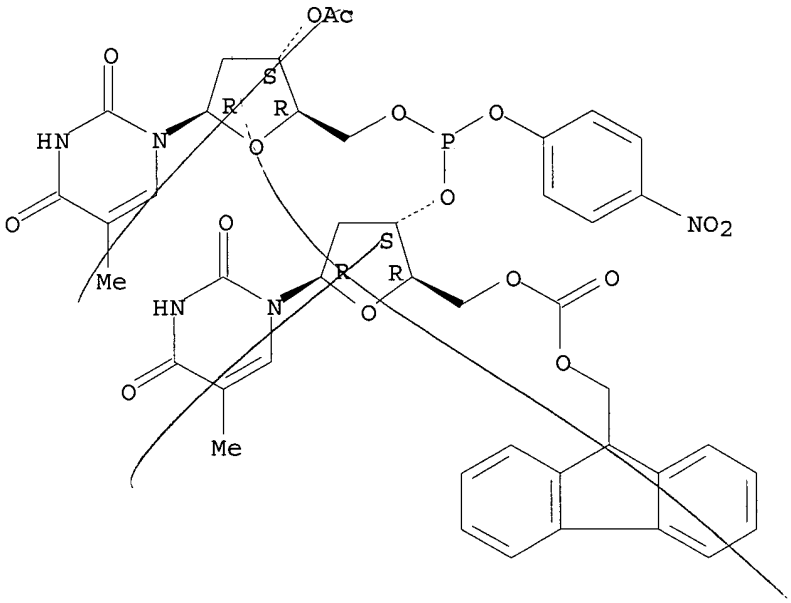
RN 245740-21-0 HCAPLUS
CN Thymidine, P-[bis(1-methylethyl)amino]-P-deoxo-P-deoxy-5'-O-[(9H-fluoren-9-ylmethoxy)carbonyl]thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 245740-22-1 HCAPLUS
CN Thymidine, P-deoxo-5'-O-[(9H-fluoren-9-ylmethoxy)carbonyl]-P(O)-(4-nitrophenyl)thymidylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

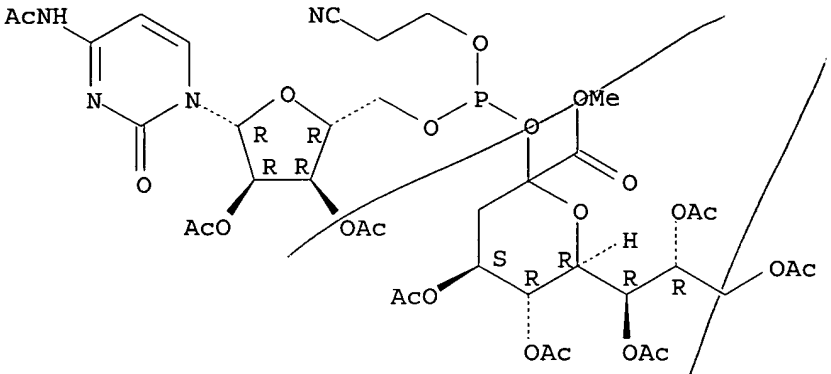
L23 ANSWER 22 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:374378 HCAPLUS
DOCUMENT NUMBER: 131:73904
TITLE: Enzymatic synthesis of Kdn oligosaccharides by a bacterial α-(2→6)-sialyltransferase
AUTHOR(S): Kajihara, Yasuhiro; Akai, Shoji; Nakagawa, Takahiro; Sato, Reiko; Ebata, Takashi; Kodama, Hisashi; Sato, Ken-ichi
CORPORATE SOURCE: Department of System Function, Faculty of Science, Yokohama City University, Yokohama, 236-0027, Japan
SOURCE: Carbohydrate Research (1999), 315(1-2), 137-141
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:73904

AB Synthesis of CMP-deaminoneuraminic acid (CMP-β-D-Kdn) and its enzymic transfer reaction using bacterial α-(2→6)-sialyltransferase were examined CMP-β-D-Kdn was prepared from Me 4,5,7,8,9-penta-O-acetyl-3-deoxy-D-glycero-β-D-galacto-2-nonulopyranosonate in 24% overall yield. Enzymic synthesis of Kdn oligosaccharide with CMP-β-D-Kdn (10.2 μmol), Me β-D-lactosaminide (7,8.1 μmol) and purified sialyltransferase afforded Kdn-α-(2→6)-Gal-β-(1→4)-GlcNAc-β-1-OMe in 77% yield.

IT **228721-36-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of)

RN 228721-36-6 HCAPLUS
CN D-glycero-D-galacto-2-Nonulopyranosonic acid, 3-deoxy-, methyl ester, 4,5,7,8,9-pentaacetate 2-(2-cyanoethyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

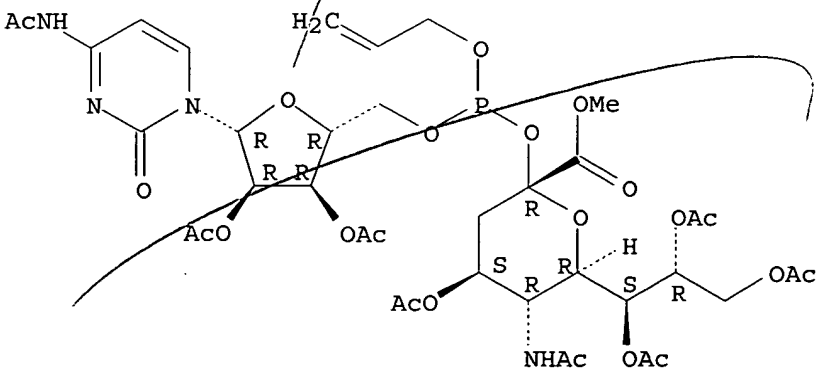
Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

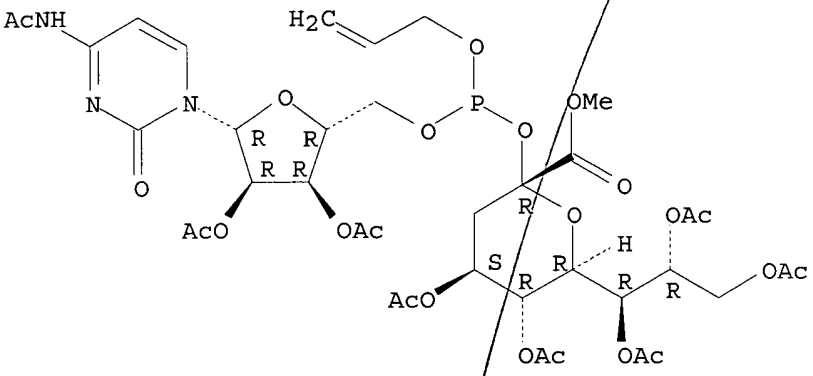
L23 ANSWER 23 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:83146 HCAPLUS
DOCUMENT NUMBER: 130:196904
TITLE: Mild oxidation of Cytidine-sialic acid phosphite derivatives using dimethyldioxirane
AUTHOR(S): Chappell, Mark D.; Halcomb, Randall L.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309-0215, USA
SOURCE: Tetrahedron Letters (1999), 40(1), 1-4
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The dimethyldioxirane oxidation of several Cytidine-Neu5Ac phosphite analogs is described.
IT **188786-06-3 194665-65-1 194665-67-3 220765-61-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(mild oxidation of cytidine-sialic acid phosphite derivs. using dimethyldioxirane)
RN 188786-06-3 HCAPLUS
CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



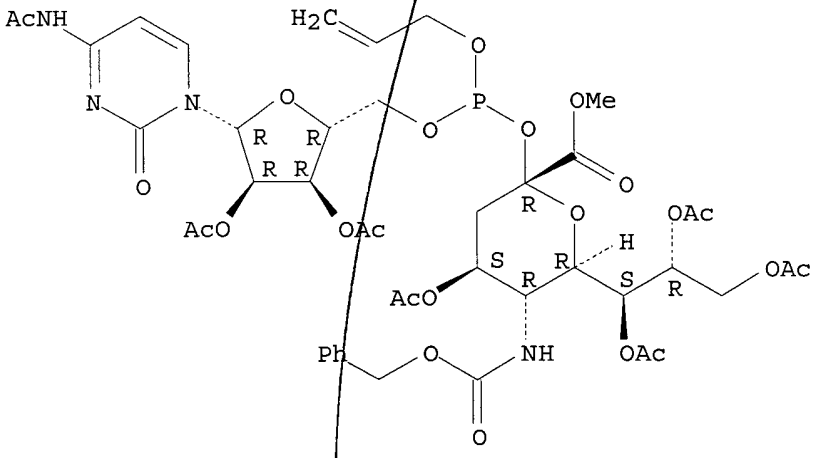
RN 194665-65-1 HCAPLUS
CN D-glycero-β-D-galacto-2-Nonulopyranosonic acid, 3-deoxy-, methyl ester, 4,5,7,8,9-pentaacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



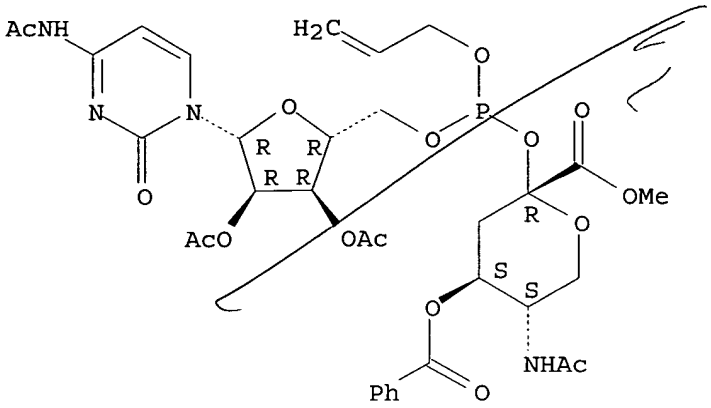
RN 194665-67-3 HCAPLUS
CN β-Neuraminic acid, N-[(phenylmethoxy)carbonyl]-, methyl ester, 4,7,8,9-tetraacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220765-61-7 HCAPLUS
CN α-L-threo-2-Hexulopyranosonic acid, 5-(acetylamino)-3,5-dideoxy-, methyl ester, 4-benzoate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

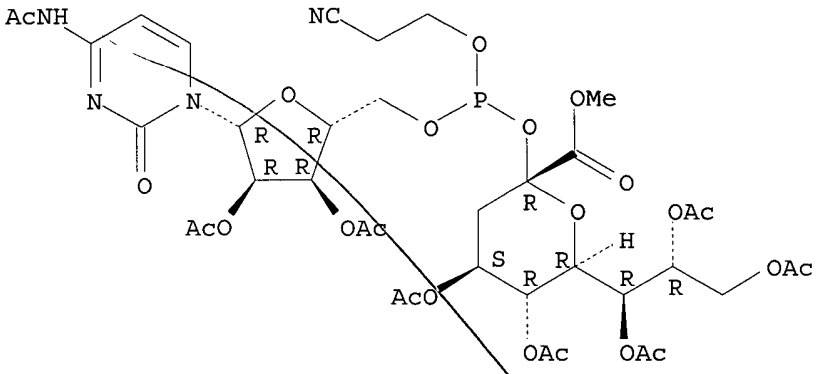
L23 ANSWER 24 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:533886 HCAPLUS
DOCUMENT NUMBER: 129:276143
TITLE: Sialyltransferase-catalyzed transfer of KDN onto oligosaccharides
AUTHOR(S): Lubineau, Andre; Somme, Valerie; Auge, Claudine
CORPORATE SOURCE: URA CNRS 462, Laboratoire de Chimie Organique Multifonctionnelle, Universite PARIS-SUD, Orsay, 91405, Fr.
SOURCE: Journal of Molecular Catalysis B: Enzymatic (1998), 5(1-4), 235-240
CODEN: JMCEF8; ISSN: 1381-1177
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:276143

AB Sialyltransferases catalyze transfer of N-acetylneuraminic, the most common sialic acid, from cytidine 5-monophospho-N-acetylneuraminic acid, onto oligosaccharide chains. 3-Deoxy-β-d-glycero-d-galacto-2-nonulopyranosonic acid (KDN), the deaminated analog of N-acetylneuraminic acid, was converted into CMP-KDN by a chemical procedure involving CMP phosphoramidite. KDN was then successfully transferred, from CMP-KDN, onto Galβ1-3(2OAc)GalβOCH3, in porcine liver α(2-3) sialyltransferase-catalyzed reaction, allowing preparation of KDNα2-3Galβ1-3(2OAc)GalβOCH3 in 88% yield. KDNα2-6Galβ1-4GlcNAc could be also prepared using rat liver sialyltransferase.

IT **213834-62-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(sialyltransferase-catalyzed transfer of KDN onto oligosaccharides)

RN 213834-62-9 HCAPLUS
CN D-glycero-β-D-galacto-2-Nonulopyranosonic acid, 3-deoxy-, methyl ester, 4,5,7,8,9-pentaacetate 2-(2-cyanoethyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 25 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:341584 HCAPLUS
DOCUMENT NUMBER: 129:16345
TITLE: Antisense H-phosphonate oligonucleotide derivative and process for producing the derivative
INVENTOR(S): Sekine, Mitsuo; Wada, Takeshi
PATENT ASSIGNEE(S): Chugai Seiyaku K. K., Japan
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9821226	A1	19980522	WO 1997-JP4128	19971112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9749648	A1	19980603	AU 1997-49648	19971112
JP 10204097	A2	19980804	JP 1997-310525	19971112
PRIORITY APPLN. INFO.:			JP 1996-301430	19961113
			WO 1997-JP4128	19971112

OTHER SOURCE(S): MARPAT 129:16345

AB Novel H-phosphonate oligonucleotide derivative [I; B = pyrimidine, purine base, or its derivative; R1 = H, alkyl, alkenyl, OH, alkoxy, alkenyloxy, acyl; R2 = (un)branched alkylene optionally interrupted by O; X = hetero atom; n≥1] are prepared A process for synthesizing the derivative I comprises synthesizing by the solid-phase method, an oligomer having an alkoxyphosphonic acid having an alkylene group with a moderate chain length at each of the 3' and 5' ends, in order to synthesize H-phosphonate oligonucleotide in a high yield while preventing decomposition thereof under basic conditions during synthesis. The derivative is apt to form a stabler double strand together with the target gene than that of phosphorothioate- or methylphosphonate-type DNA or natural DAN since it is a neutral mol.

and thereby there is no electrostatic repulsion between phosphonate neg. charges of the complimentary chain. It has resistance to phosphodiesterases, and is incorporated into cells efficiently. The derivative is expected to be utilized especially as an antisense (no data). Thus,
decathymidylate H-phosphonate I [X = O, B = thymine, n = 9, R2 = (CH2)6] was prepared by repeated detritylation and coupling of monomer, triethylammonium 5'-O-dimethoxytrityldeoxythymidin-3'-yl phosphonate (II) on 6-(dimethoxytrityloxy)hexyl oxalate bound to a LCAA-CPG support using an Applied Biosystems 380A DNA synthesizer and 2-benzotriazol-1-yloxy-1,3-dimethyl-2-pyrrolidin-1-yl-1,3,2-diazaphospholanium hexafluorophosphate (BDPP) (preparation given) as the condensing agent. Also prepared were tetranucleotide H-phosphonate and its Me phosphate, hydroxymethylphosphonate, boranophosphate, and phosphoamidate analogs.

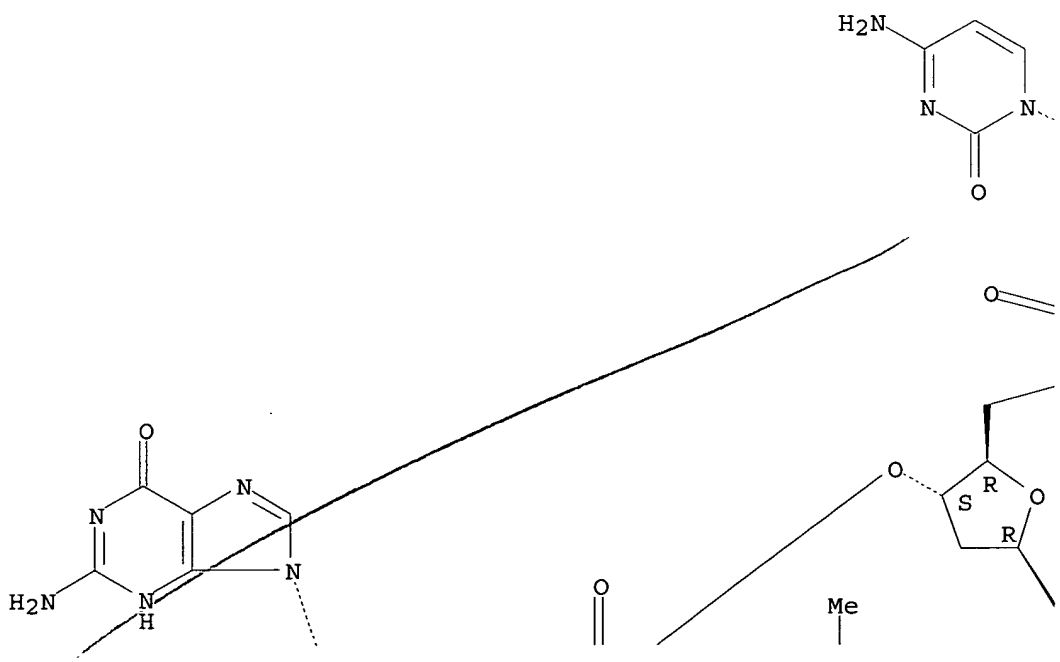
IT **207789-94-4DP**, LCAA-CPG-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antisense H-phosphonate oligonucleotide derivs. and process for producing them)

RN 207789-94-4 HCAPLUS

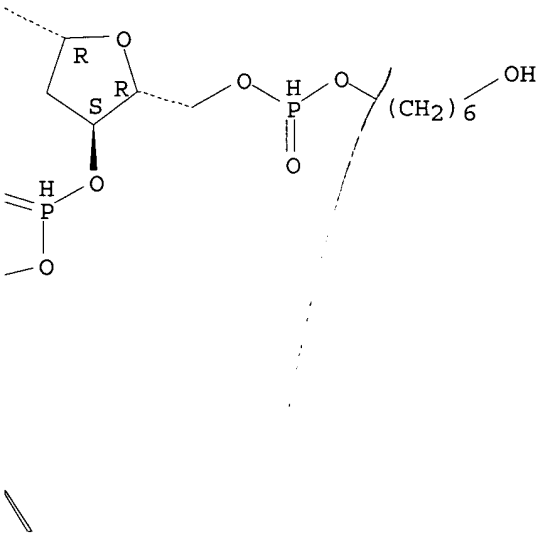
CN Thymidine, P,2'-dideoxy-5'-O-[[(6-hydroxyhexyl)oxy]phosphinyl]cytidylyl-(3'→5')-P,2'-dideoxyadenylyl-(3'→5')-P,2'-dideoxyguanylyl-(3'→5')-, 3'-[6-[(carboxycarbonyl)oxy]hexyl phosphonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

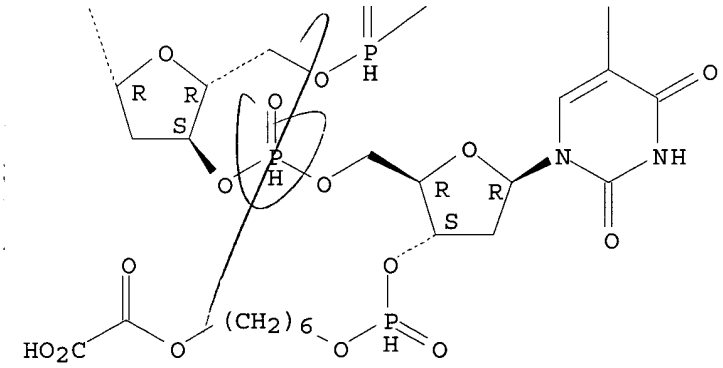
PAGE 1-A



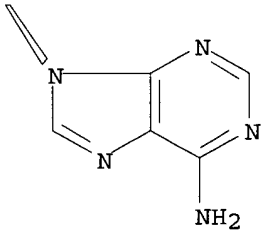
PAGE 1-B



PAGE 2-A



PAGE 2-B



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

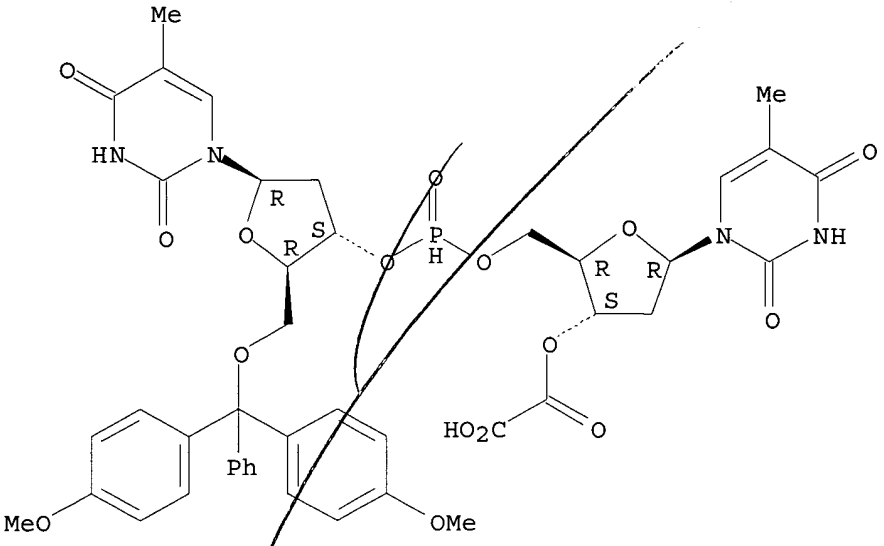
L23 ANSWER 26 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:45254 HCAPLUS
DOCUMENT NUMBER: 128:48447
TITLE: Chemical Synthesis of Oligodeoxyribonucleotides Using N-Unprotected H-Phosphonate Monomers and Carbonium and Phosphonium Condensing Reagents: O-Selective Phosphonylation and Condensation
AUTHOR(S): Wada, Takeshi; Sato, Yuichi; Honda, Fumio; Kawahara, Shun-ichi; Sekine, Mitsuo
CORPORATE SOURCE: Department of Life Science, Tokyo Institute of Technology, Midoriku, 226, Japan
SOURCE: Journal of the American Chemical Society (1997), 119(52), 12710-12721
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oligodeoxyribonucleotides were synthesized using H-phosphonate monomers without amino protection. The H-phosphonate monomers of deoxyadenosine, deoxycytidine, and deoxyguanosine bearing the free amino groups were synthesized in good yields by O-selective phosphonylation of the parent 5'-O-(dimethoxytrityl)deoxyribonucleosides. It was found that the amino groups of the nucleosides were not modified during internucleotidic bond formation where (benzotriazol-1-yloxy)carbonium and -phosphonium compds. were employed as condensing reagents. The most effective condensing reagent for rapid internucleotidic bond formation was 2-(benzotriazol-1-yloxy)-1,1-dimethyl-2-pyrrolidin-1-yl-1,3,2-diazaphospholidinium hexafluorophosphate (BOMP). In the present H-phosphonate method, 2-(phenylsulfonyl)-3-(3-nitrophenyl)oxaziridine (BNO) was employed as a new oxidizing reagent for the oxidation of internucleotidic H-phosphonate linkages under anhydrous conditions in the presence of N,O-bis(trimethylsilyl)acetamide. The reaction mechanism for the O-selective condensation was investigated in detail by means of 31P NMR spectroscopy. Unprecedented oxidation of the H-phosphonate monomers was observed during activation of the monomers with (benzotriazol-1-yloxy)phosphonium and -carbonium condensing reagents in the absence of the 5'-hydroxyl components. A mechanism for the O-selective condensation was proposed on the basis of ab initio MO calcns. for the model compds. at the HF/6-31G* level.

IT **173674-16-3DP**, polymer-bound **199532-30-4DP**, polymer-bound **199532-31-5DP**, polymer-bound **199532-32-6DP**, polymer-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oligodeoxyribonucleotides using N-unprotected H-phosphonate monomers and carbonium and phosphonium condensing reagents via O-selective phosphonylation and condensation)

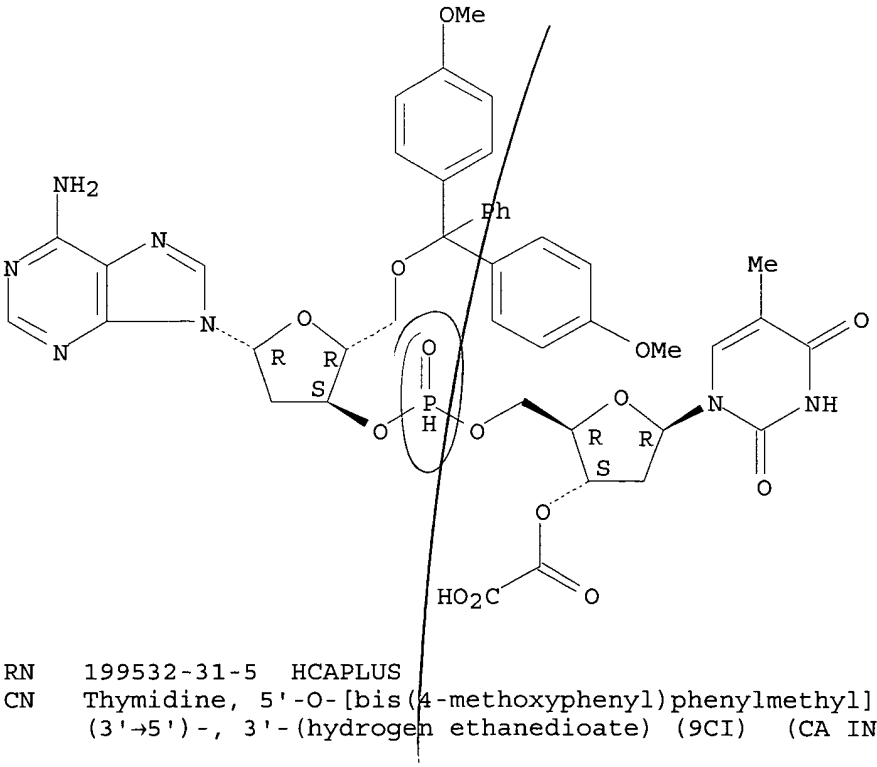
RN 173674-16-3 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxythymidylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



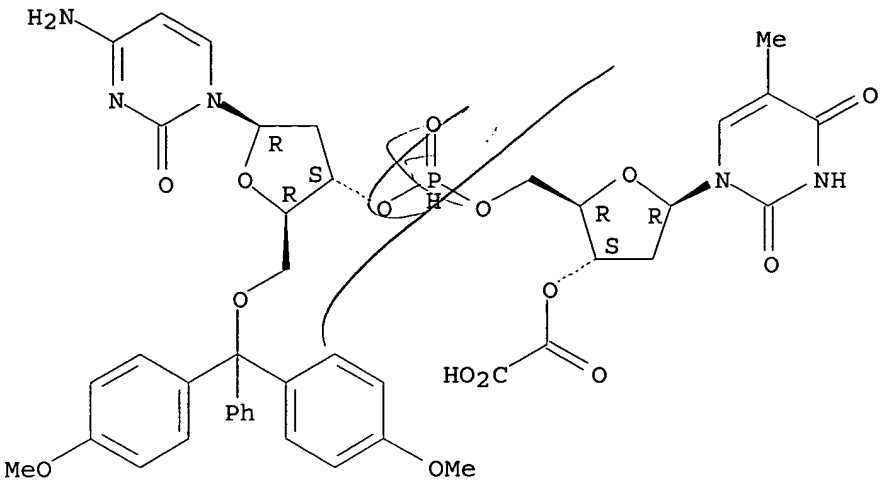
RN 199532-30-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P,2'-dideoxyadenylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



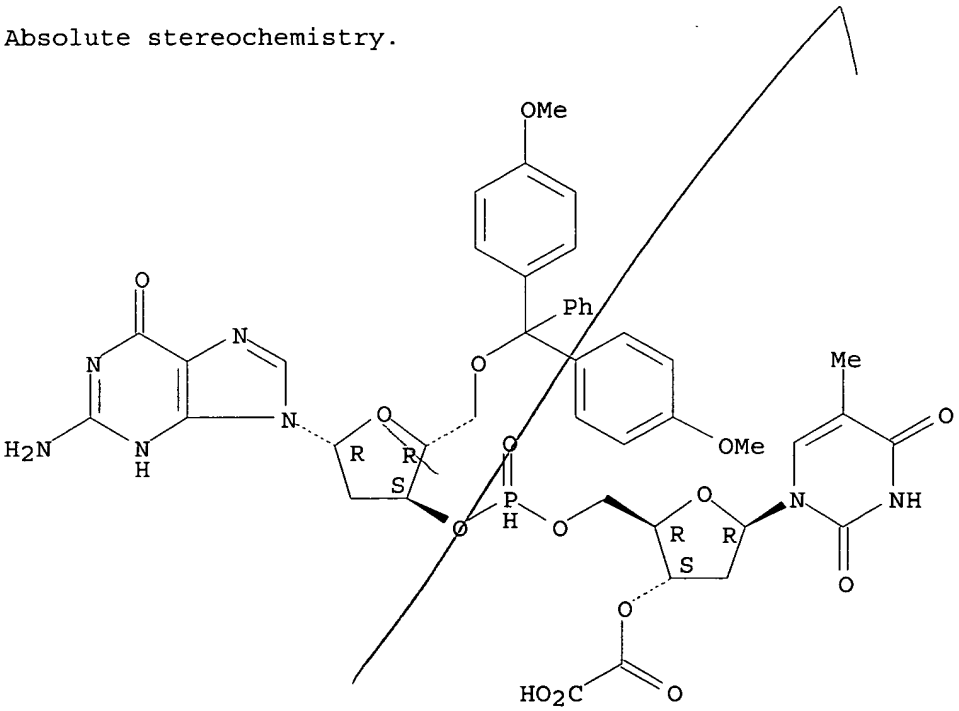
RN 199532-31-5 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P,2'-dideoxycytidylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 199532-32-6 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P,2'-dideoxyguanylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 119 THERE ARE 119 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 27 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:553625 HCAPLUS
DOCUMENT NUMBER: 127:205802
TITLE: Synthesis of CMP-sialic acid conjugates: substrates for the enzymic synthesis of natural and designed sialyl oligosaccharides

AUTHOR(S): Chappell, Mark D.; Halcomb, Randall L.
CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Colorado, Boulder, CO, 80309-0215, USA
SOURCE: Tetrahedron (1997), 53(32), 11109-11120
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

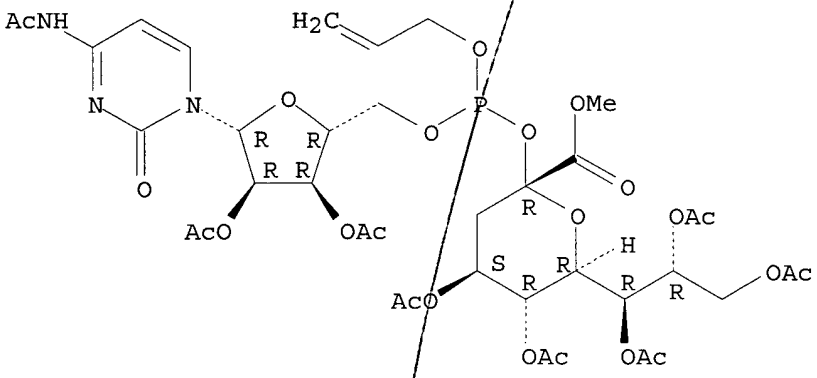
AB The syntheses of several congeners of CMP-NeuAc are described. These compds. are substrates for enzymic glycosylation.

IT **194665-65-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(1.1:1 mixture of P isomers; synthesis of CMP-sialic acid conjugates as substrates for enzymic synthesis of natural and designed sialyl oligosaccharides)

RN 194665-65-1 HCAPLUS

CN D-glycero-β-D-galacto-2-Nonulopyranosonic acid, 3-deoxy-, methyl ester, 4,5,7,8,9-pentaacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

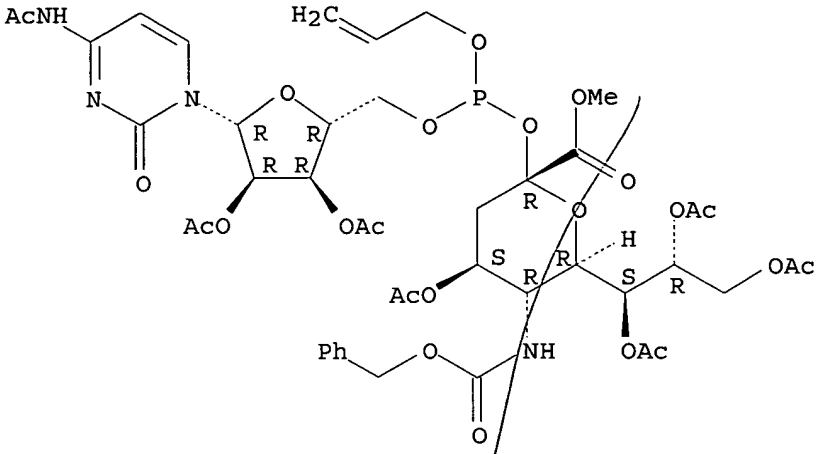


IT **194665-67-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(2.9:1 mixture of P isomers; synthesis of CMP-sialic acid conjugates as substrates for enzymic synthesis of natural and designed sialyl oligosaccharides)

RN 194665-67-3 HCAPLUS

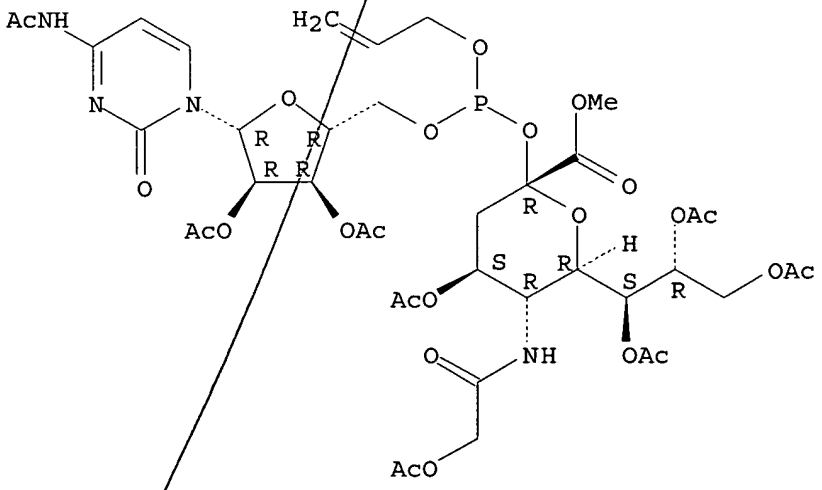
CN β-Neuraminic acid, N-[(phenylmethoxy)carbonyl]-, methyl ester, 4,7,8,9-tetraacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



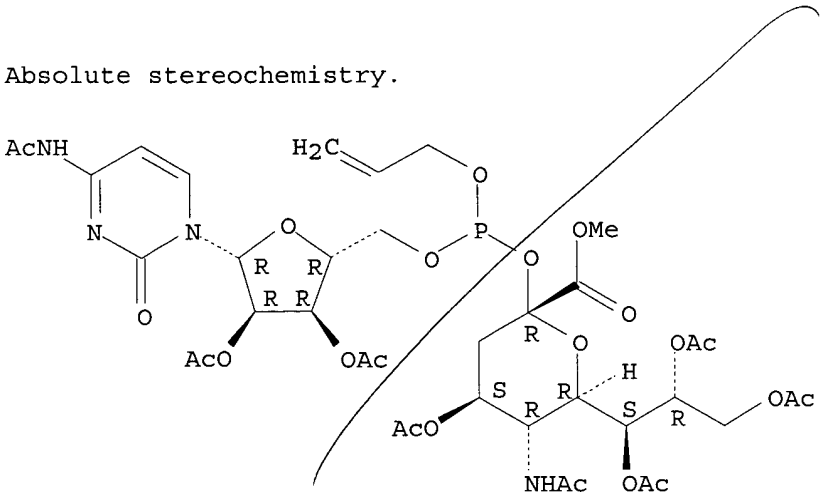
IT **194665-66-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(6.2:1 mixture of P isomers; synthesis of CMP-sialic acid conjugates as substrates for enzymic synthesis of natural and designed sialyl oligosaccharides)
RN 194665-66-2 HCAPLUS
CN β-Neuraminic acid, N-[(acetyloxy)acetyl]-, methyl ester, 4,7,8,9-tetraacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **188786-06-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of CMP-sialic acid conjugates as substrates for enzymic synthesis of natural and designed sialyl oligosaccharides)
RN 188786-06-3 HCAPLUS
CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 28 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:333428 HCAPLUS
DOCUMENT NUMBER: 127:75548
TITLE: Inhibition of human cytomegalovirus DNA replication with a phosphorothioate cholesteryl-modified oligonucleotide is mediated by rapid cellular association and virus-facilitated nuclear localization
AUTHOR(S): Zhang, Z.; Smith, J. A.; Smyth, A. P.; Tang, J.-Y.; Eisenberg, W.; Pari, G. S.
CORPORATE SOURCE: Hybridon Inc., Cambridge, MA, 02139, USA
SOURCE: Antiviral Chemistry & Chemotherapy (1997), 8(3), 255-264
CODEN: ACCHEH; ISSN: 0956-3202
PUBLISHER: International Medical Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We have previously shown that an antisense phosphorothioate (PS) oligodeoxynucleotide has potent anti-human cytomegalovirus (HCMV) activity. We have now used a modified PS oligonucleotide having three 2'-O-Me nucleotides at the 3' end and four 2'-O-Me nucleotides at the 5' end, containing a cholesteryl moiety linked to the 3' end by a novel thiono-triester linkage. This compound, UL36ANTI-M, is superior to the PS (UL36ANTI) version with respect to antiviral potency, melting temperature and nuclease resistance. Also, we show that cellular association for this oligonucleotide is rapid, occurring within 15 min after treatment and is about 12-fold higher when compared to UL36ANTI. This increased rate of cellular association also correlates with antiviral properties in that a 15 min incubation with UL36ANTI-M was sufficient to achieve 75% inhibition of viral DNA replication and complete inhibition was achieved after only a 1 h pretreatment. In addition confocal microscopic examination showed a change in subcellular distribution from perinuclear to nuclear for oligonucleotides in HCMV-infected human fibroblasts. However, the total amount of cell-associated oligonucleotide was unchanged in infected cells.

IT **184018-07-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; inhibition of human cytomegalovirus DNA replication with

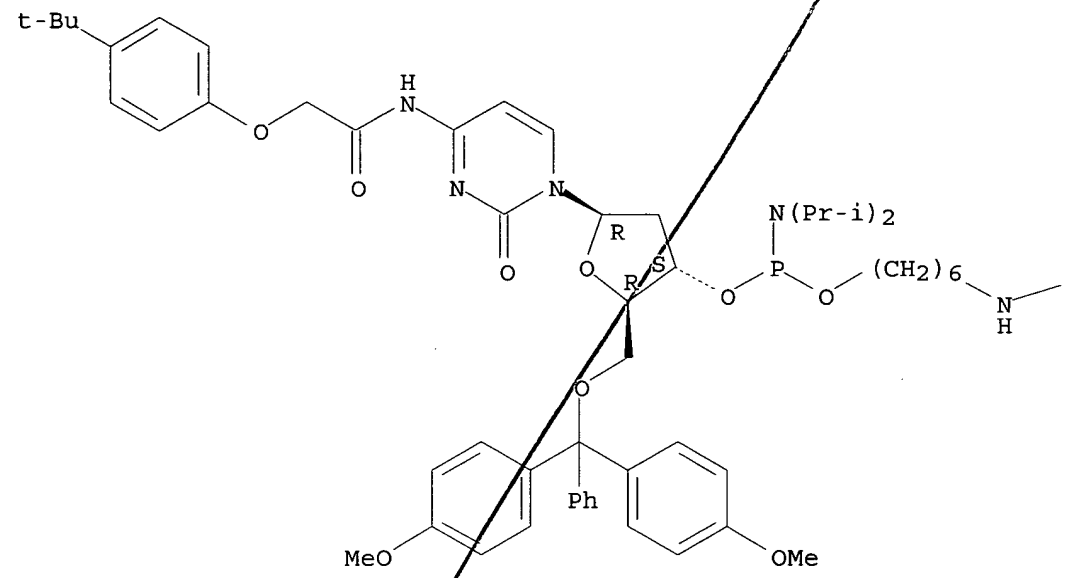
phosphorothioate cholesteryl-modified oligonucleotide)

RN 184018-07-3 HCAPLUS

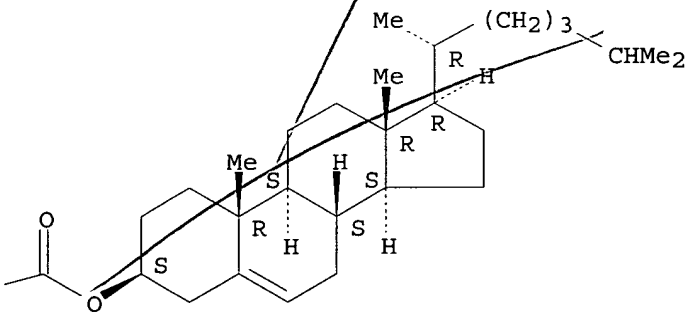
CN Cytidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-[[4-(1,1-dimethylethyl)phenoxy]acetyl]-, 3'-[6-[[[[(3β)-cholest-5-en-3-yl]oxy]carbonyl]amino]hexyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 29 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:195806 HCAPLUS

DOCUMENT NUMBER: 126:264286

TITLE: Enzyme-Catalyzed Synthesis of Oligosaccharides That Contain Functionalized Sialic Acids

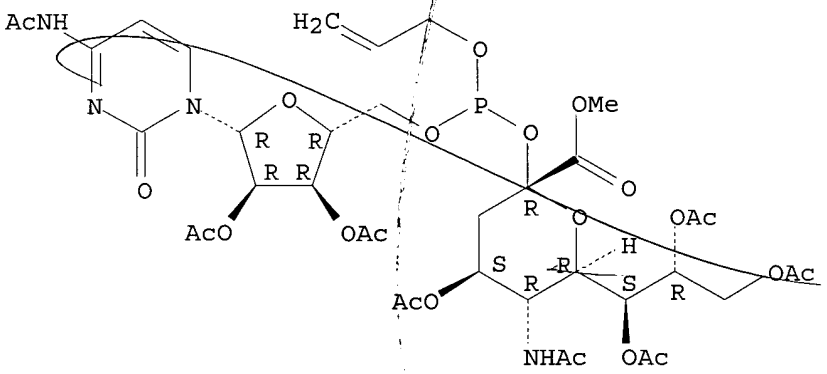
AUTHOR(S): Chappell, Mark D.; Halcomb, Randall L.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Colorado, Boulder, CO, 80309-0215, USA
SOURCE: Journal of the American Chemical Society (1997), 119(14), 3393-3394
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The substrate specificity of α-2,3-sialyltransferase was investigated. This enzyme was found to transfer a variety of sialic acid nucleotides, e.g. I, which are derivatized at the 5-position onto lactose acceptors in good overall yields. Thus, the enzyme is suitable for the preparative preparation of a number of oligosaccharides that contain natural and non-natural sialic acids other than the parent N-acetylneuraminic acid.

IT **188786-06-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enzyme-catalyzed synthesis of oligosaccharides containing functionalized sialic acids)

RN 188786-06-3 HCAPLUS
CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 2-(2-propenyl hydrogen phosphite), 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 30 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:2257 HCAPLUS
DOCUMENT NUMBER: 126:31572
TITLE: Preparation of thiono triester modified antisense oligodeoxyribonucleotide phosphorothioates as gene expression inhibitors
INVENTOR(S): Zhang, Zhaoda; Tang, Jimmy X.; Tang, Jin Yan
PATENT ASSIGNEE(S): Hybridon, Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629337	A1	19960926	WO 1996-US3843	19960322
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2216284	AA	19960926	CA 1996-2216284	19960322
AU 9653193	A1	19961008	AU 1996-53193	19960322
JP 11502818	T2	19990309	JP 1996-528609	19960322
PRIORITY APPLN. INFO.:			US 1995-409169	19950323
			WO 1996-US3843	19960322

AB Title antisense oligodeoxyribonucleotide phosphorothioates were prepared as gene expression inhibitors. These novel oligodeoxyribonucleotides improved cellular uptake, increased exonuclease resistance, and thermodynamically more stable target-binding capacity and are characterized by having from 1 to 10 thiono-triester phosphorothioate internucleoside linkage lipophilic moieties.

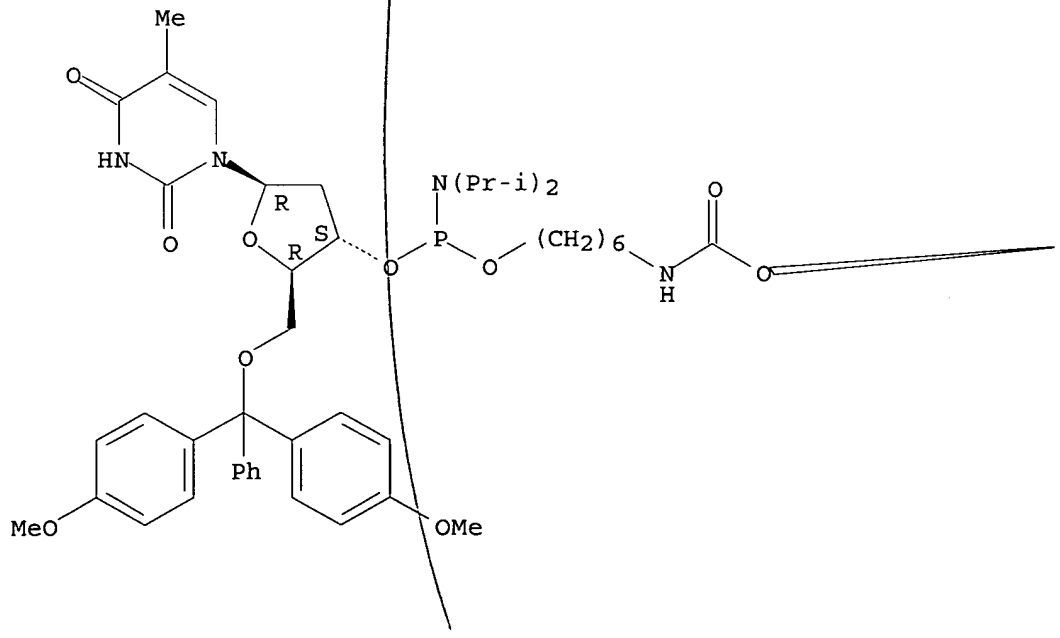
IT **184018-06-2P 184018-07-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiono triester modified antisense oligodeoxyribonucleotide phosphorothioates as gene expression inhibitors)

RN 184018-06-2 HCAPLUS

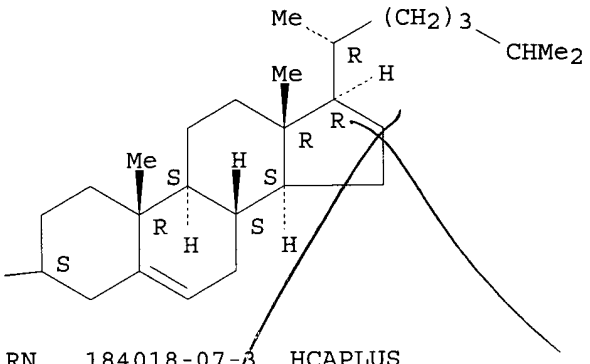
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[6-[[[(3β)-cholest-5-en-3-yloxy]carbonyl]amino]hexyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



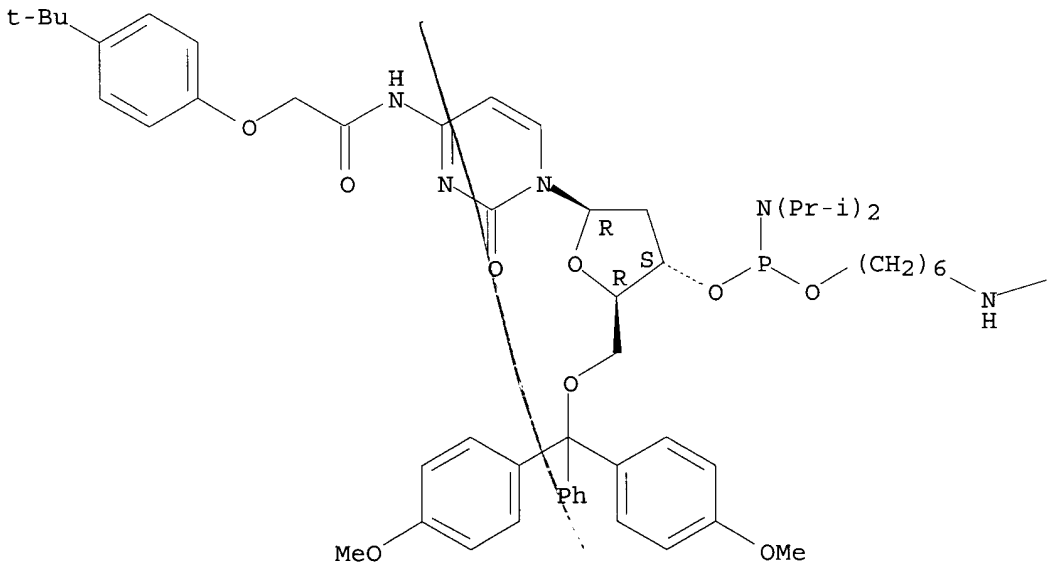
PAGE 1-B



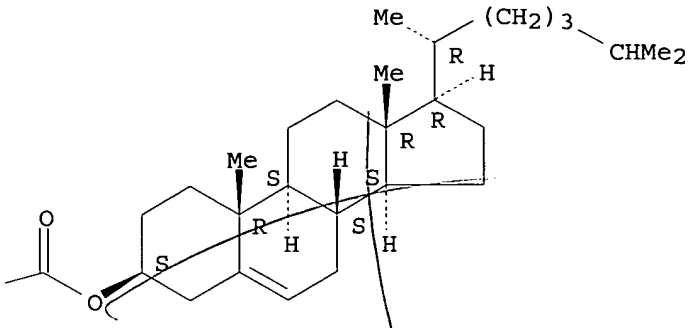
RN 184018-07-3 HCAPLUS
CN Cytidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-[[4-(1,1-dimethylethyl)phenoxy]acetyl]-, 3'-[6-[[[[(3β)-cholest-5-en-3-yl]oxy]carbonyl]amino]hexyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

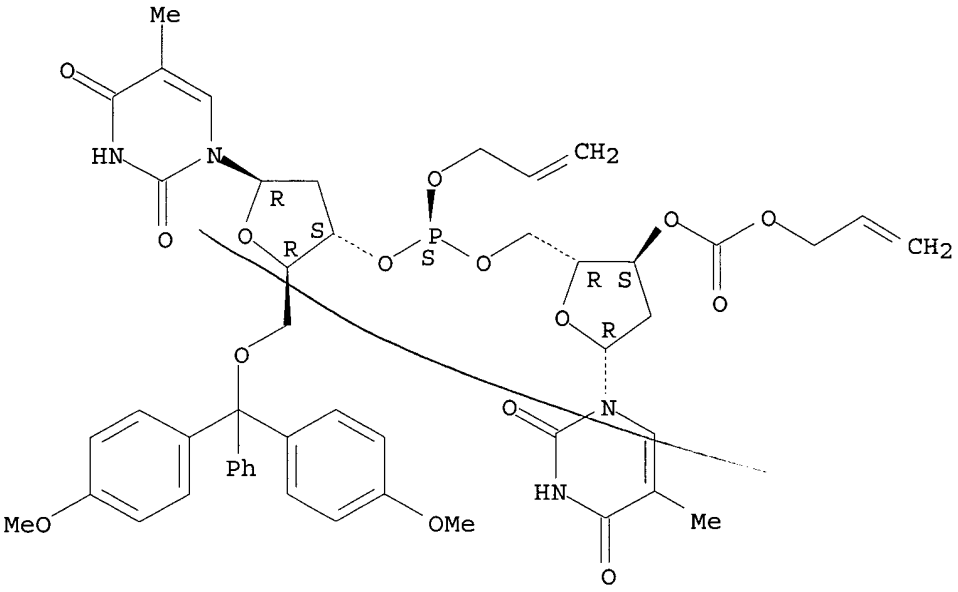


L23 ANSWER 31 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:650047 HCAPLUS
DOCUMENT NUMBER: 126:8489
TITLE: Benzimidazolium Triflate as an Efficient Promoter for Nucleotide Synthesis via the Phosphoramidite Method
AUTHOR(S): Hayakawa, Yoshihiro; Kataoka, Masanori; Noyori, Ryoji
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, Graduate School of Human Informatics, Chikusa, 464-01, Japan
SOURCE: Journal of Organic Chemistry (1996), 61(23), 7996-7997
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:8489

AB Benzimidazolium triflate (I) formed from benzimidazole and trifluoromethanesulfonic acid serves as an efficient promoter for condensation of nucleoside 3'-phosphoramidites and nucleosides. This compound generally shows higher promotion ability than the existing reagents including 5-(p-nitrophenyl)-1H-tetrazole (NPT) and 1H-tetrazole to establish the superiority, particularly, in the reactions of poorly reactive nucleoside phosphoramidites such as arylated deoxyribonucleoside phosphoramidites as well as sterically crowed ribonucleoside phosphoramidites. The reagent I can be used for the solid-phase synthesis of oligodeoxyribonucleotides.

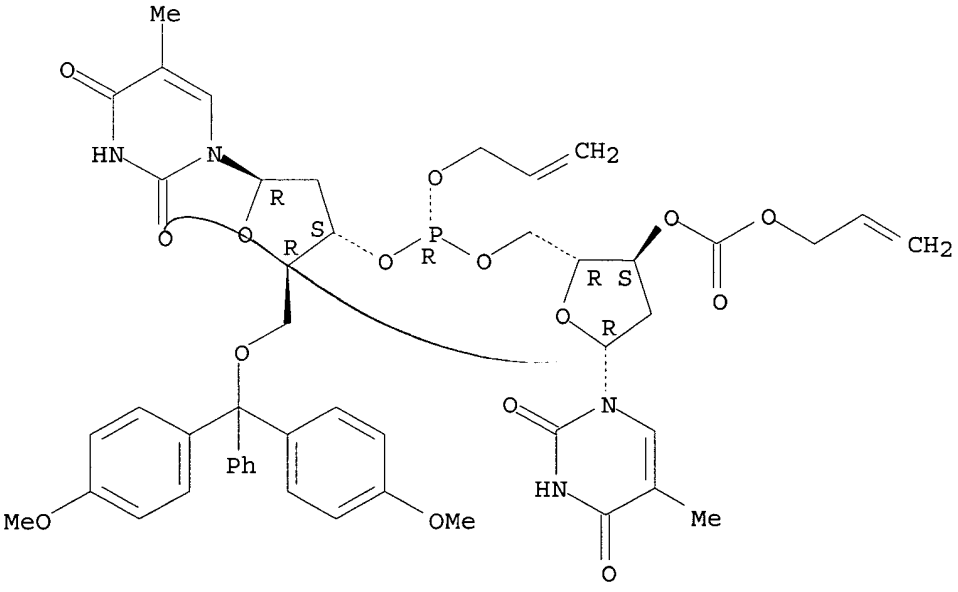
IT **183378-48-5P 183509-71-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(benzimidazolium triflate as an efficient promoter for oligodeoxyribonucleotides preparation via the phosphoramidite method)
RN 183378-48-5 HCAPLUS
CN Thymidine, (S)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-P(O)-2-propenylthymidylyl-(3'→5')-, 3'-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183509-71-9 HCAPLUS
CN Thymidine, (R)-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-P(O)-2-propenylthymidylyl-(3'→5')-, 3'-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 32 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:456772 HCAPLUS
DOCUMENT NUMBER: 125:222329
TITLE: Methodology for the synthesis of dinucleoside monophosphates containing a 2'-deoxy-3-isoadenosine

unit: 3-iso-dApT and Tp (3-iso-dA)

AUTHOR(S): Leonard, Nelson J.; Neelima
CORPORATE SOURCE: Roger Adams Lab., Univ. Illinois, Urbana, IL, 61801-3731, USA

SOURCE: Nucleosides & Nucleotides (1996), 15(7 & 8), 1369-1381
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

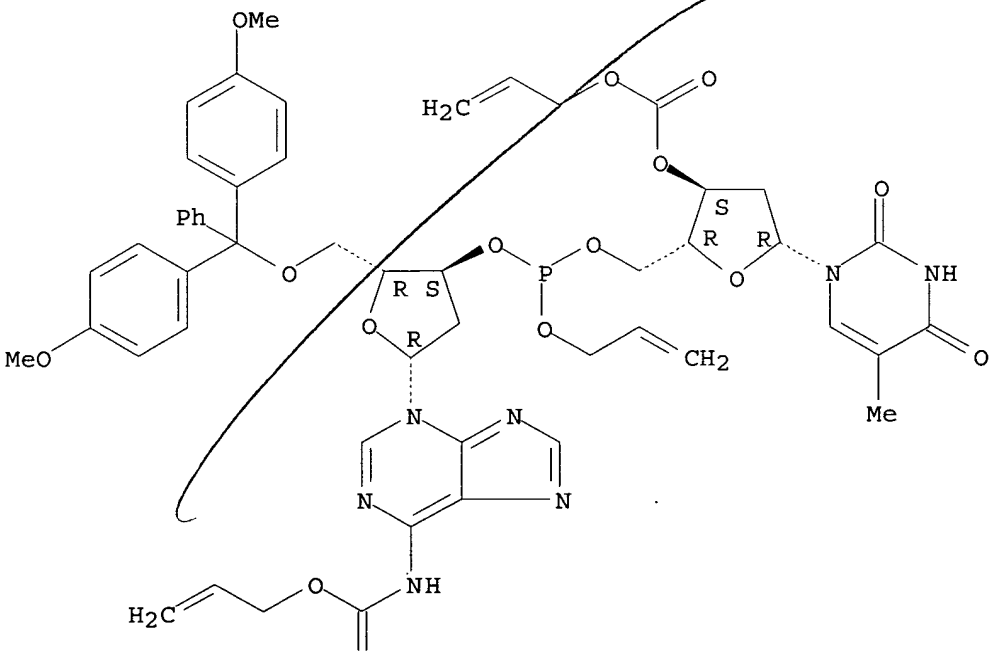
AB 2'-Deoxy-3-isoadenylyl(3'-5')thymidine and thymidylyl(3'-5')-2'-deoxy-3-isoadenosine have been synthesized by mild protection/deprotection methodol. that circumvents facile N3-Cl' hydrolytic cleavage of the 2'-deoxy-3-isoadenosine moiety.

IT **181262-54-4P 181262-74-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of dinucleoside monophosphates containing a deoxyisoadenosine unit)

RN 181262-54-4 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-2'-deoxy-P(O)-2-propenyl-N-[(2-propenyloxy)carbonyl]-3-isoadenylyl-(3'→5')-, 3'-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

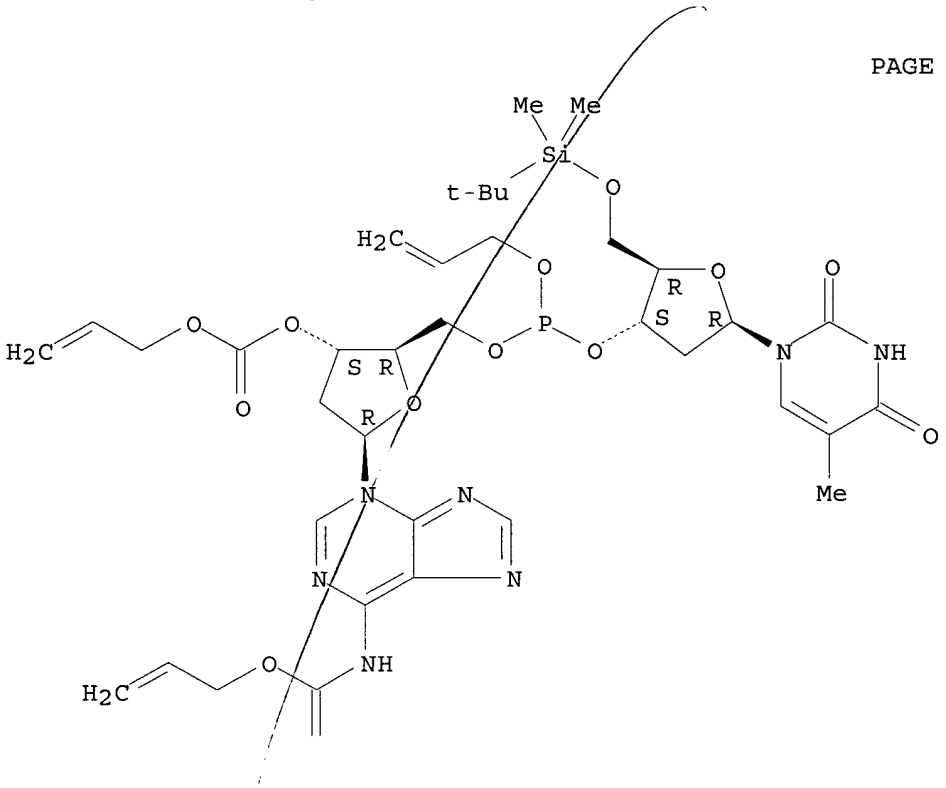


PAGE 2-A

RN 181262-74-8 HCAPLUS
CN 3-Isoadenosine, 5'-O-[(1,1-dimethylethyl)dimethylsilyl]-P-deoxo-P(O)-2-propenylthymidylyl-(3'→5')-2'-deoxy-N-[(2-propenyloxy)carbonyl]-, 3'-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

L23 ANSWER 33 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:995046 HCAPLUS
DOCUMENT NUMBER: 124:146748
TITLE: Process for producing novel nucleoside 5'-phosphosialic acid derivative
INVENTOR(S): Kajihara, Yasuhiro; Ebata, Takashi; Kodama, Hisashi
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9525115	A1	19950921	WO 1995-JP418	19950314
W: JP, US				
RW: DE, FR, GB				
EP 698610	A1	19960228	EP 1995-910806	19950314
EP 698610	B1	19980812		
R: DE, FR, GB				
US 5621086	A	19970415	US 1995-530255	19950831
PRIORITY APPLN. INFO.:			JP 1994-42859	19940314
			WO 1995-JP418	19950314

OTHER SOURCE(S): CASREACT 124:146748; MARPAT 124:146748

AB An industrially suitable process for producing a sialic acid derivative [I; M = NHAc, OH; A = Q, Q1; wherein n = 0,1 and R = H; B = (un)substituted nucleic acid base] comprises condensation of a sialic acid derivative (II ; M1 = OR1, NHAc; A1 = Q, Q2; wherein R1 = acyl or silyl; R2 = alkyl) with a nucleoside-5'-O-phosphoramidite (III; R3 = acyl or silyl; B1 = nucleic acid base with NH2 group being protected by acyl or silyl group) in the presence of an acidic catalyst and oxidation of the resulting phosphite (IV; Z = absent; A1, B1, M1, R1, R2, R3 = same as above) with tert-Bu hydroperoxide to a phosphate IV (Z = O; A1, B1, M1, R1, R2, R3 = same as above) followed by deblocking the phosphate with a base. Thus, N4, 2',3'-O-triacetylcytidine was condensed with [(iso-Pr)2N]2POCH2CH2CN in the presence of 1H-tetrazole and diisopropylamine in MeCN at room temperature for 24 h to give 65% cytidine-5'-phosphoramidite III (R3 = Ac, B1 = N4-acetylcytosin-1-yl) as a diastereomeric mixture which was condensed with tetra-O-acetylsialic acid in the presence of 1H-tetrazole in MeCN at room temperature for 30 min to give 44% IV (Z = absent, A1 = Q, B1 = N4-acetylcytosin-1-yl, M1 = NHAc, R1 = R3 = Ac, R2 = Me) as a diastereomeric mixture The latter phosphite was dissolved in MeCN and treated with tert-Bu hydroperoxide in MeCN at room temperature for 30 min to give 87% IV (Z = O, A1 = Q, B1 = N4-acetylcytosin-1-yl, M1 = NHAc, R1 = R3 = Ac, R2 = Me) as a diastereomeric mixture which was treated with NaOMe at room temperature for 90 min in MeOH and then H2O and left to stand at room temperature for 90 min 12 h to give, after purification with Sephadex G-15 column and treatment with an anion exchange column (AG 1-X8, formic acid form), 75% I (A = Q, R1 = H, M = NHAc, B = cytosin-1-yl).

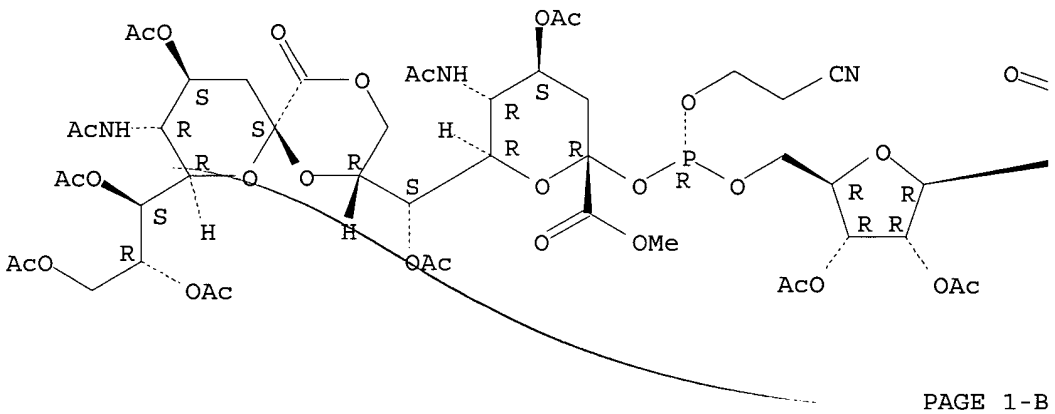
IT **160593-08-8P 160706-64-9P 166533-22-8P 166734-16-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for producing novel nucleoside 5'-phosphosialic acid derivative by phosphoramidite method)

RN 160593-08-8 HCAPLUS

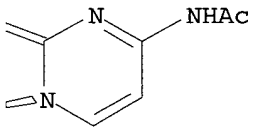
CN β-Neuraminic acid, N-acetyl-8-O-(N-acetyl-4,7,8,9-tetra-O-acetyl-α-neuraminosyl)-, 1-methyl ester, 4,7-diacetate 2-[(R)-2-cyanoethyl hydrogen phosphite], intramol. 1',9-ester, 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



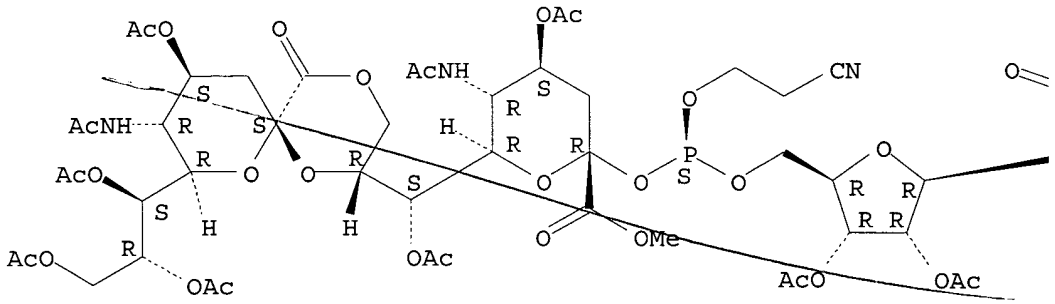
PAGE 1-B



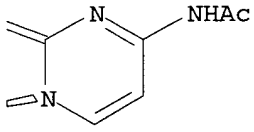
RN 160706-64-9 HCAPLUS
CN β-Neuraminic acid, N-acetyl-8-O-(N-acetyl-4,7,8,9-tetra-O-acetyl-α-neuraminosyl)-, 1-methyl ester, 4,7-diacetate 2-[(S)-2-cyanoethyl hydrogen phosphite], intramol. 1',9-ester, 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



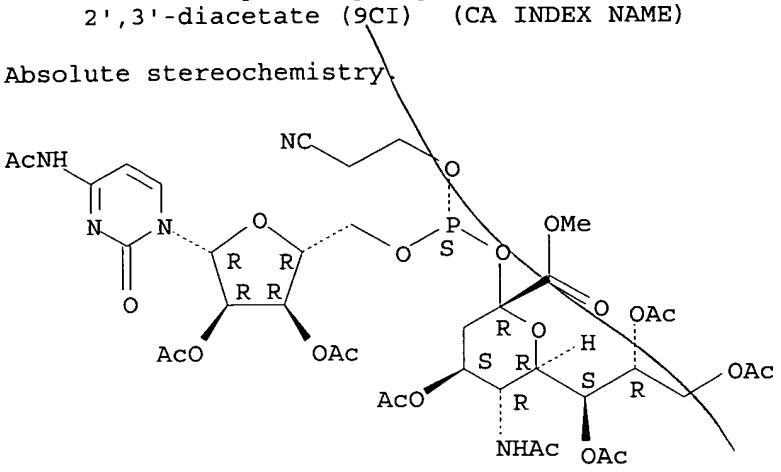
PAGE 1-B



RN 166533-22-8 HCAPLUS

CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 1-[(S)-2-cyanoethyl hydrogen phosphite], 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

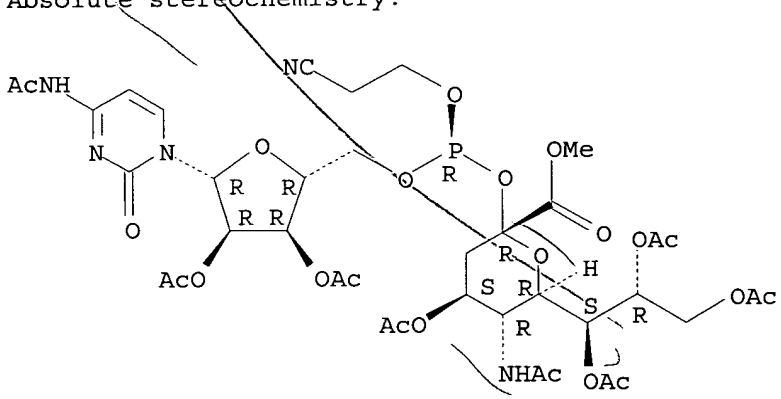
Absolute stereochemistry.



RN 166734-16-3 HCAPLUS

CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 1-[(R)-2-cyanoethyl hydrogen phosphite], 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 34 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:968854 HCAPLUS

DOCUMENT NUMBER: 124:202875

TITLE: Chemical synthesis of oligothymidylate having hydroxymethylphosphonate internucleotidic linkages

AUTHOR(S): Wada, Takeshi; Sekine, Mitsuo

CORPORATE SOURCE: Dep. Life Science, Tokyo Inst. Technology, Yokohama, 226, Japan

SOURCE: Tetrahedron Letters (1995), 36(48), 8845-8
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:202875

AB Non-ionic DNA analogs having hydroxymethylphosphonate internucleotidic linkages (HMP-DNA) were prepared in good yields. A thymidylate dimer having the hydroxymethylphosphonate linkage (I; R = HOCH2) was prepared from the

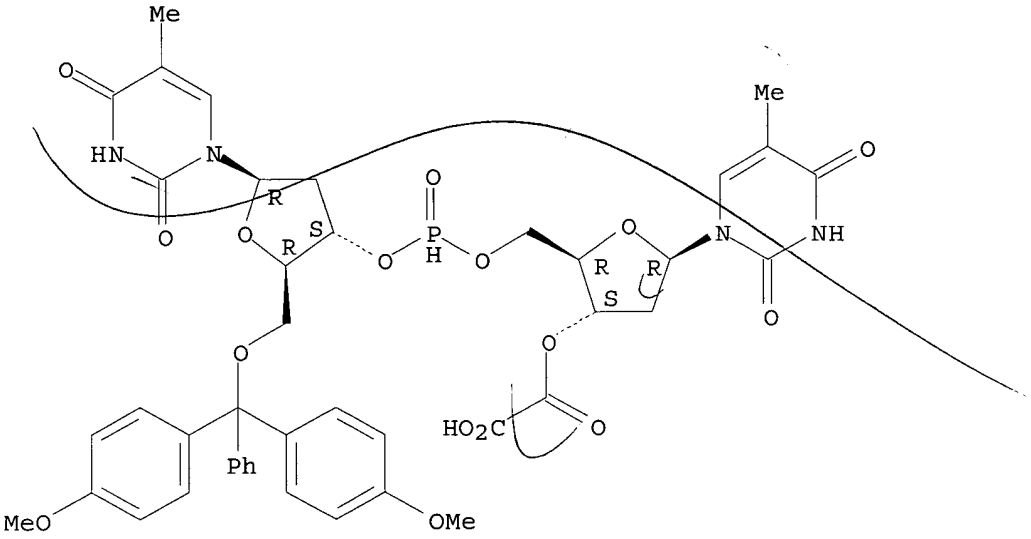
corresponding H-phosphonate via the trimethylsilyl phosphite intermediate (I; R = Me3SiO). This method was applied to the solid-phase synthesis of a decathymidylate having hydroxymethylphosphonate internucleotidic linkages.

IT **173674-16-3D**, controlled-pore glass-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hydroxymethylphosphonate-linked oligothymidylates)

RN 173674-16-3 HCAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxythymidylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

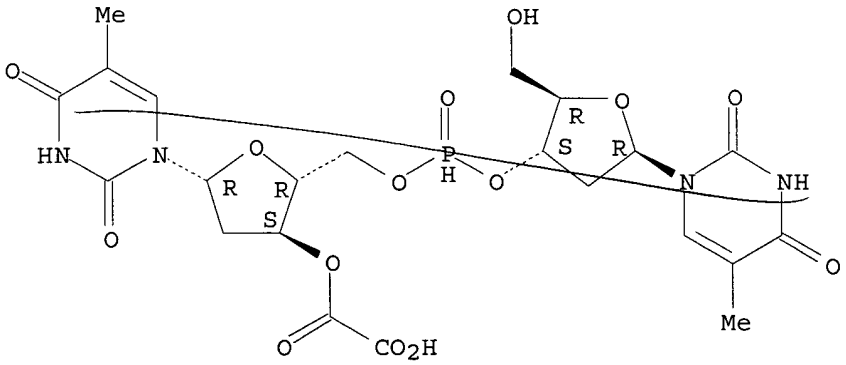


IT **173674-17-4DP**, controlled-pore glass-bound **173674-18-5DP**
, controlled-pore glass-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxymethylphosphonate-linked oligothymidylates)

RN 173674-17-4 HCAPLUS

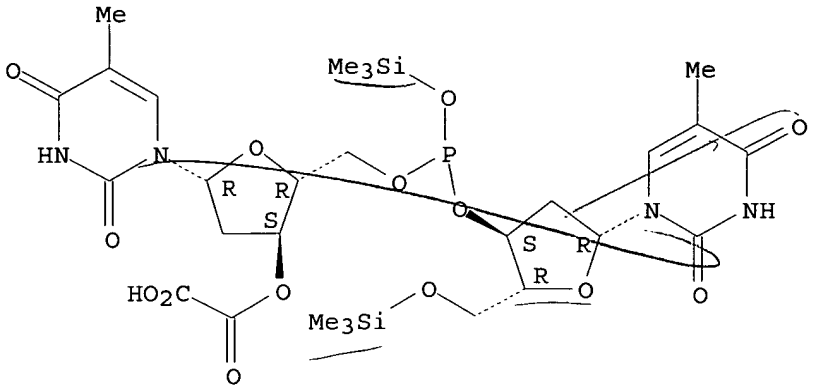
CN Thymidine, P-deoxythymidylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173674-18-5 HCAPLUS
CN Thymidine, P-deoxo-P,5'-bis-O-(trimethylsilyl)thymidylyl-(3'→5')-, 3'-(hydrogen ethanedioate) (9CI) (CA INDEX NAME)

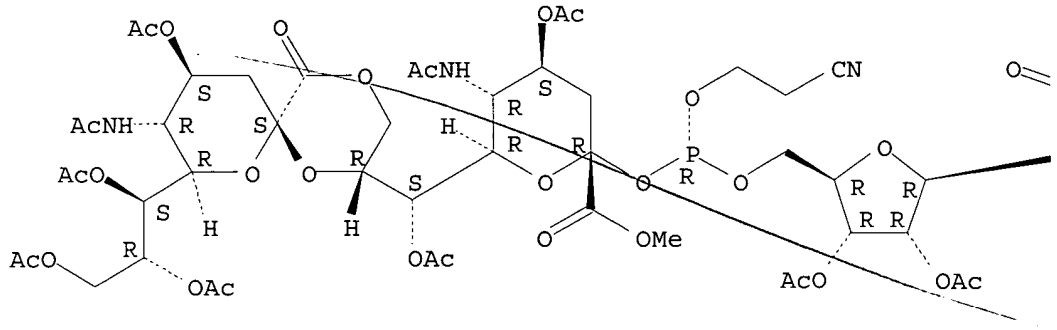
Absolute stereochemistry.



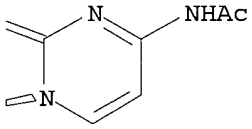
L23 ANSWER 35 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:746907 HCAPLUS
DOCUMENT NUMBER: 123:170052
TITLE: Efficient Chemical Synthesis of CMP-Neu5Ac and CMP-(Neu5Acα2→8Neu5Ac)
AUTHOR(S): Kajihara, Yasuhiro; Ebata, Takashi; Koseki, Koshi; Kodama, Hisashi; Matsushita, Hajime; Hashimoto, Hironobu
CORPORATE SOURCE: Life Science Research Laboratory, Japan Tobacco Inc., Yokohama, 227, Japan
SOURCE: Journal of Organic Chemistry (1995), 60(17), 5732-5
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:170052
AB Title neuraminic acid-containing nucleotides, e.g. I, were prepared via coupling reaction of pentaacetyl Neu5Ac with nucleoside amidite II.
IT **160593-08-8P 160706-64-9P 166533-22-8P 166734-16-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of neuraminic acid-containing nucleotides)
RN 160593-08-8 HCAPLUS
CN β-Neuraminic acid, N-acetyl-8-O-(N-acetyl-4,7,8,9-tetra-O-acetyl-α-neuraminosyl)-, 1-methyl ester, 4,7-diacetate 2-[(R)-2-cyanoethyl hydrogen phosphite], intramol. 1',9-ester, 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



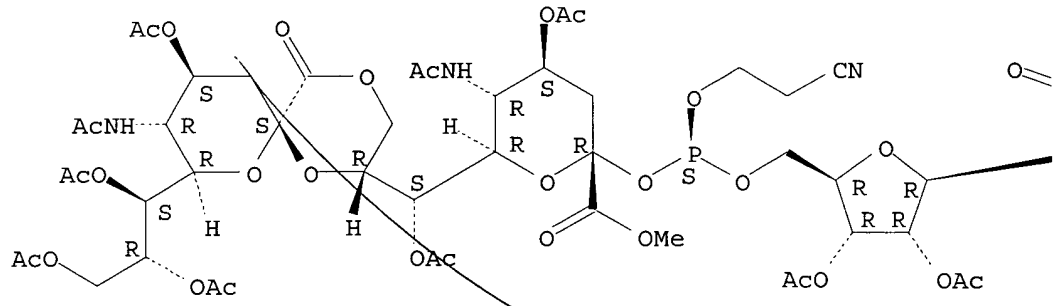
PAGE 1-B



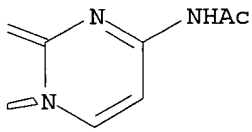
RN 160706-64-9 HCAPLUS
CN β-Neuraminic acid, N-acetyl-8-O-(N-acetyl-4,7,8,9-tetra-O-acetyl-α-neuraminosyl)-, 1-methyl ester, 4,7-diacetate 2-[(S)-2-cyanoethyl hydrogen phosphite], intramol. 1',9-ester, 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



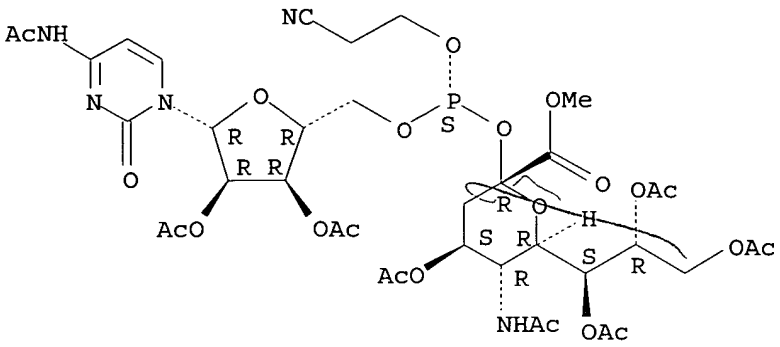
PAGE 1-B



RN 166533-22-8 HCAPLUS

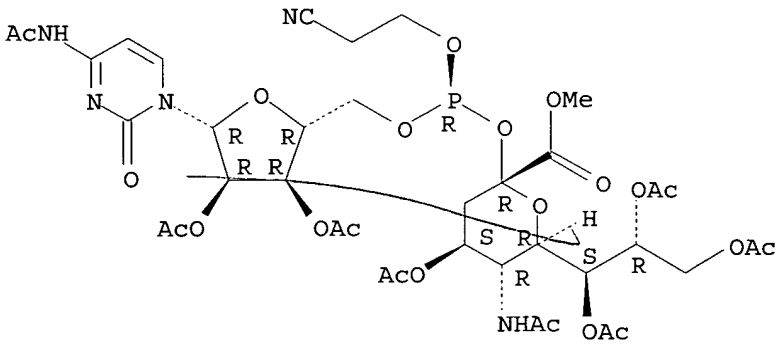
CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 1-[(S)-2-cyanoethyl hydrogen phosphite], 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 166734-16-3 HCAPLUS
CN β-Neuraminic acid, N-acetyl-, methyl ester, 4,7,8,9-tetraacetate 1-[(R)-2-cyanoethyl hydrogen phosphite], 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 36 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:273720 HCAPLUS
DOCUMENT NUMBER: 123:9839
TITLE: Synthesis of N-substituted hydroxyprolinol phosphoramidites for the preparation of combinatorial libraries
AUTHOR(S): Hebert, Normand; Davis, Peter W.; DeBaets, Elizabeth L.; Acevedo, Oscar L.
CORPORATE SOURCE: ISIS Pharmaceuticals, Carlsbad, CA, 92008, USA
SOURCE: Tetrahedron Letters (1994), 35(51), 9509-12
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of N-substituted DMT-hydroxymethylpyrrolidinol phospharamidites has been prepared from trans-4-hydroxyproline. There can be coupled in high

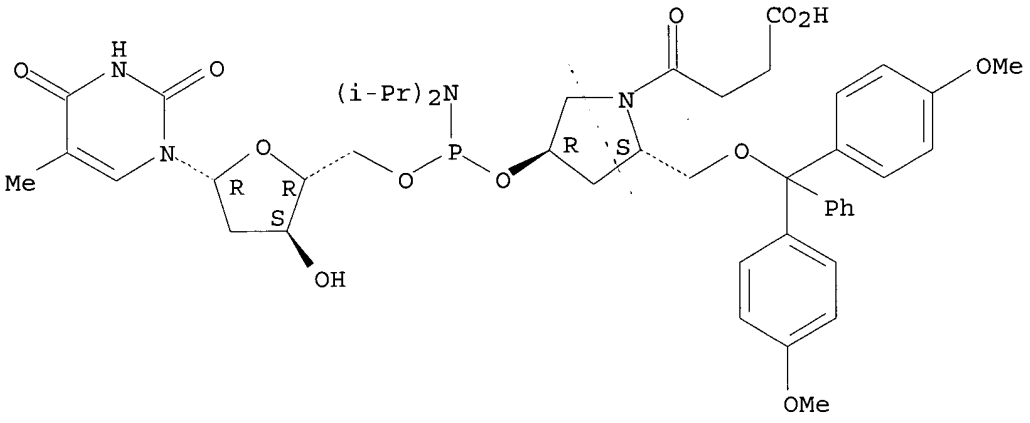
yield and purity using automated synthesis techniques, allowing a wide range of functionalities to be introduced into phosphodiester oligomers.

IT **163671-34-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of N-substituted hydroxyprolinol phosphoramidites for the preparation of combinatorial libraries)

RN 163671-34-9 HCAPLUS

CN Thymidine, 5'-[5-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-1-(3-carboxy-1-oxopropyl)-3-pyrrolidinyl bis(1-methylethyl)phosphoramidite], [3R-(3α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 37 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:177204 HCAPLUS

DOCUMENT NUMBER: 122:106325

TITLE: Synthesis of a novel CMP-Neu5Ac analog: CMP-[α-Neu5Ac-(2→8)-Neu5Ac]

AUTHOR(S): Kajihara, Yasuhiro; Koseki, Koshi; Ebata, Takashi; Kodama, Hisashi; Matsushita, Hajime; Hashimoto, Hironobu

CORPORATE SOURCE: Life Science Research Laboratory, Japan Tobacco, Inc., 6-2 Umegaoka, Midori-ku, Yokohama, 227, Japan

SOURCE: Carbohydrate Research (1994), 264(1), C1-C5
CODEN: CRBRAT; ISSN: 0008-6215

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:106325

AB The dimer I was prepared via condensation of II (preparation given) with III (preparation given) in the presence of 1H-tetrazole followed by tert-Bu-OOH oxidation and hydrolysis.

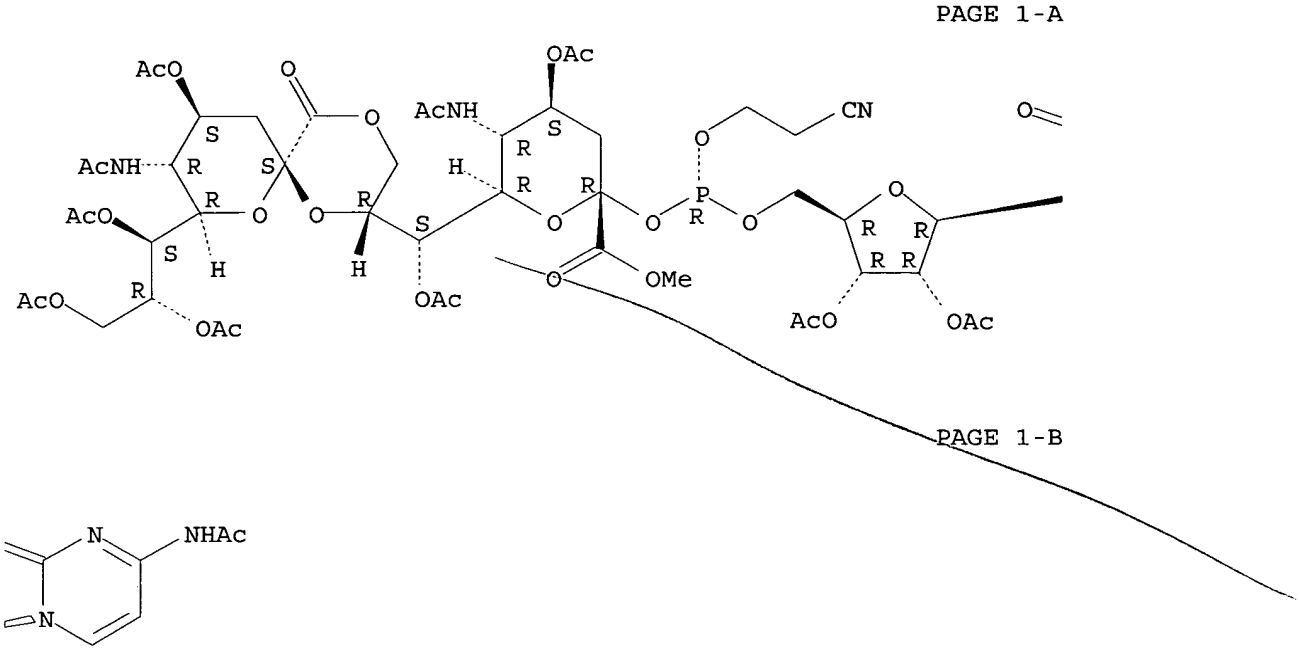
IT **160593-08-8P 160706-64-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of novel CMP-Neu5Ac analog, CMP-[α-Neu5Ac-(2→8)-Neu5Ac])

RN 160593-08-8 HCAPLUS

CN β-Neuraminic acid, N-acetyl-8-O-(N-acetyl-4,7,8,9-tetra-O-acetyl-α-neuraminosyl)-, 1-methyl ester, 4,7-diacetate 2-[(R)-2-cyanoethyl hydrogen phosphite], intramol. 1',9-ester, 5'-ester with N-acetylcytidine

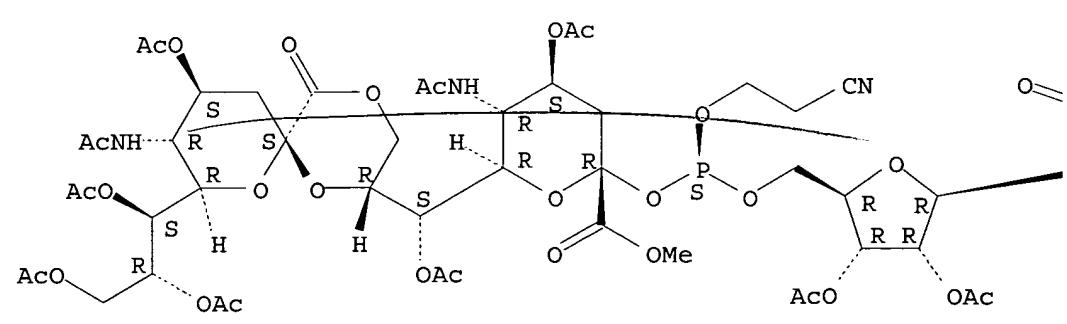
2',3'-diacetate (9CI) (CA INDEX NAME)

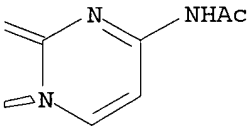
Absolute stereochemistry.



RN 160706-64-9 HCAPLUS
CN β-Neuraminic acid, N-acetyl-8-O-(N-acetyl-4,7,8,9-tetra-O-acetyl-α-neuraminosyl)-, 1-methyl ester, 4,7-diacetate 2-[(S)-2-cyanoethyl hydrogen phosphite], intramol. 1',9-ester, 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L23 ANSWER 38 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:509525 HCAPLUS
DOCUMENT NUMBER: 121:109525
TITLE: Gel-phase 31P-NMR. A new analytical tool to evaluate solid phase oligonucleotide synthesis
AUTHOR(S): Bardella, Francesc; Eritja, Ramon; Pedroso, Enrique; Giralt, Ernest
CORPORATE SOURCE: Fac. Quim., Univ. Barcelona, Barcelona, E-08028, Spain
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(12), 2793-6
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

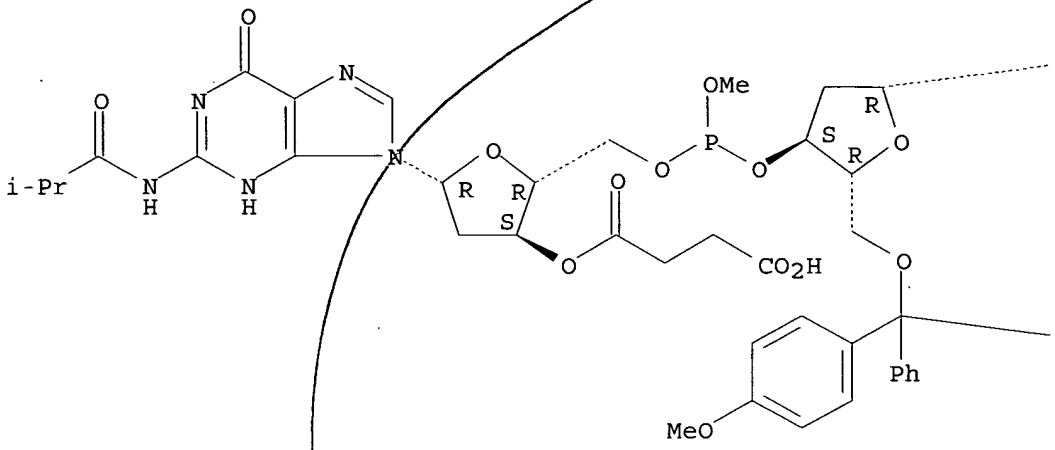
AB This paper shows gel-phase 31P-NMR spectra of synthetic intermediates obtained during solid-phase oligonucleotide synthesis on polystyrene for the first time. The authors have demonstrated the application of this technique using the phosphotriester, H-phosphonate and phosphite triester approaches. The use of gel-phase 31P-NMR for monitoring solid phase oligonucleotide synthesis is discussed.

IT **156848-46-3D**, polystyrene support **156884-99-0D**, polystyrene support
RL: RCT (Reactant); RACT (Reactant or reagent)
(Merrifield synthesis and gel-phase 31P-NMR spectra of)

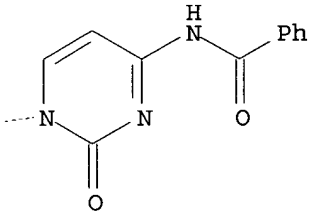
RN 156848-46-3 HCAPLUS
CN Guanosine, N-benzoyl-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-2'-deoxy-P(O)-methylcytidylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

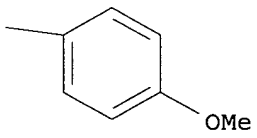
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

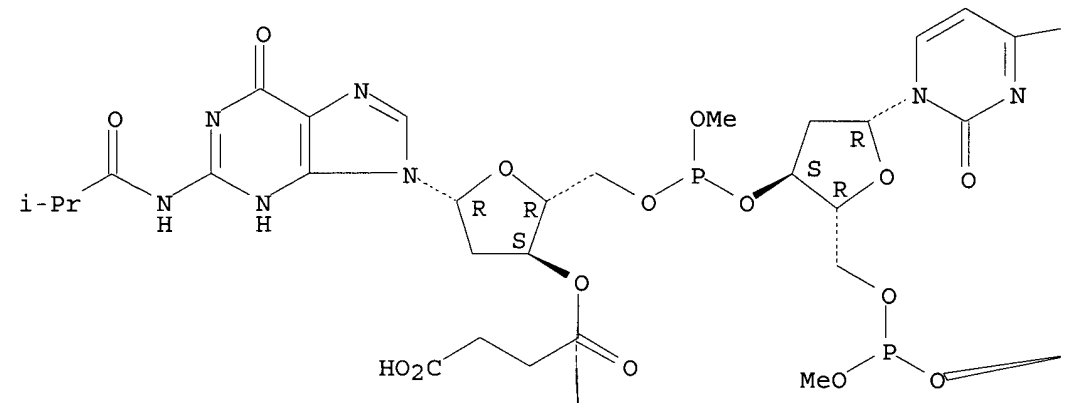




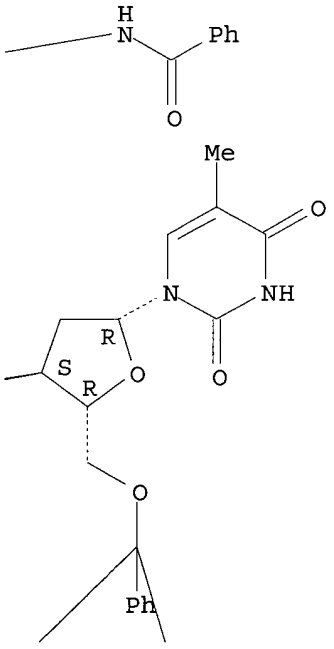
RN 156884-99-0 HCAPLUS
CN Guanosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-P(O)-methylthymidylyl-(3'→5')-N-benzoyl-P-deoxo-2'-deoxy-P(O)-methylcytidylyl-(3'→5')-2'-deoxy-N-(2-methyl-1-oxopropyl)-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

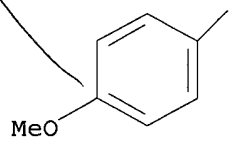
PAGE 1-A



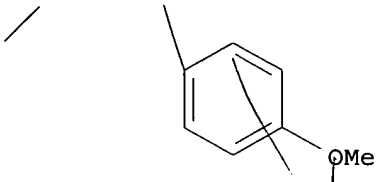
PAGE 1-B



PAGE 2-A



PAGE 2-B

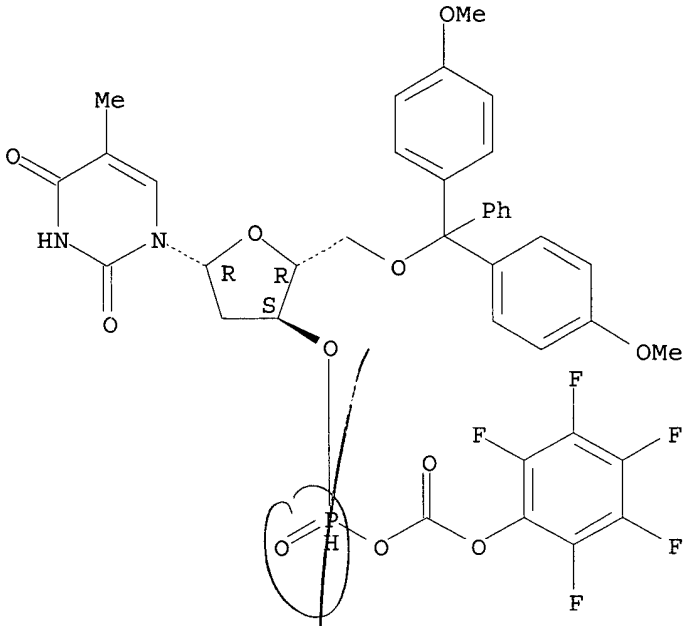


L23 ANSWER 39 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:271060 HCAPLUS
DOCUMENT NUMBER: 120:271060
TITLE: Dipentafluorophenyl carbonate - a reagent for the synthesis of oligonucleotides and their conjugates
AUTHOR(S): Efimov, V. A.; Kalinkina, A. L.; Chakhmakhcheva, O. G.
CORPORATE SOURCE: Shemyakin and Ovchinnikov Inst. Bioorg. Chem., Moscow, 117871, Russia
SOURCE: Nucleic Acids Research (1993), 21(23), 5337-44
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Dipentafluorophenyl carbonate has been successfully used as condensing agent for the internucleotide bond formation in the synthesis of oligonucleotides via H-phosphonate approach. The mechanism of a nucleotide component activation with this reagent has been investigated with the help of 31P NMR spectroscopy. It was shown that preactivation of deoxynucleoside H-phosphonate with dipentafluorophenyl carbonate has no influence on the efficiency of the synthesis. This reagent is highly reactive, nonhygroscopic and stable on storage at room temperature. The effectiveness of dipentafluorophenyl carbonate in the oligonucleotide chemical has been demonstrated in the solid-phase synthesis of 10-50-mers on 0.2, 1 and 10 μmol scales. The use of this reagent for the derivatization of polymer supports as well as for the synthesis of oligonucleotide conjugates with polyethylene glycol and a lipid is described.

IT **154492-58-7P 154492-59-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in preparation of DNA)
RN 154492-58-7 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-(hydrogen phosphonate), anhydride with pentafluorophenyl hydrogen carbonate (9CI) (CA INDEX NAME)

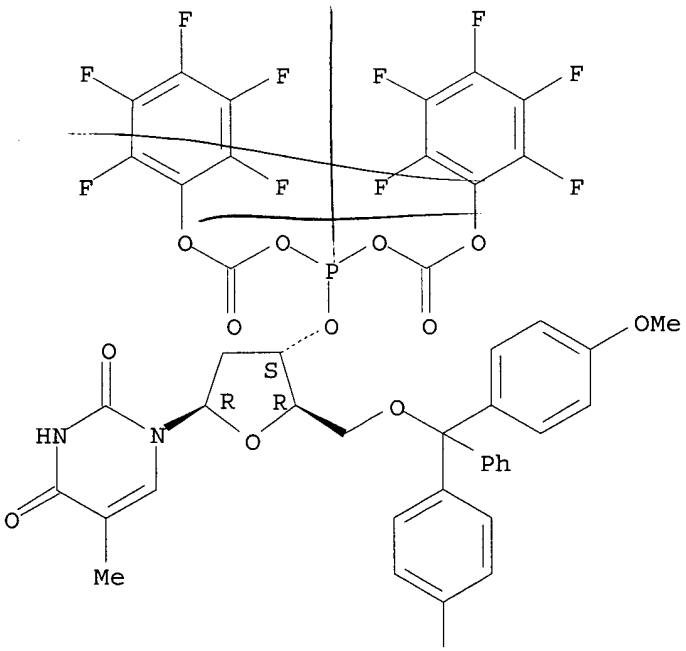
Absolute stereochemistry.



RN 154492-59-8 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-(dihydrogen phosphite), dianhydride with pentafluorophenyl hydrogen carbonate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

OMe

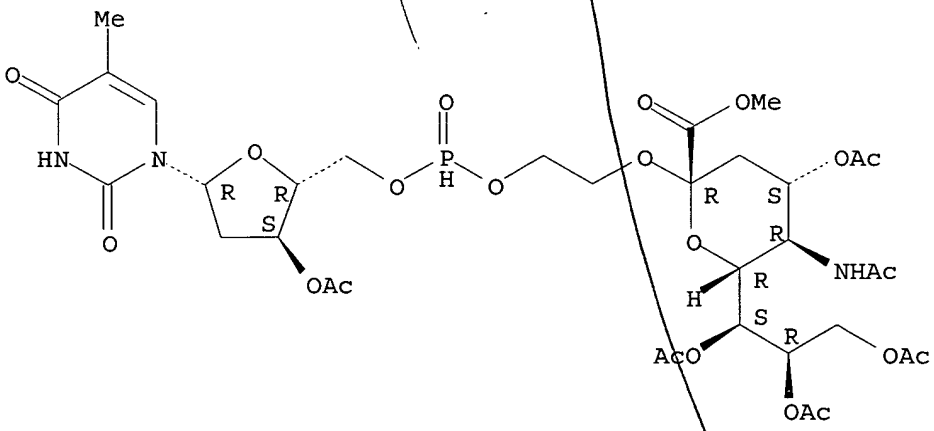
L23 ANSWER 40 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:194746 HCAPLUS
DOCUMENT NUMBER: 116:194746
TITLE: Synthesis of sialic acid-containing nucleotide sugars: CMP-sialic acid analogs
AUTHOR(S): Ikeda, Kiyoshi; Nagao, Yoshihiro; Achiwa, Kazuo
CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan
SOURCE: Carbohydrate Research (1992), 224, 123-31
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthesis of sialic acid-containing nucleotide sugars, e.g. I [R = R1 = H (II)], via coupling of Me [(2-hydroxy)ethyl 5-acetamido-4,7,8,9-tetra-O-acetyl-3,5-dideoxy-D-glycero-α-D-galacto-2-nonulopyranosid]onate with various fully protected hydrogen phosphonates of nucleosides, are reported. I (R = Ac, R1 = OMe) (III) inhibited the sialidase from influenza virus. II and III exhibited antiviral activity against HIV and had little or no cytotoxicity.

IT **140484-50-0P 140604-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and P-oxidation of)

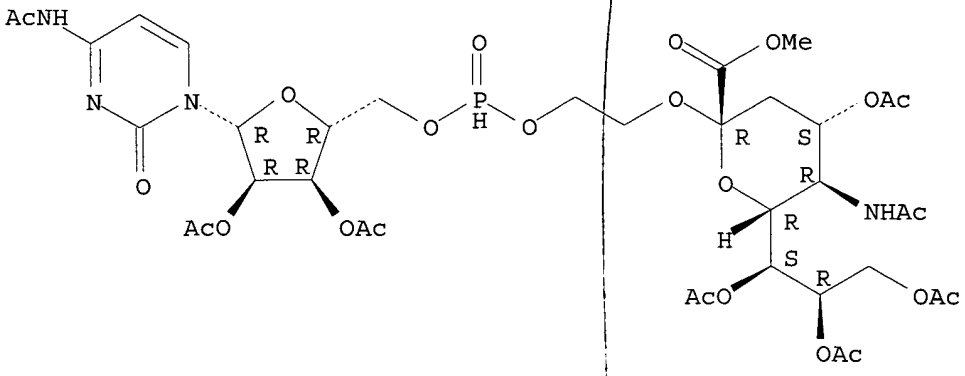
RN 140484-50-0 HCAPLUS
CN α-Neuraminic acid, N-acetyl-2-O-[2-[(hydroxyphosphinyl)oxy]ethyl]-, methyl ester, 4,7,8,9-tetraacetate, 5'-ester with thymidine 3'-O-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



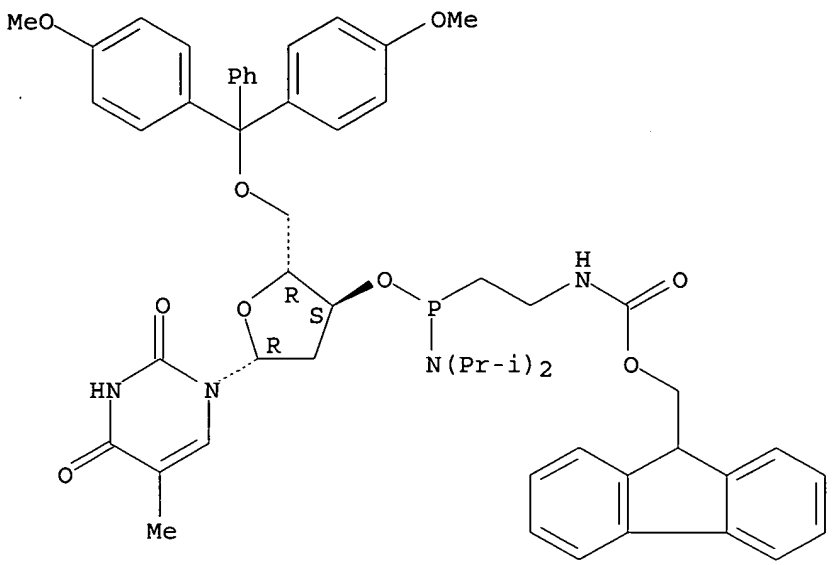
RN 140604-84-8 HCAPLUS
CN α-Neuraminic acid, N-acetyl-2-O-[2-[(hydroxyphosphinyl)oxy]ethyl]-, methyl ester, 4,7,8,9-tetraacetate, 5'-ester with N-acetylcytidine 2',3'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 41 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:37404 HCAPLUS
DOCUMENT NUMBER: 116:37404
TITLE: Controlled chemical cleavage of synthetic DNA at specific sites
AUTHOR(S): Horn, Thomas; Downing, Kristina; Gee, Yougen; Urdea, Mickey S.
CORPORATE SOURCE: Chiron Corp., Emeryville, CA, 94608, USA
SOURCE: Nucleosides & Nucleotides (1991), 10(1-3), 299-302
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:37404
AB The authors report the synthesis of a protected abasic mol., 1'-O-(2-nitrobenzyl)-2'-deoxyriboside, and a special N-4-(6-hydroxyhexyl)ribocytidine derivative as light- and periodate-sensitive selectable cleavage moieties, resp., and their use in the characterization of linear and branched single-stranded DNA mols.
IT **134645-30-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and incorporation of, into DNA)
RN 134645-30-0 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[P-[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

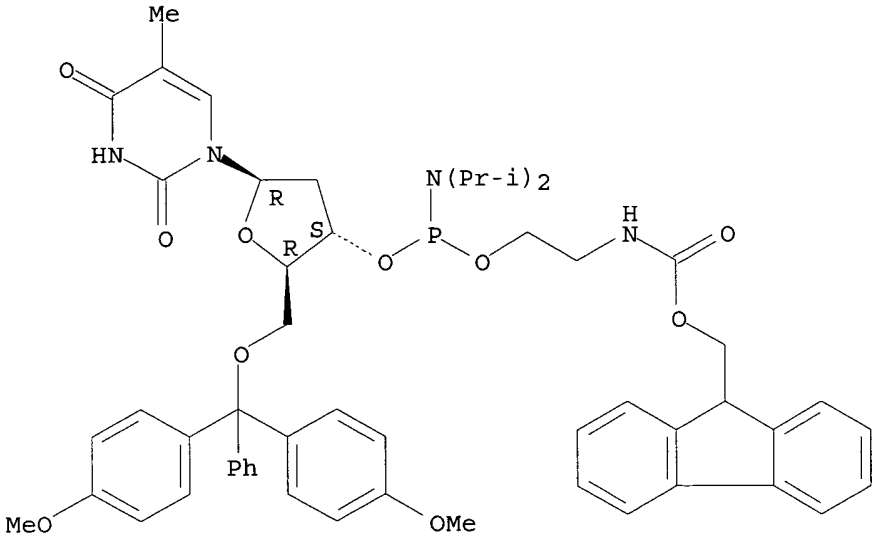


L23 ANSWER 42 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:675111 HCAPLUS
DOCUMENT NUMBER: 115:275111
TITLE: Specific intrachain introduction of reporter groups into oligonucleotides as substituents at internucleotidic linkages
AUTHOR(S): Seliger, H.; Krist, B.; Berner, S.
CORPORATE SOURCE: Sekt. Polym., Univ. Ulm, Ulm, D-7900, Germany
SOURCE: Nucleosides & Nucleotides (1991), 10(1-3), 303-6
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two routes to the introduction of biotin labels into oligonucleotides via an intrachain phosphotriester linkage are described. A loop linker was prepared on this basis for attachment of double-stranded DNA to an avidin-coated solid phase.

IT **137101-08-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with nucleotides)
RN 137101-08-7 HCAPLUS
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 43 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:429850 HCAPLUS
DOCUMENT NUMBER: 115:29850
TITLE: Preparation of oligonucleotides via modified phosphoramidites as nucleic acid hybridization probes
INVENTOR(S): Seliger, Heinz Hartmut; Berner, Sibylle; Muehlegger, Klaus; Von der Eltz, Herbert; Batz, Hans Georg
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 399330	A1	19901128	EP 1990-109092	19900515
EP 399330	B1	19941228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3916871	A1	19901129	DE 1989-3916871	19890524
ES 2068279	T3	19950416	ES 1990-109092	19900515
JP 03005495	A2	19910111	JP 1990-132429	19900522
JP 07030108	B4	19950405		
CA 2017369	AA	19901124	CA 1990-2017369	19900523
CA 2017369	C	20010123		
ZA 9003975	A	19910327	ZA 1990-3975	19900523
JP 07233188	A2	19950905	JP 1994-223299	19940919
US 5700919	A	19971223	US 1995-370836	19950110
US 5902878	A	19990511	US 1997-934018	19970919
PRIORITY APPLN. INFO.:			DE 1989-3916871 A	19890524
			US 1990-528204 B1	19900524
			US 1992-933589 B1	19920826
			US 1995-370836 A3	19950110

OTHER SOURCE(S): MARPAT 115:29850
AB The title compds. [I; K = H, P, phosphate radical, nucleotide (sequence); J = OH, or an O linked to a nucleotide (sequence); B = nucleoside; T = H,

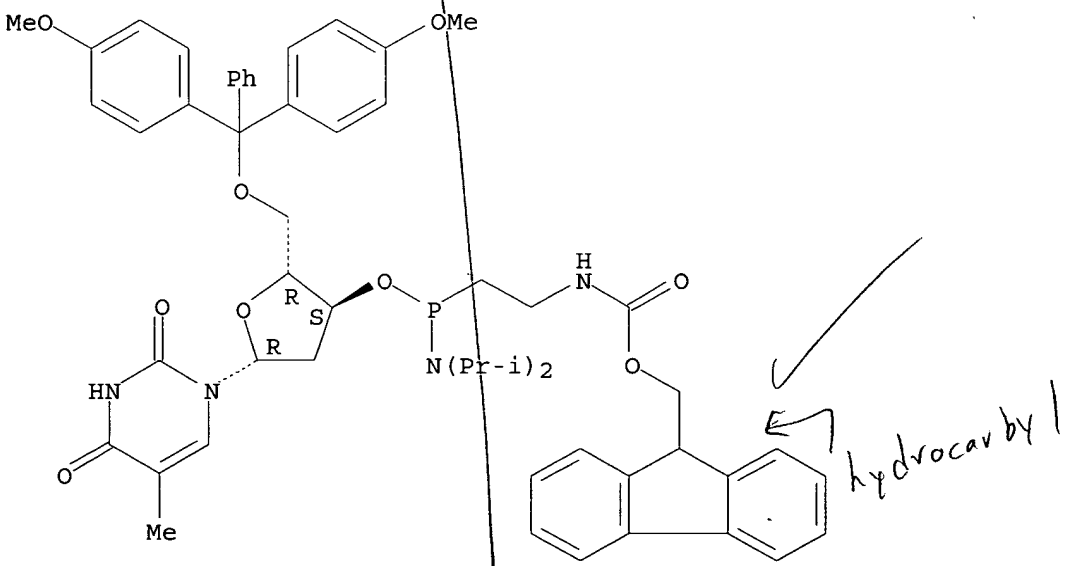
alkyl, N3, alkoxy, OH; X = O, S; L = a bridge of n+1 valence; U = O, S, NH; W = detectable radical, residue convertible thereto; n = 1-200], useful for probes for nucleic acid hybridization and primers for enzymic synthesis of nucleic acids, were prepared via reaction of nucleotides II with Y-W [Y = reactive group]. II were prepared, e.g., via condensation of nucleotides III [A = protecting group, nucleotide, oligonucleotide; D = (substituted) amino; V = protecting group] with another nucleoside having a free 5'-OH group followed by oxidation Fmoc-NHCH2CH2OPClN(CHMe2)2 (Fmoc = fluorenylmethoxycarbonyl) (preparation given) was condensed with 5'-dimethoxytritylthymidine to give III [A = dimethoxytrityl, T = H, B = thyminyl, D = N(CHMe2)2, X = O, L = CH2CH2, U = NH, V = Fmoc, n = 1] (IV). IV was then condensed with a 5'-OH-free thymidine on a support, the product oxidized, the product 5'-deprotected and further condensed with 6 thymidine units with un-modified phosphoramidite moiety and the resulting octanucleotide condensed with another IV to give, after support cleavage and deprotection, d(TpaeTpTpTpTpTpTpaeT) [pae = aminoethyl phosphate group], which was reacted with digoxigenin-O-succinylamidocaproic acid N-hydroxysuccinimide ester to give oligonucleotides labeled with digoxigenin, useful for hybridization with HIV DNA fragments.

IT **134645-30-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with thymidine, in preparation of oligonucleotides)

RN 134645-30-0 HCAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3'-[P-[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-N,N-bis(1-methylethyl)phosphonamidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L23 ANSWER 44 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:62609 HCAPLUS
DOCUMENT NUMBER: 114:62609
TITLE: Nonoxidative chlorination of dialkyl phosphonates to dialkyl phosphorochloridites. A new approach to oligonucleotide synthesis

AUTHOR(S): Wada, Takeshi; Kato, Ryohei; Hata, Tsujiaki
CORPORATE SOURCE: Dep. Life Chem., Tokyo Inst. Technol., Yokohama, 227, Japan
SOURCE: Journal of Organic Chemistry (1991), 56(3), 1243-50
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:62609

AB Several dialkyl phosphonates and alkyl nucleoside 3'-phosphonates were transformed into the corresponding highly reactive phosphorochloridites without oxidation of phosphorus by use of tris(2,4,6-tribromophenoxy)dichlorophosphorane (BDCP) as a chlorinating reagent. The reaction was applied to internucleotidic bond formation. 2-Cyanoethyl and Me nucleoside 3'-phosphonates were prepared in high yields and were stable enough as starting materials in oligonucleotide synthesis. Examination of dodecathymidylate synthesis on a polymer support, using 2-cyanoethyl or Me nucleoside 3'-phosphonate as building blocks, showed that the 2-cyanoethyl nucleoside 3'-phosphonate was more effective.

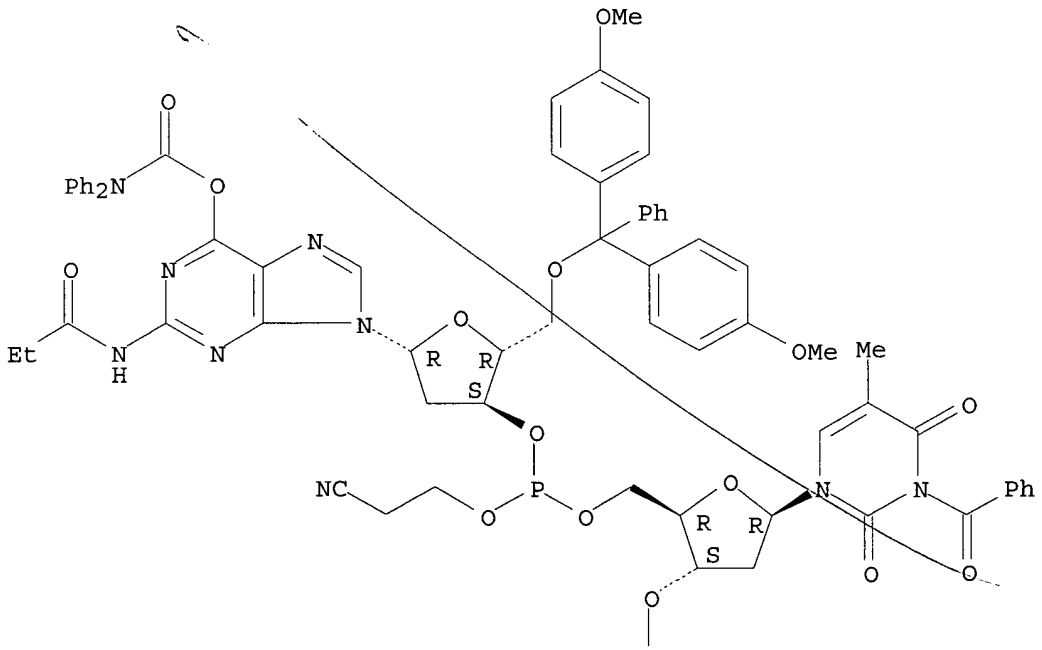
IT **130983-92-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and phosphorochloridite hydrolysis of)

RN 130983-92-5 HCAPLUS

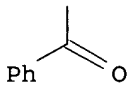
CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P(O)-(2-cyanoethyl)-P-deoxo-2'-deoxy-6-O-[(diphenylmino)carbonyl]-N-(1-oxopropyl)guanylyl-(3'→5')-3-benzoyl-, 3'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

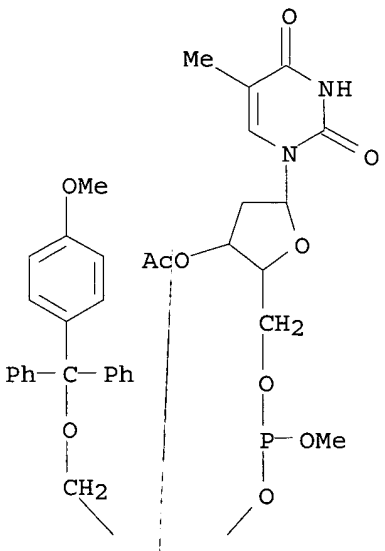


PAGE 2-A

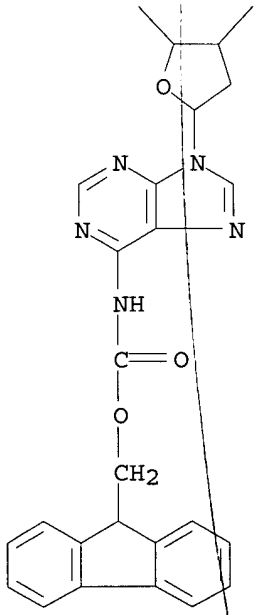


L23 ANSWER 45 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:154792 HCAPLUS
DOCUMENT NUMBER: 110:154792
TITLE: A novel synthetic approach to phosphate-methylated DNA oligomers using 9-fluorenylmethoxycarbonyl (Fmoc) as temporary base amino protecting group
AUTHOR(S): Koole, Leo H.; Quaedflieg, Peter J. L. M.; Kuijpers, Will H. A.; Broeders, Niek L. H. L.; Langermans, Harm A.; Van Genderen, Marcel H. P.; Buck, Henk M.
CORPORATE SOURCE: Dep. Org. Chem., Eindhoven Univ. Technol., Eindhoven, Neth.
SOURCE: Proceedings of the Koninklijke Nederlandse Akademie van Wetenschappen, Series B: Palaeontology, Geology, Physics, Chemistry, Anthropology (1988), 91(2), 205-9
CODEN: PKNBE3; ISSN: 0920-2250
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The phosphate-methylated dinucleotides d(CpC) and d(ApT) have been synthesized using the 9-fluorenylmethoxycarbonyl (Fmoc) group for transient protection of the amino group of the bases C and A. In the final stage of the synthesis, the Fmoc group could be removed with preservation of the methylated phosphate group. The Fmoc approach can be used for the synthesis of phosphate-methylated DNA fragments of an arbitrary nucleotide sequence. These systems are of interest because of their inherent conformational properties, and because of their possible utility as inhibitors of DNA replication in vitro and in vivo.
IT **119803-43-9P 119904-59-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 119803-43-9 HCAPLUS
CN Thymidine, [P(R)]-P-deoxo-2'-deoxy-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-5'-O-[(4-methoxyphenyl)diphenylmethyl]-P(O)-methyladenylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

PAGE 1-A

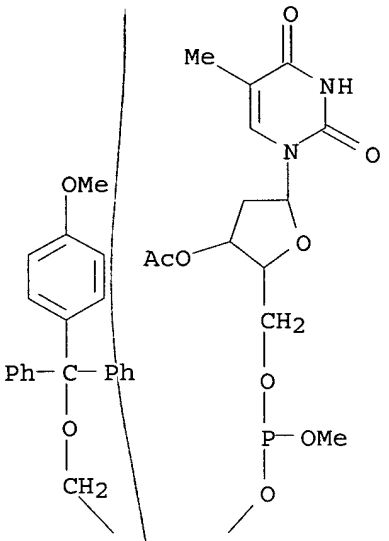


PAGE 2-A

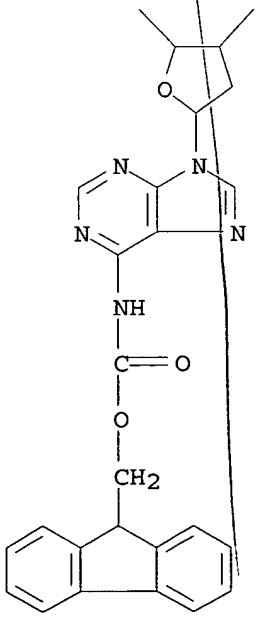


RN 119904-59-5 HCAPLUS
CN Thymidine, [P(S)]-P-deoxo-2'-deoxy-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-5'-O-[(4-methoxyphenyl)diphenylmethyl]-P(O)-methyladenylyl-(3'→5')-, 3'-acetate (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



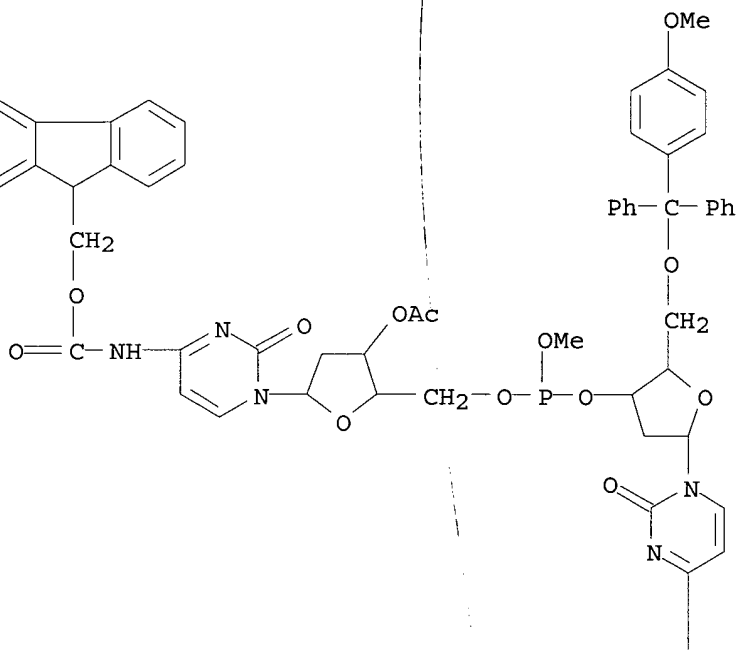
IT **119803-41-7P 119904-56-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation, oxidation, and detritylation of)

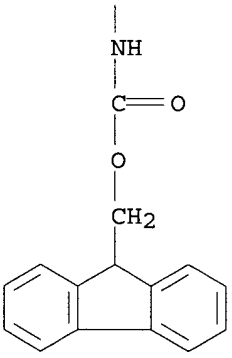
RN 119803-41-7 HCAPLUS

CN Cytidine, P-deoxo-2'-deoxy-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-5'-O-[(4-methoxyphenyl)diphenylmethyl]-P(O)-methylcytidylyl-(3'→5')-2'-deoxy-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-, 3'-acetate, (R)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

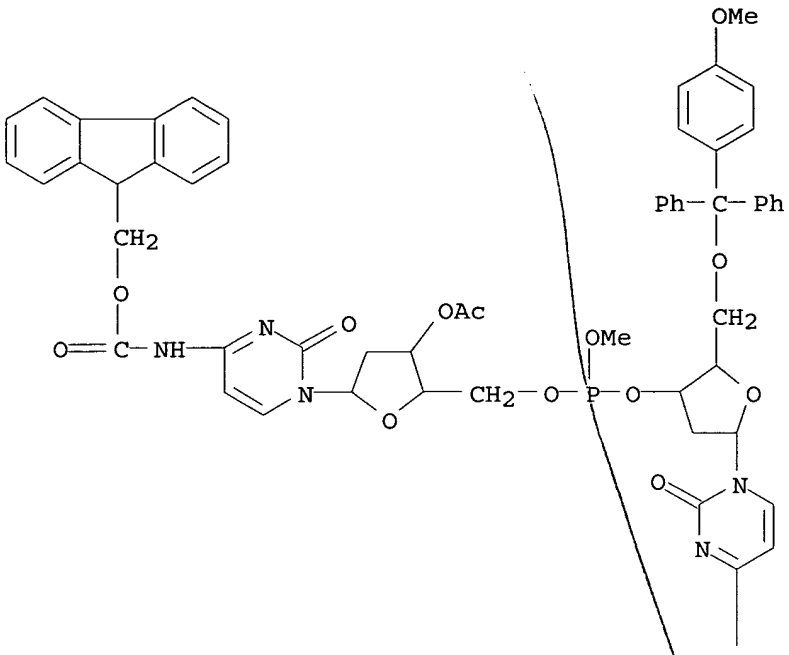


RN 119904-56-2 HCAPLUS

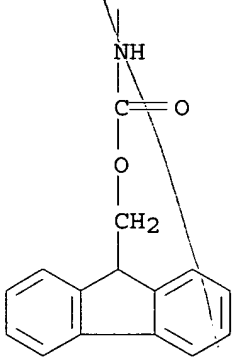
CN Cytidine, P-deoxo-2'-deoxy-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-5'-O-[(4-methoxyphenyl)diphenylmethyl]-P(O)-methylcytidylyl-(3'→5')-2'-deoxy-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-, 3'-acetate, (S)- (9CI) (CA INDEX

NAME)

PAGE 1-A



PAGE 2-A



L23 ANSWER 46 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:529602 HCAPLUS
DOCUMENT NUMBER: 109:129602
TITLE: Preparation of oligonucleotides by platinum-group-compound-mediated deprotection of O-allyl- and N-allyloxycarbonyl-protected oligonucleotides
INVENTOR(S): Noyori, Ryoji; Hayakawa, Yoshihiro; Uchiyama, Mamoru; Kato, Hisatoyo

PATENT ASSIGNEE(S): Nippon Zeon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62070392	A2	19870331	JP 1985-211243	19850925
PRIORITY APPLN. INFO.:			JP 1985-211243	19850925

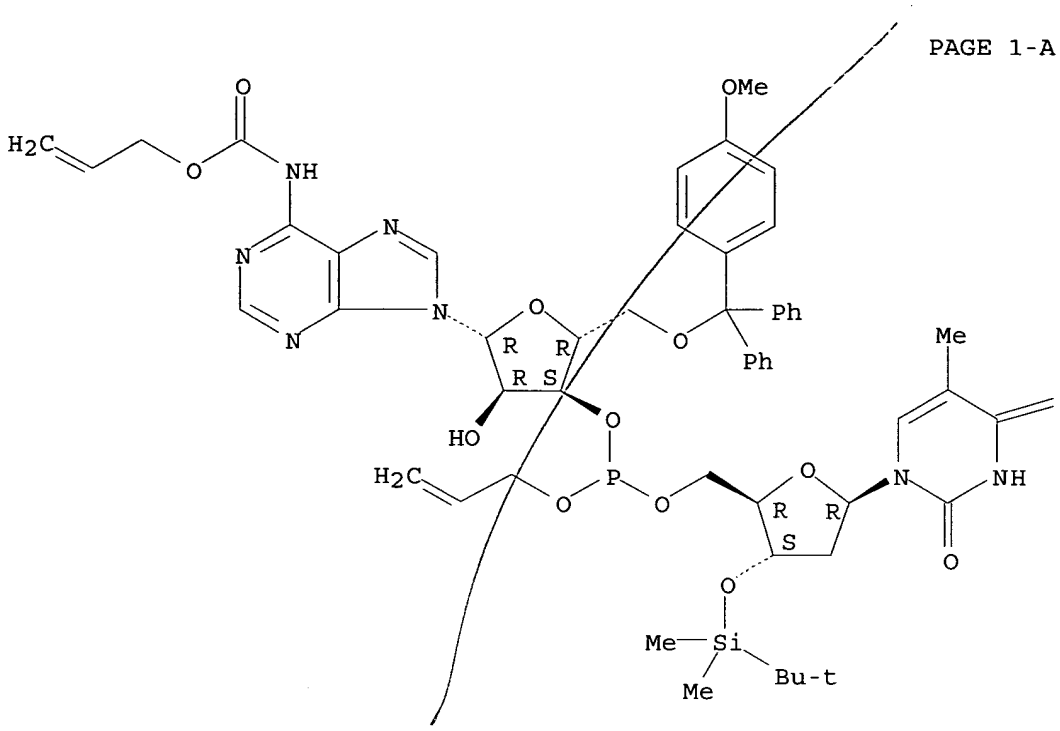
AB Oligonucleotides I [A = H; B1, B2 = allyloxycarbonyl-(un)protected amino- or imino-containing nucleoside base residue; R1, R2 = H, protecting group, covalently bonded polymer support (both are not simultaneously polymer support); R3 = H, (protected) OH; n ≥ 1] were prepared by deprotection of I (A = allyl-type residue; other groups as given) in the presence of Pt group metal catalysts and nucleophilic agents. Thus, I (A = CH2:CHCH2, B1 = N-allyloxycarbonyladenine residue, B2 = thymidine residue, R1 = monomethoxytrityl, R2 = Me3CSiMe2, R3 = H, n = 1) and Ph3P in THF were mixed with BuNH2, HCO2H, and (Ph3P)4Pd in THF 10 min at room temperature to give 90% I (A = H, B1 = unprotected adenine residue, other groups unchanged).

IT **116208-39-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of, by platinum group metal catalyst in presence of nucleophilic agent)

RN 116208-39-0 HCAPLUS
CN Thymidine, P-deoxo-2'-deoxy-5'-O-[(4-methoxyphenyl)diphenylmethyl]-P(O)-2-propenyl-N-[(2-propenyloxy)carbonyl]adenylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

=O

L23 ANSWER 47 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:510859 HCAPLUS
DOCUMENT NUMBER: 109:110859
TITLE: A method for preparation of O-allyl- or N-allyloxycarbonyl-protected oligonucleotides by phosphite triester method
INVENTOR(S): Noyori, Ryoji; Hayakawa, Yoshihiro; Uchiyama, Mamoru; Kato, Hisatoyo
PATENT ASSIGNEE(S): Nippon Zeon Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62070391	A2	19870331	JP 1985-211242	19850925

PRIORITY APPLN. INFO.: JP 1985-211242 19850925

AB Phosphite triester method for preparation of protected oligonucleotides (I) involves condensation of oligonucleotides II (R1 = protecting group, covalently-bonded support residue; R2 = H, protected OH; A = allyl-type residue; B = NH2-free nucleoside base residue, allyloxycarbonyl-protected amino- or imino-containing nucleoside base residue; n > 0) with nucleoside phosphoramidites III (R3 = protecting group; X = amino), followed by oxidation to convert the resulting phosphites into phosphates. Thus, a mixture of 5'-O-(monomethoxytrityl)thymidine and 1H-tetrazole (IV) was added dropwise over 20 min to (Me2N)2POCH2CH:CH2 in MeCN at 20°, the mixture was stirred 1 h to give III (R2 = H, R3 = monomethoxytrityl, A = CH2CH:CH2, X = NMe2, B = thymine residue) which was treated with II (R1 = Me3CSiMe2, R2 = H, B = thymine residue, n = 0) and IV 2 h at 20°, and treated with NO2 in CH2Cl2 30 min at -78° to give 86% I (R1 = Me3CSiMe2, R2 = H, R3 = monomethoxytrityl, A = CH2CH:CH2, B = thymine residue, n = 0).

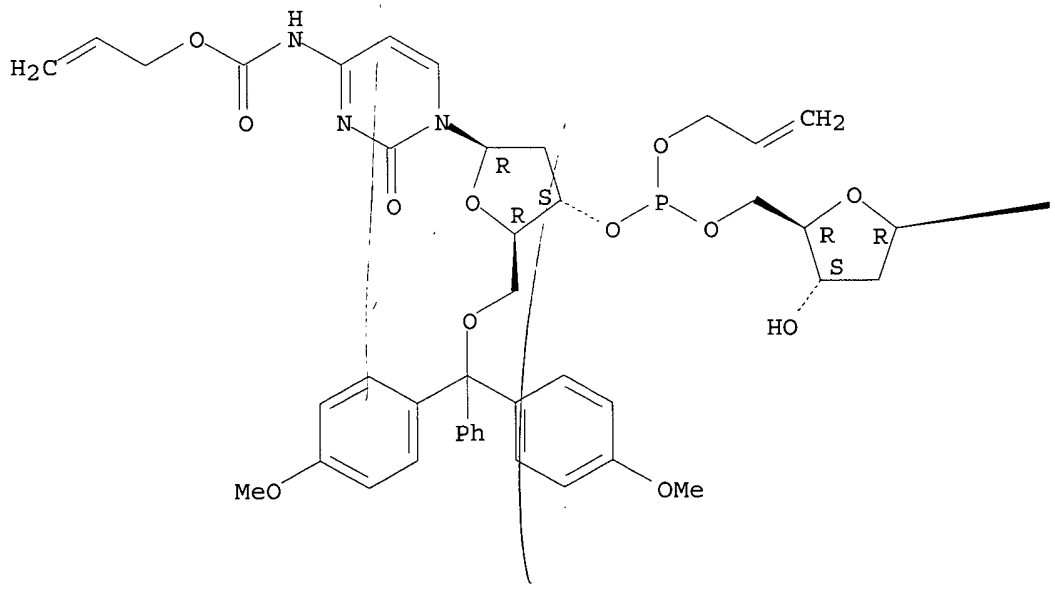
IT **116208-50-5DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

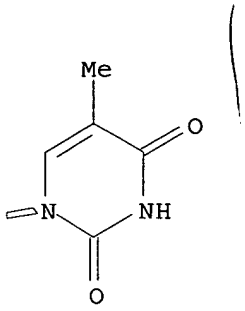
RN 116208-50-5 HCAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-2'-deoxy-P(O)-2-propenyl-N-[(2-propenyloxy)carbonyl]cytidylyl-(3'→5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

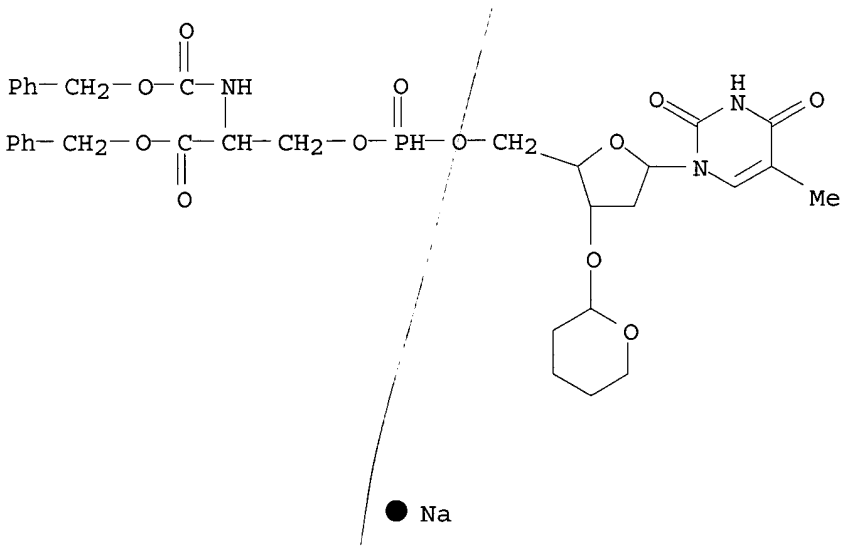




L23 ANSWER 48 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:6381 HCAPLUS
DOCUMENT NUMBER: 108:6381
TITLE: A model study directed towards the preparation of nucleopeptides via H-phosphonate intermediates
AUTHOR(S): Kuyl-Yeheskiely, E.; Tromp, C. M.; Schaeffer, A. H.; Van der Marel, G. A.; Van Boom, J. H.
CORPORATE SOURCE: Gorlaeus Lab., Leiden, 2300 RA, Neth.
SOURCE: Nucleic Acids Research (1987), 15(4), 1807-18
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The monofunctional phosphitylating reagents bis(N,N-diethylamino)chlorophosphine and salicylchlorophosphine (I) have been applied to the preparation of H-phosphonates of serine, threonine, and tyrosine. I was less effective for the synthesis of a tyrosine H-phosphonate. The amino acids (peptide) H-phosphonates of serine or threonine proved to be suitable starting compds. for the formation of a phosphate diester bond with the 5'-OH of a d-nucleoside derivative using pivaloyl chloride as the activating reagent.

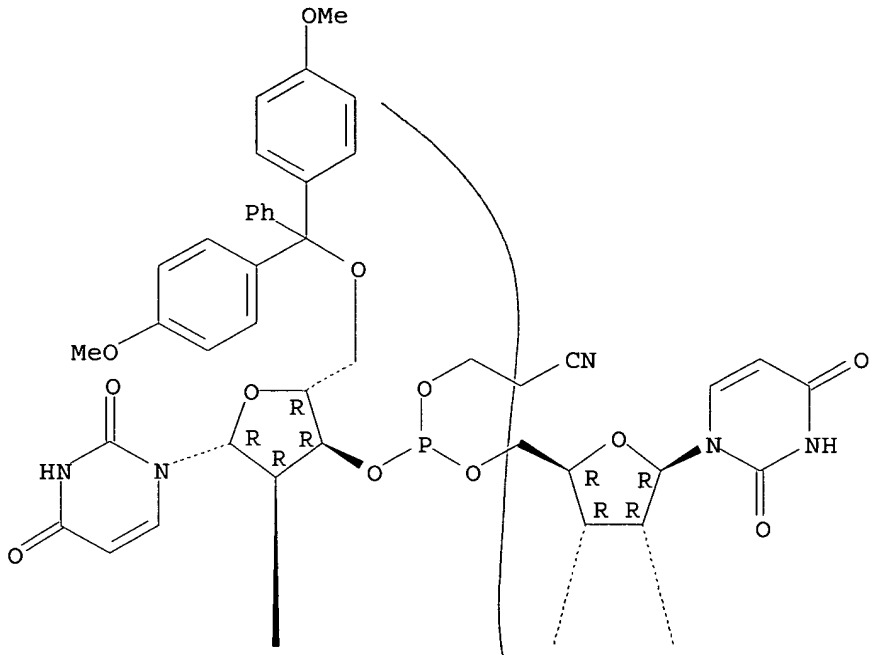
IT **111710-44-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 111710-44-2 HCAPLUS
CN L-Serine, N-[(phenylmethoxy)carbonyl]-, phenylmethyl ester, ester with 3'-O-(tetrahydro-2H-pyran-2-yl)thymidine 5'-(hydrogen phosphonate), monosodium salt (9CI) (CA INDEX NAME)



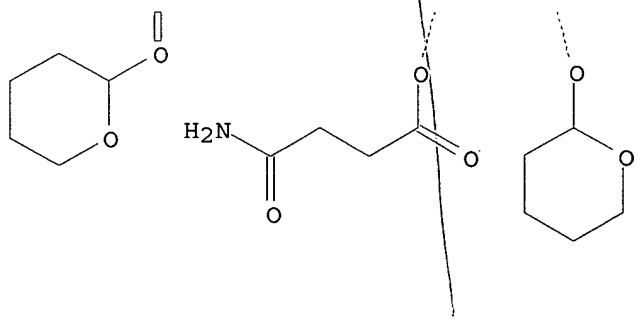
L23 ANSWER 49 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:534619 HCAPLUS
DOCUMENT NUMBER: 107:134619
TITLE: Synthesis of oligonucleotides using the phosphoramidite method
AUTHOR(S): Caruthers, M. H.; Kierzek, R.; Tang, J. Y.
CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Colorado, Boulder, CO, 80309, USA
SOURCE: Bioactive Molecules (1987), 3(Biophosphates Their Analogues), 3-21
CODEN: BMOLEY; ISSN: 0921-0687
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Methods are described for the synthesis of RNA on polymer supports and for the transient protection of internucleotide linkages with o-methylbenzyl esters.
IT **109915-20-0DP**, polymer-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, intermediate in synthesis of RNA on polymer support)
RN 109915-20-0 HCAPLUS
CN Uridine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P(O)-(2-cyanoethyl)-P-deoxo-2'-O-(tetrahydro-2H-pyran-2-yl)uridylyl-(3'→5')-2'-O-(tetrahydro-2H-pyran-2-yl)-, 3'-(4-amino-4-oxobutanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

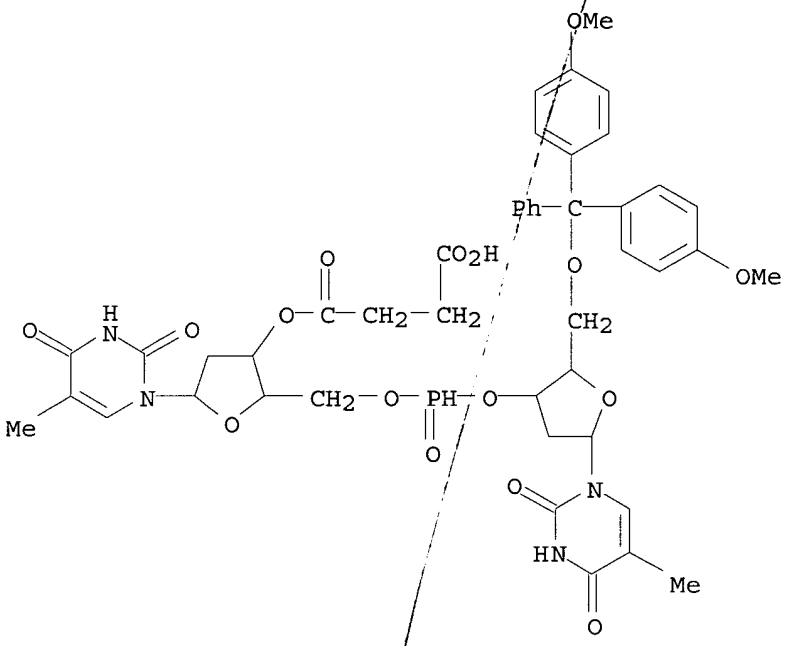


L23 ANSWER 50 OF 50 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:424579 HCAPLUS
DOCUMENT NUMBER: 105:24579
TITLE: Nucleoside H-phosphonates: valuable intermediates in the synthesis of deoxyoligonucleotides
AUTHOR(S): Froehler, B. C.; Matteucci, M. D.
CORPORATE SOURCE: Dep. Mol. Biol., Genentech, Inc., South San Francisco, CA, 94080, USA
SOURCE: Tetrahedron Letters (1986), 27(4), 469-72
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Nucleoside H-phosphonates were used directly in the synthesis of H-phosphonate linked deoxyoligonucleotides. A rapid and simplified procedure for the synthesis of deoxyoligonucleotides is described. The potential of the simplified procedure is demonstrated with the chemical

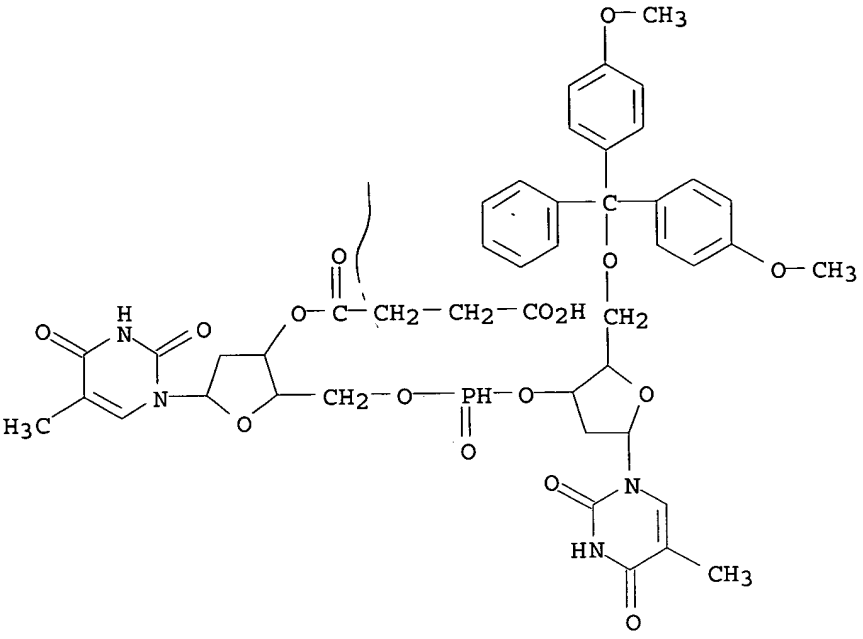
synthesis of eicosathymidylic acid (T20) and tetracontathymidylic acid (T40).

IT **102719-09-5DP**, polymer-bound **102778-96-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of, in synthesis of oligodeoxynucleotides)

RN 102719-09-5 HCAPLUS
CN Thymidine, [P(R)]-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxythymidylyl-(3'→5')-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)



RN 102778-96-1 HCAPLUS
CN Thymidine, [P(S)]-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxythymidylyl-(3'→5')-, 3'-(hydrogen butanedioate) (9CI) (CA INDEX NAME)



This Page Blank (uspto)